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FILE 'HOME' ENTERED AT 14:58:43 ON 27 AUG 2008

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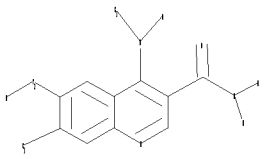
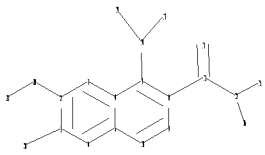
Uploading C:\Program Files\Stnexp\Queries\10572914.str





chain nodes :
11 12 13 14 15 16 19 20 22 23 28
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
17 21

chain bonds :
1-28 2-20 7-14 8-11 11-12 11-13 12-15 12-16 14-17 14-19 20-21 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
1-28 2-20 7-14 11-12 11-13 14-17 14-19 20-21 22-23
exact bonds :
8-11 12-15 12-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

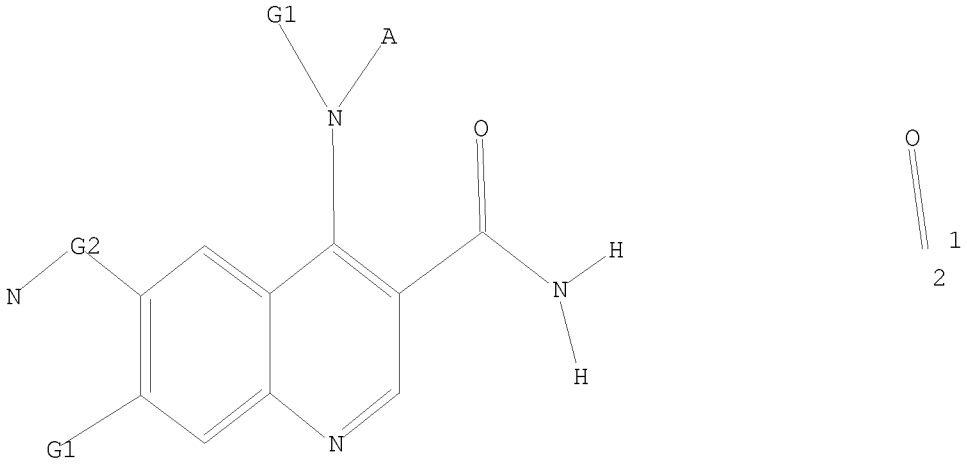
G1:H,Ak

G2:SO2,[*1-*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak
G2 SO2,[@1-@2]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

```
L3          208 SEA SSS FUL L1

=> file ca


=> s l3
L4           2 L3

=> d ibib abs fhitstr 102
      2 ANSWERS ARE AVAILABLE.  SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE
The answer numbers requested are not in the answer set.
ENTER ANSWER NUMBER OR RANGE (1):1-2

L4   ANSWER 1 OF 2  CA  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         142:373698  CA
TITLE:                    Preparation of 4-aminoquinoline-3-carboxamide
                          derivatives as PDE4 inhibitors
INVENTOR(S):              Edlin, Christopher D.; Eldred, Colin David; Keeling,
                          Steven Philip; Lunniss, Christopher James; Redfern,
                          Tracy Jane; Redgrave, Alison Judith; Woodrow, Michael
PATENT ASSIGNEE(S):       Glaxo Group Limited, UK
SOURCE:                   PCT Int. Appl., 63 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     WO 2005030212         A1    20050407    WO 2004-EP10844          20040923
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1673086            A1    20060628    EP 2004-765656           20040923
     EP 1673086            B1    20080123
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             IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
     JP 2007506703         T     20070322    JP 2006-527374           20040923
     AT 384530             T     20080215    AT 2004-765656           20040923
     ES 2298806            T3    20080516    ES 2004-765656           20040923
     US 20080096884        A1    20080424    US 2007-572914           20070206
PRIORITY APPLN. INFO.:                       GB 2003-22722         A  20030927
                                             WO 2004-EP10844       W  20040923
OTHER SOURCE(S):          CASREACT 142:373698; MARPAT 142:373698
GI
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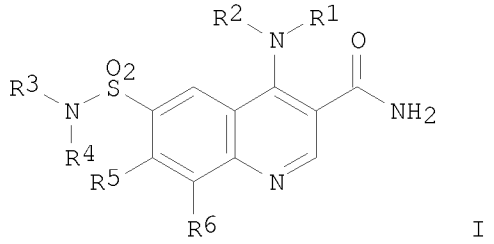

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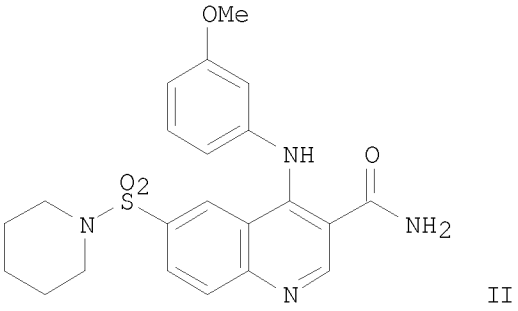


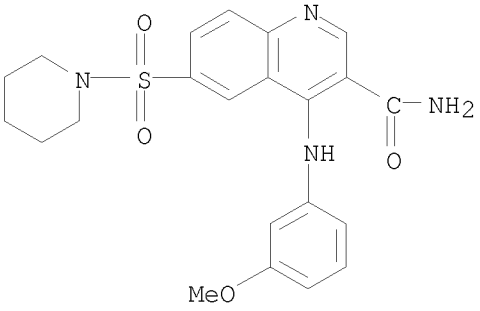
II

AB The title compds. I [R1 = (un)substituted aryl, heteroaryl, heterocyclyl, etc.; R2 = H, alkyl; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl; or NR3R4 = (un)substituted heterocyclyl; R5 = H, alkyl; R6 = H, alkyl, alkoxy, etc.] which are inhibitors of phosphodiesterase type IV (PDE4) and are of use in the treatment of inflammatory and/or allergic diseases, were prepared Thus, reacting 4-chloro-6-(1-piperidinylsulfonyl)-3-quinolinecarboxamide (preparation given) with 3-methoxyaniline afforded II. The exemplified compds. I inhibit the catalytic activity at PDE4B (human recombinant) enzyme with pIC50's in the range 7.5-10.8. The pharmaceutical compns. comprising the compound I are disclosed.

IT 849591-19-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-aminoquinoline-3-carboxamides as PDE4 inhibitors)

RN 849591-19-1 CA

CN 3-Quinolinecarboxamide, 4-[(3-methoxyphenyl)amino]-6-(1-piperidinylsulfonyl)- (CA INDEX NAME)

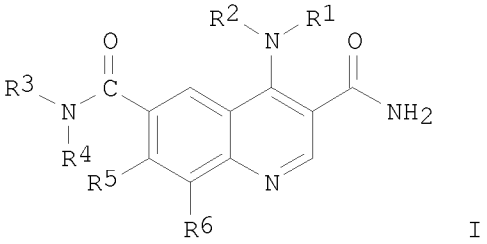


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:355178 CA
TITLE: Preparation of aminocarbonylquinoline derivatives as phosphodiesterase type IV (PDE4) inhibitors
INVENTOR(S): Edlin, Christopher; Eldred, Colin David; Lunniss, Christopher James; Redgrave, Alison Judith; Robinson, John Edward; Woodrow, Michael
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030725	A1	20050407	WO 2004-GB4106	20040927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1673345	A1	20060628	EP 2004-768649	20040927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007506717	T	20070322	JP 2006-527483	20040927
US 20070191426	A1	20070816	US 2007-572913	20070206
PRIORITY APPLN. INFO.:			GB 2003-22726 A	20030927
			WO 2004-GB4106 W	20040927

OTHER SOURCE(S): CASREACT 142:355178; MARPAT 142:355178
GI



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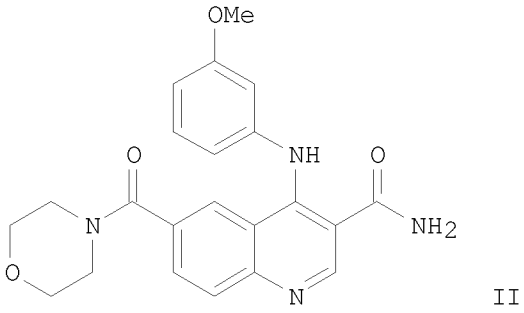


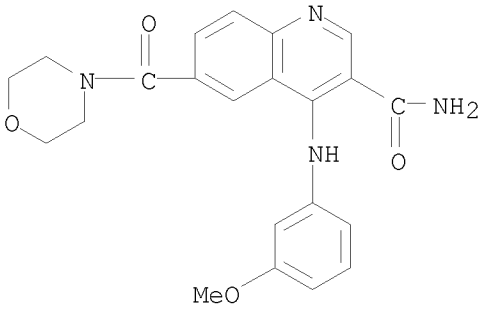
II

AB Title compds. I [R1 = (un)substituted-aryl, -heteroaryl, cycloalkyl, etc.; R2 = H, alkyl; R3 = H, (un)substituted alkyl, cycloalkyl, etc.; R4 = H, alkyl; or R3 and R4 together = (un)substituted N-heterocycle; R5 = H, alkyl; R6 = H, alkoxy, Cl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of phosphodiesterase type IV (PDE4). Thus, e.g., II was prepared by amidation of 3-(aminocarbonyl)-4-{[3-(methyloxy)phenyl]amino}-6-quinolinecarboxylic acid (preparation given) with morpholine. The inhibition capability of I was evaluated in radioactive Scintillation Proximity Assay (SPA) and revealed that selected compds. of the invention possessed pIC50 values in the range of 6.3-9.5. I as PDE4 inhibitors should prove useful in the treatment of inflammatory and allergic diseases.

IT 849124-91-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocarbonylquinoline derivs. as phosphodiesterase type IV (PDE4) inhibitors)

RN 849124-91-0 CA

CN 3-Quinolinecarboxamide, 4-[(3-methoxyphenyl)amino]-6-(4-morpholinylcarbonyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file marpat

=> s l1 full
FULL SEARCH INITIATED 14:59:50 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 9902 TO ITERATE

100.0% PROCESSED 9902 ITERATIONS 131 ANSWERS
SEARCH TIME: 00.00.07

L5 131 SEA SSS FUL L1

=> d ibib abs fqhit 1-75

L5 ANSWER 1 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 149:128754 MARPAT
TITLE: Preparation of 8-hydroxyquinolines for treatment of neurological conditions
INVENTOR(S): Barnham, Kevin Jeffrey; Gautier, Elisabeth Colette Louise; Kok, Gaik Beng; Krippner, Guy
PATENT ASSIGNEE(S): Australia
SOURCE: U.S. Pat. Appl. Publ., 120pp., Cont.-in-part of U.S. Ser. No. 521,902.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080161353	A1	20080703	US 2007-901941	20070919
WO 2004007461	A1	20040122	WO 2003-AU914	20030716

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20060089380	A1	20060427	US 2005-521902	20050810
IN 2006KO01346	A	20070720	IN 2006-KO1346	20061211
PRIORITY APPLN. INFO.:			AU 2002-950217	20020716
			WO 2003-AU914	20030716
			US 2005-521902	20050810
			IN 2005-KN166	20050210

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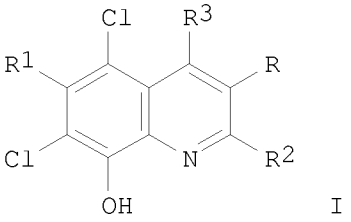
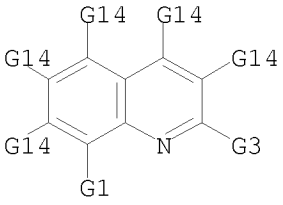


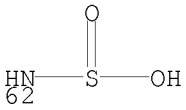
I

AB The title compds. with general formula I [wherein R2 = (un)substituted alkyl, alkenyl, aryl, heterocyclyl, etc.; R, R1, and R3 = independently H, OH, cyano, (un)substituted alkyl, etc., with the proviso that when R and R1 are H and R2 is COOH or CO-OMe, then R3 is not OH.] or pharmaceutically acceptable salts, hydrates, or solvates thereof were prepared for the treatment of a neurol. conditions. For example, 5,7-dichloro-8-hydroxyquinoline-2-carboxylic acid (preparation given), dicyclohexylcarbodiimide, 1-hydroxybenzotriazole hydrate, histamine dihydrochloride, and Et3N were stirred in DMF/CH2Cl2 to give 34% 5,7-dichloro-8-hydroxyquinoline-2-carboxylic acid [2-(1H-imidazol-4-yl)ethyl]amide (PBT 1038). This inhibited metal-mediated lipoprotein oxidation with IC50 value of 0.26 μM.

MSTR 1



G14 = CONH2 (opt. substd.) / 62



Patent location: claim 1
Note: also incorporates broader disclosure
Note: or pharmaceutically acceptable salts, hydrates, or solvates

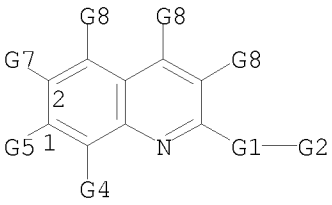
L5 ANSWER 2 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 149:121791 MARPAT
TITLE: Sox peptide-based sensor for detecting protein kinase activity using chelation-enhanced fluorescence
INVENTOR(S): Imperiali, Barbara; Lukovic, Elvedin; Carrico-Moniz, Dora
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: PCT Int. Appl., 36pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008082715	A2	20080710	WO 2007-US76959	20070828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080050761	A1	20080228	US 2006-511050	20060828

PRIORITY APPLN. INFO.: US 2006-511050 20060828

AB The present invention provides sensors to monitor protein kinase activity continuously with a fluorescent read-out. The invention provides metal-binding compds. (Sox peptide) that exhibit chelation-enhanced fluorescence upon binding to Mg2+. The invention further provide peptidyl sensors which include a metal-binding peptide and one or two kinase recognition sequences with a hydroxyamino acid that can be phosphorylated in the presence of a kinase. The sensor peptides are synthesized via standard solid-phase peptide synthesis based on the optimal PKC peptide substrate and the activities of PKC isoenzymes were determined

MSTR 1



G5 = 72

G12—G13
72

G6 = NH2
G7 = 30 / 144

O2S——G6
30

G12—G13
144

G8 = 120 / 125

G12—G13
120

C(O)-G16
125

G12 = NH
G13 = cycloalkyl <containing 3-6 C> (opt. substd.)
G16 = NH2 / 92

G15—G13
92

Patent location: claim 1
Note: substitution is restricted

L5 ANSWER 3 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 149:104680 MARPAT
TITLE: Novel thiazolidine compounds as cannabinoid receptor ligands and uses thereof
INVENTOR(S): Carroll, William A.; Dart, Michael J.; Li, Tongmei; Perez-Medrano, Arturo V.; Peddi, Sridhar
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 40pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 20080153883 A1 20080626 US 2007-954956 20071212
WO 2008079687 A1 20080703 WO 2007-US87175 20071212
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PRIORITY APPLN. INFO.: US 2006-876604P 20061222
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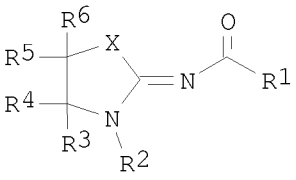
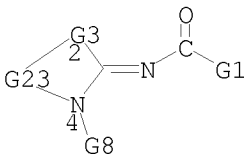


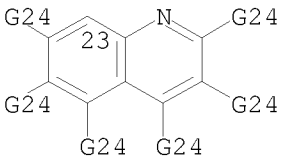
I

AB The present invention relates to thiazolidinylidene containing compds. I [R1 = Ph (substituted with 1 to 5 Rj), naphthyl, cycloalkly, heterocyclyl, 2-Rg-pyridin-3-yl, quinolin-8-yl, benzofuran-5-yl, benzothien-5-yl; R2 = alkyl, alkoxy-(C2-6-alkylene), alkoxyalkoxy-(C2-6-alkylene), alkenyl, alkynyl, arylalkyl, cycloalklyalkyl, cycloalkoxyalkyl, (cycloalkylalkoxy)alkyl, cyanoalkyl, nitroalkyl, haloalkyl, haloalkoxyalkyl, heteroarylalkyl, heterocycloalkyl, (heterocyclyloxy)alkyl, hydroxyalkyl, etc. ; R3, R4 = H, alkyl, cycloalkyl, haloalkly, heterocyclyl, hydroxyalkyl; CR3R4 = monocyclic cycloalkyl or heterocyclic ring, whereby the heterocycle contains at least one oxygen; R5, R6 = H, alkyl, aryl, cycloalkyl, haloalkly, heteroaryl, heterocyclyl, hydroxyalkyl; CR5R6 = monocyclic cycloalkyl or heterocyclic ring; R3C-CR5 = monocyclic cycloalkyl or heterocyclyl ring provided that the heterocycle is saturated and contains at least one oxygen; Rj, Rg = alkenyl, alkoxy, alkoxyalkoxy, alkoxyalkoxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, etc.; X = S, O] or a pharmaceutically acceptable salt thereof, compns. comprising such compds., and methods of treating conditions and disorders using such compds. and compns. Thus, thiazolidinylidene (Z)-I [R1 = 2-methoxy-5-chlorophenyl; R2 = CH2CH2OMe, R3 = R4 = H, R5 = R6 = Me; X = S] was prepared from 5-Cl-2-MeOC6H4CO2H via amidation with 5,5-dimethyl-4,5-dihydro-1,3-thiazolyl-2-amine hydrochloride in THF containing HOBt and Et3N and N-alkylation with BrCH2CH2OMe in THF/DMF containing NaH. The cannabinoid receptor activity of thiazolidinylidenes I was tested [Ki < 1000 nM vs. CB2 receptor and Ki = 10 to 1000 fold higher vs. CB1 receptor].

MSTR 1



G1 = 23



G4 = alkylcarbonyl <containing 1-10 C>
G5 = NH2
G24 = 109 / 114

Patent location: claim 1
Note: or pharmaceutically acceptable salts

L5 ANSWER 4 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 149:17765 MARPAT
TITLE: Controlled-release formulation of piperazine-piperidine antagonists and agonists of the 5-HT1A receptor having enhanced intestinal dissolution
INVENTOR(S): Ku, Mannching Sherry; Dulin, Wendy Ann; Lin, Yanning Angela
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 91pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008067399	A2	20080605	WO 2007-US85790	20071128

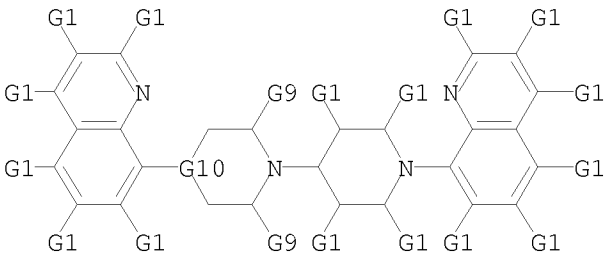
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

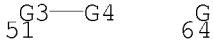
US 20080199518 A1 20080821 US 2007-986991 20071127
PRIORITY APPLN. INFO.: US 2006-861409P 20061128

AB The present invention relates to controlled-release beads comprising diquinoline-substituted piperazine-piperidine compds., such as 5-fluoro-8-[4-[4-(6-methoxyquinolin-8-yl)piperazin-l-yl]piperidin-l-yl]quinoline, or pharmaceutically acceptable salts thereof; to multiple particulate formulations comprising such beads; to methods of preparing such beads; and to methods of treating 5-HT1A-related disorders using such beads and/or multiple particulate formulations. Thus, beads were prepared containing sugar spheres coated with 5-fluoro-8-[4-[4-(6-methoxyquinolin-8-yl)piperazin-1-yl]piperidin-1-yl]quinoline trisuccinate, Opadry Clear II, and Surelease with or without citric acid. The dissoln. of active agent was enhanced in the presence of citric acid.

MSTR 1



G1 = 51 / 64



G3 = NH
G4 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more G2)
G5 = NH2 / 66

G8 = SO2 / C(O)
Patent location: claim 1
Note: or pharmaceutically acceptable salts

L5 ANSWER 5 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:538091 MARPAT
TITLE: Preparation of quinoline carboxamides as CSF 1R kinase inhibitors for treating cancer and other diseases
INVENTOR(S): Dakin, Leslie; Daly, Kevin; Del Valle, David; Gero,

Thomas; Ogoe, Claude Afona; Scott, David; Zheng, Xiaolan
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 84pp., which
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008056148	A1	20080515	WO 2007-GB4263	20071108

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

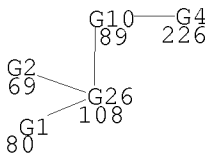
PRIORITY APPLN. INFO.: US 2006-865245P 20061110
US 2007-916182P 20070504

GI

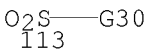
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to chemical compds. of formula I (wherein one of R1 and R2 is selected from C1-6alkyl, C2-6alkenyl, etc. and the other R1 or R2 is H, halo, etc.; R3 is H or halo; R4 is halo, nitro, cyano, etc.; and n = 0-3) or pharmaceutically acceptable salts thereof which possess CSF 1R kinase inhibitory activity and are accordingly useful for their anticancer activity and thus in methods of treatment of the human or animal body. The invention also relates to processes for the manufacture of said chemical compds., to pharmaceutical compns. containing them and to their use in the manufacture of medicaments of use in the production of an anti cancer effect in a warm blooded animal such as man. Example compound II, prepared from the corresponding tert-Bu carbamate III (preparation given), had an IC50 of 0.002 μM in an in vitro AlphaScreen assay that measures phosphorylation of a CSF-1R substrate.

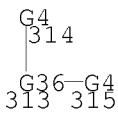
MSTR 1A



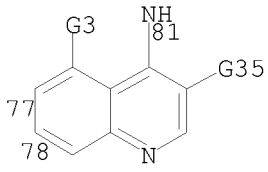
G1 = carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd. by G5)
G2 = 113



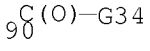
G10 = 313



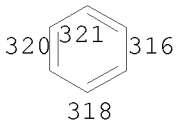
G26 = 77-69 78-80 81-89



G30 = NH2
G34 = NH2
G35 = 90



G36 = 318-108 320-314 321-315 316-226



Patent location: claim 1
Note: substitution is restricted
Note: S-oxides
Note: or pharmaceutically acceptable salts
Note: also incorporates claim 8, formulas IV, V, VI,

VIIa, and VIIb

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:278889 MARPAT
TITLE: Sox peptide-based sensor for detecting protein kinase activity using chelation-enhanced fluorescence
INVENTOR(S): Imperiali, Barbara; Lukovic, Elvedin; Carrico-Moniz, Dora
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: U.S. Pat. Appl. Publ., 17pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080050761	A1	20080228	US 2006-511050	20060828
WO 2008082715	A2	20080710	WO 2007-US76959	20070828

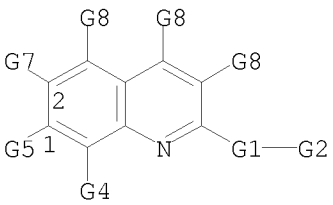
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-511050 20060828

AB The present invention provides sensors to monitor protein kinase activity continuously with a fluorescent read-out. The invention provides metal-binding compds. (Sox peptide) that exhibit chelation-enhanced fluorescence upon binding to Mg2+. The invention further provide peptidyl sensors which include a metal-binding peptide and at least one kinase recognition sequence with a hydroxyamino acid that can be phosphorylated in the presence of a kinase. The sensor peptides are synthesized via standard solid-phase peptide synthesis based on the optimal PKC peptide substrate and the activities of PKC isoenzymes were determined

MSTR 1



G5 = 72

G12—G13
72

G6 = NH2
G7 = 30 / 144

O2S——G6 G12—G13
30 144

G8 = 120 / 125

G12—G13 C(O)-G16
120 125

G12 = NH
G13 = cycloalkyl <containing 3-6 C> (opt. substd.)
G16 = NH2 / 92

G15—G13
92

Patent location: claim 1
Note: substitution is restricted

L5 ANSWER 7 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:232646 MARPAT
TITLE: Fluorogenic protein kinase peptide substrates comprising a fluorophore conjugated to a chelator
INVENTOR(S): Gee, Kyle
PATENT ASSIGNEE(S): Invitrogen Corporation, USA
SOURCE: PCT Int. Appl., 52pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008016762	A1	20080207	WO 2007-US73000	20070706

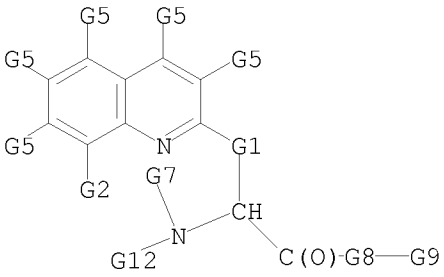
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 20070196860	A1	20070823	US 2007-624686	20070118
US 20080009026	A1	20080110	US 2007-774554	20070706
PRIORITY APPLN. INFO.:			US 2006-819432P	20060707
			US 2007-624686	20070118
			US 2006-759919P	20060118

AB The present invention relates to protein kinase sensors comprising a metal-chelating quinoline attached to a fluorophore and an amino acid. The invention also relates to methods of using these protein kinase sensors as well as kits comprising the protein kinase sensors.

MSTR 1



G2 = 76

76 G3—G11

G3 = NH (opt. substd.)
G5 = 78 / CONH2 (opt. substd.) / SO2NH2 (opt. substd.)

78 G3—G11

G11 = acyl
Patent location: claim 8
Note: or tautomers, or salts
Stereochemistry: or stereoisomers

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:191837 MARPAT
TITLE: 3-Azabicyclo[3.1.0]hexane derivatives as vanilloid receptor ligands, pharmaceutical compositions containing them and process for their preparation
INVENTOR(S): Gharat, Laxmikant Atmaram; Joshi, Neelima Khairatkar; Gajera, Jitendra Maganbhai; Yadav, Pravin Sabhajit
PATENT ASSIGNEE(S): Glenmark Pharmaceuticals S.A., Switz.

SOURCE: PCT Int. Appl., 116pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008010061	A2	20080124	WO 2007-IB2002	20070716
WO 2008010061	A3	20080417		

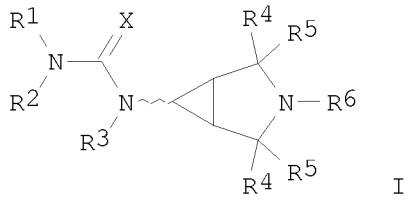
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

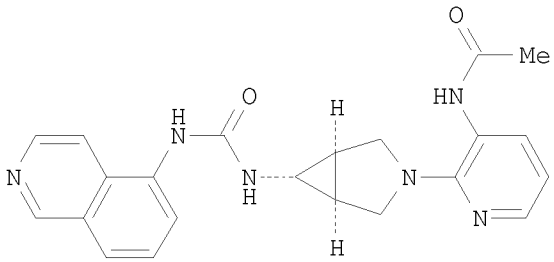
PRIORITY APPLN. INFO.:

IN 2006-MU1136	20060717
US 2006-835560P	20060803
IN 2007-MU381	20070227
US 2007-893675P	20070308
US 2007-947715P	20070703

GI



I

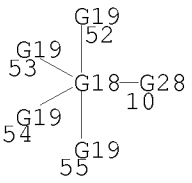


II

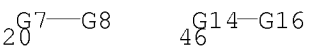
AB The invention relates to substituted 3-azabicyclo[3.1.0]hexane derivs., which are useful as vanilloid receptor ligands, methods of treating

diseases, conditions and/or disorders modulated by vanilloid receptors with them, and processes for preparing them. Compds. of formula I wherein X is O and S; R1 is quinolinyl, isoquinolinyl, 2-oxodihydroquinolinyl, and 1-oxodihydroisoquinolinyl; R2 and R3 are independently H, OH, and C1-6 alkyl; R4 and R5 are independently H, halo and alkyl; R4R5 taken together to form =O and =S; R6 is H, NO2, CN, CHO, Ac, halo, OH and derivs., SH and derivs., (un)substituted alkyl, (un)substituted (hetero)aryl, etc.; and their prodrugs, pharmaceutically acceptable salts, N-oxides, esters, solvates, tautomers, stereoisomers and polymorphs thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their TRPV1 inhibitory activity (data given).

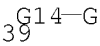
MSTR 1



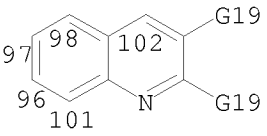
G4 = NH
G6 = 20 / 46



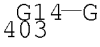
G8 = 39



G14 = C(O) / SO2
G18 = 102-10 101-52 96-53 97-54 98-55

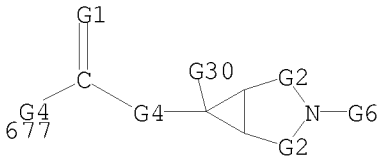


G19 = 403



G20 = NH2 (opt. substd.) / heterocycle <containing 3-7 atoms, 1 or more heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N> (opt. substd.)

G28 = 677



Patent location: claim 1
Note: additional derivatization also claimed
Note: or prodrugs, pharmaceutically acceptable salts, N-oxides, esters, solvates, tautomers or polymorphs
Note: also incorporates claim 43, structure 7 and claim 46, structure 8b
Stereochemistry: or stereoisomers

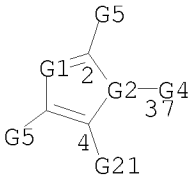
L5 ANSWER 9 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:93193 MARPAT
TITLE: Method using fused heterocyclic compounds for the treatment of glioma brain tumors
INVENTOR(S): Bush, Ashley
PATENT ASSIGNEE(S): Prana Biotechnology Limited, Australia
SOURCE: PCT Int. Appl., 115pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007147217	A1	20071227	WO 2007-AU876	20070622

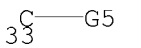
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-815779P 20060622
AB The invention discloses therapeutic agents, formulations comprising them, and their use in the treatment, amelioration and/or prophylaxis of glioma brain tumors and related conditions. The therapeutic agent comprises two fused 6-membered rings with at least a nitrogen at position 1 and a hydroxyl at position 8.

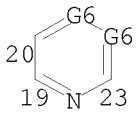
MSTR 1



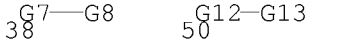
G1 = 33



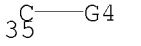
G2 = 20-2 19-4 23-37



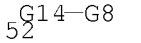
G4 = 38 / 50



G5 = SO2NH2 (opt. substd.)
G6 = 35



G7 = NH
G8 = cycloalkyl <containing 3-6 C> (opt. substd.)
G12 = C(O)
G13 = NH2 / 52



Patent location: claim 1
Note: substitution is restricted
Note: and salts, hydrates, solvates, derivatives, prodrugs, tautomers and isomers

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:55103 MARPAT
TITLE: Process for preparation of 8-piperazinyl-quinoline derivatives
INVENTOR(S): Liu, Weiguo; Dragan, Vladimir; Strong, Henry Lee; Wu,

Yanzhong; Wen, Zhixin; Liang, Jessica Kangping; Durutlic, Haris; Sutherland, Karen Wiggins; Pilcher, Anthony Scott

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 98pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

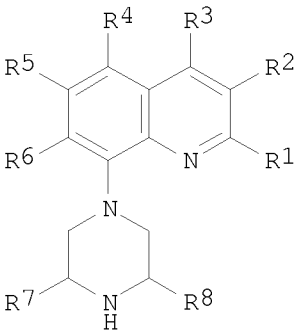
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007146072	A2	20071221	WO 2007-US13433	20070607
WO 2007146072	A3	20080529		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

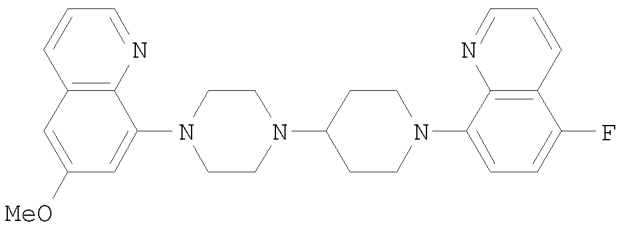
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080058523	A1	20080306	US 2007-811328	20070607

PRIORITY APPLN. INFO.: US 2006-812148P 20060609
OTHER SOURCE(S): CASREACT 148:55103
GI



I

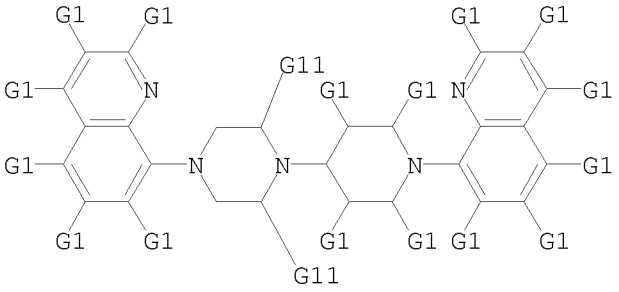


II

AB The present invention relates to processes for the preparation of 8-piperazinyl-quinoline derivs. with general formula I [wherein R1 - R6 = independently H, alkyl, alkenyl, halo, etc; R7 and R8 = independently H or CH3] or pharmaceutically acceptable salts thereof as 5-hydroxytryptamine receptor 1A (5-HT1A) binding agents, particularly as 5-HT1A receptor

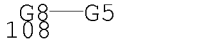
antagonists or agonists. For example, 6-methoxy-8-(1-piperazinyl)quinoline (preparation given) was condensed with 1-(5-fluoroquinolin-8-yl)piperidin-4-one (preparation given) in presence of sodium triacetoxyborohydride in toluene at about 30 °C to give II as a product, which was further transformed to the tri-succinate salt thereof. Advantageously, the title processes allow for safer and environmentally tolerant production of these useful compds.

MSTR 1

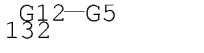


G1 = 99 / 106 / 114

G5 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more G4)
G6 = NH
G7 = NH2 / 108



G9 = bond
G10 = NH2 / 132



Patent location: claim 26

L5 ANSWER 11 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:54882 MARPAT
TITLE: Preparation of heteroaryl amides that interact with ion channels, in particular with ion channels from the Kv family
INVENTOR(S): Blom, Petra; Defert, Olivier; Kaletta, Titus; Leysen, Dirk Casimir Maria
PATENT ASSIGNEE(S): Devgen N.V., Belg.
SOURCE: PCT Int. Appl., 62pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

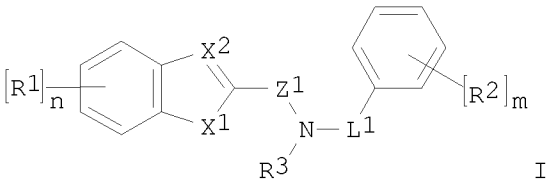
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138112	A2	20071206	WO 2007-EP55408	20070601
WO 2007138112	A3	20080515		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

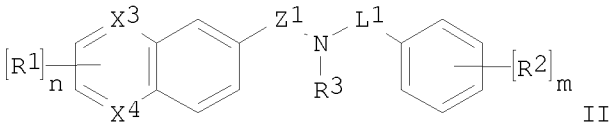
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: EP 2006-447075 20060601
US 2006-809841P 20060601

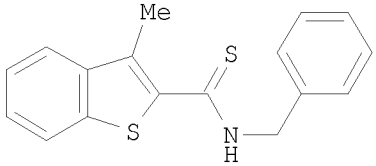
GI



I



II

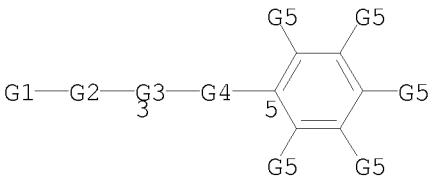


III

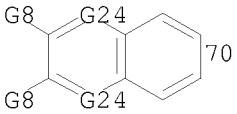
AB The present invention relates to compds. that interact with ion channels. In particular, the invention relates to compds. I or II [n, m = 0-4; Z1 = C(O), C(S), SO2; L1 = (un)substituted alkylene, cycloalkylene,

cycloalkylenoxyalkylene; X1 = O or S; X2 = CR4 or N; X3 = CR1 or N; X4 = CR1 or N; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R3 = H, alkyl, aryl, etc.; R4 = H, halo, NH2, etc.; with the provisos]. Sixty-two specific title compds. such as III were prepared and/or claimed. The exemplified title compds. were tested in patch clamp assays (for example, III showed above 50% inhibition on Kv4.3-mediated potassium channel). The invention also relates to methods for preparing said compds. I (general protocols and schemes were given), to pharmaceutical compns. comprising said compds., and to the use of said compds. in methods for treatment of the human and animal body.

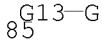
MSTR 1



G1 = 70

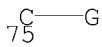


G2 = SO2
G3 = NH
G5 = 85



G8 = 38 / 40

G12 = NH2
G13 = NH
G14 = carbocycle <containing 3 or more C, non-aromatic, 0 or more double bonds, mono- or polycyclic> (opt. substd.)
G24 = 75 / N



Patent location: claim 1
Note: or tautomers, pharmaceutically acceptable salts or solvates

Note: substitution is restricted
Stereochemistry: or stereoisomers or racemics

L5 ANSWER 12 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:534639 MARPAT
TITLE: 3,4-Disubstituted coumarin and quinolone compounds for the treatment of hepatitis C virus infection
INVENTOR(S): Xu, Bin; Zhu, Qiang; Cho, Hyun-Joon; Fathi, Reza; Yang, Zhen; Sandrasagra, Anthony; Liu, Yixin
PATENT ASSIGNEE(S): XTL Biopharmaceuticals, Ltd., Israel
SOURCE: PCT Int. Appl., 150pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

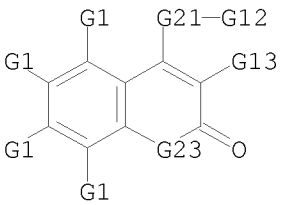
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007133211	A1	20071122	WO 2006-US18857	20060515

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2006-US18857 20060515

AB The invention discloses 3,4-disubstituted coumarin and quinolone derivs. and processes for their preparation The invention also discloses methods for treating Hepatitis C virus infection by administering a 3,4-disubstituted coumarin or quinolone derivative

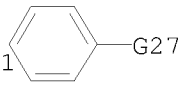
MSTR 1



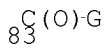
G1 = 15

G2—G3
15

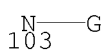
G2 = SO2
G3 = heteroaryl <containing zero or more N, zero or more O, zero or more S> (opt. substd.)
G12 = 121



G13 = 83



G16 = NH2
G21 = NH
G23 = 103



Patent location: claim 1
Note: or pharmaceutically acceptable salts or hydrates

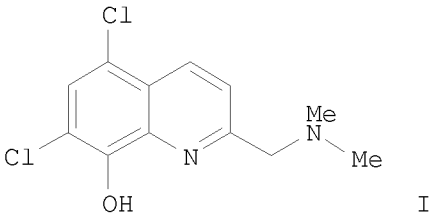
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:480413 MARPAT
TITLE: Method using PB-1033 and related compounds for the treatment of age-related macular degeneration (AMD)
INVENTOR(S): Bush, Ashley; Masters, Colin Louis
PATENT ASSIGNEE(S): Prana Biotechnology Ltd, Australia
SOURCE: PCT Int. Appl., 109pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007118276	A1	20071025	WO 2007-AU490	20070413

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

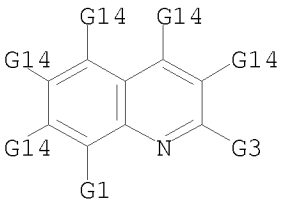
BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2006-792278P 20060414
GI



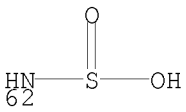
I

AB The invention relates generally to the field of treatment and prophylaxis of retinal degenerative diseases. More particularly, the invention contemplates a method for preventing, reducing the risk of development of, or otherwise treating or ameliorating the symptoms of, age-related macular degeneration (AMD) or related retinal conditions in mammals and in particular humans. The invention further provides therapeutic compns. enabling dose-dependent or dose-specific administration of agents useful in the treatment and prophylaxis of age-related macular degeneration or related retinal degenerative conditions. Compds. useful invention include PB-1033 (I) and related compds.

MSTR 1



G14 = CONH2 (opt. substd.) / 62



Patent location: disclosure
Note: or salts, hydrates, solvates, derivatives, prodrugs, tautomers
Note: substitution is restricted
Stereochemistry: or isomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 131 MARPAT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 147:469247 MARPAT
TITLE: Preparation of quinolones derivatives useful as inducible nitric oxide synthase inhibitors
INVENTOR(S): Roppe, Jeffrey R.; Bonnefous, Celine; Smith, Nicholas D.; Lindstrom, Andrew K.; Noble, Stewart A.; Hassig, Christian A.; Payne, Joseph E.; Zhuang, Hui; Chen, Xiaohong; Duron, Sergio G.
PATENT ASSIGNEE(S): Kalypsys, Inc., USA
SOURCE: PCT Int. Appl., 238pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

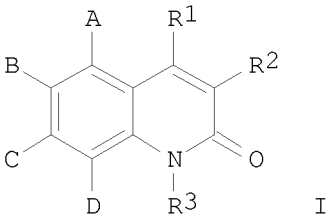
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117778	A2	20071018	WO 2007-US62769	20070223
WO 2007117778	A3	20080207		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

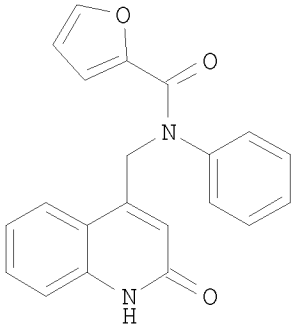
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080139558	A1	20080612	US 2007-678572	20070223
PRIORITY APPLN. INFO.:			US 2006-776561P	20060224
			US 2006-848696P	20061002

GI



I

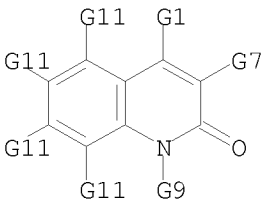


II

AB The invention relates to novel quinolones of formula I [R1 = (un)substituted acyl, alkyl, alkylene, aminoalkyl, amidoalkyl, alkynyl, aryl, arylalkyl, arylalkoxy, etc.; R2 = (un)substituted acyl, alkoxy,

alkoxyalkyl, alkyl, alkylene, alkylamino, alkynyl, alkylimino, etc.; R2 may combine with R1 to form (un)substituted heterocycloalkyl; R3 = H, NH2, (un)substituted aryl, haloalkyl, (hetero)arylalkyl, (hetero)(cyclo)alkyl; A, B, C and D independently = (un)substituted acyl, alkoxy, alkyl, alkylene, alkylamino, alkynyl, etc.; any two or more A, B, C and D may combine to form aryl, cycloalkyl, heteroaryl or heterocycloalkyl], and their pharmaceutically acceptable salts, esters or prodrugs, are prepared and disclosed as inducible nitric oxide synthase (iNOS) inhibitors. Thus, e.g. II was prepared by acylation of aniline with Et 3-oxobutanoate followed by bromination and cyclization to generate intermediate 4-(bromomethyl)quinolin-2(1H)-one, which underwent substitution with aniline and acylation with furan-2-carbonyl chloride to provide II. The inhibitory activity of all exemplary compds. was evaluated in DAN assay and II was found to have EC50 value of ≤ 5 μM. I should prove useful for inhibiting or modulating nitric oxide synthase and/or lowering nitric oxide levels of iNOS and for the treatment of an iNOS-mediated disease in a patient in need thereof.

MSTR 1



G1 = heteroarylamino <containing 1 or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms)> (opt. substd.)
G7 = CONH2 (opt. substd.)
G11 = SO2NH2 (opt. substd.)
Patent location: claim 1
Note: or salts, esters or prodrugs
Note: additional substitution and ring formation also claimed

L5 ANSWER 15 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:406803 MARPAT
TITLE: Preparation of benzenediamine derivatives as inhibitors of the interactions between MDM2 and p53
INVENTOR(S): Lacrampe, Jean Fernand Armand; Meyer, Christophe; Schoentjes, Bruno; Lardeau, Delphine Yvonne Raymonde; Poncelet, Alain Philippe; Van Hijfte, Luc
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 60pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

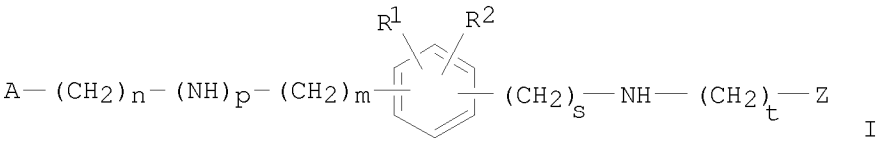
WO 2007107543	A1	20070927	WO 2007-EP52579	20070319	

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

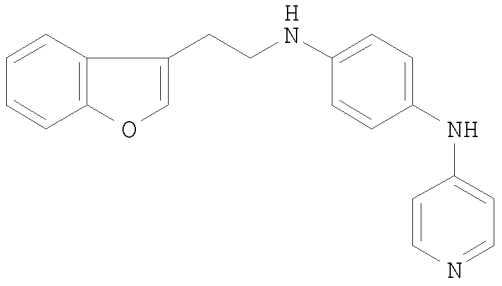
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2006-111531 20060322
US 2006-784780P 20060322

GI



I



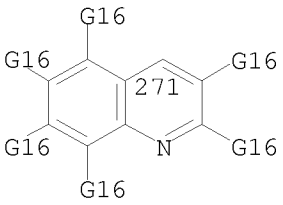
II

AB The title compds. I [wherein m = 0-2; n = 0-4; p, s, t independently = 0 or 1; R1, R2 independently = H, halo, alkyl, etc.; A = (un)substituted Ph, pyridinyl, pyrrolyl, thiophenyl or furanyl; Z = certain (un)substituted nitrogen heteroaryl] and N-oxides, salts, or stereoisomers thereof are prepared as inhibitors of the interactions between MDM2 and p53. For example, compound II was prepared in a multi-step synthesis. I showed inhibitory effect in both p53 ELISA assay and cell proliferation assay. The invented compds. are useful for the treatment of disorders mediated by p53-MDM2 interactions.

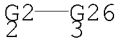
MSTR 1

G1—G25—G12—G13
1 334 4 5

G12 = NH
G13 = 271



G16 = CONH2
G25 = 2-1 3-4



G26 = phenylene (opt. substd. by (1-2) G7)
Patent location: claim 1
Note: or N-oxides, addition salts
Note: also incorporates claim 10, formula (VIII)
Stereochemistry: or stereochemically isomeric forms

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:343961 MARPAT
TITLE: Quinoline derivatives as platelet-derived growth factor inhibitors, their preparation, pharmaceutical compositions, and use in the treatment of cancer
INVENTOR(S): Jung, Frederic Henri; Ple, Patrick
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 133pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007099335	A1	20070907	WO 2007-GB728	20070301

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

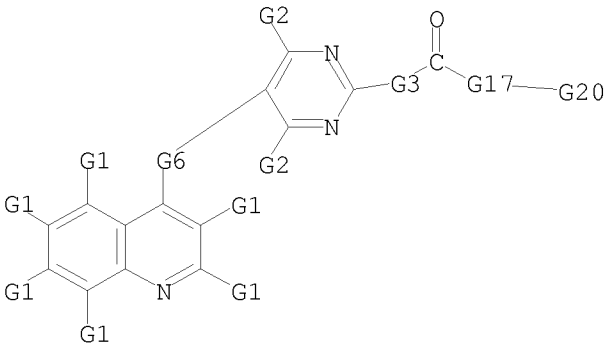
PRIORITY APPLN. INFO.: EP 2006-300186 20060302
EP 2006-301104 20061031

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns quinoline derivs. of formula I, which are inhibitors of platelet-derived growth factor (PDGF). In compds. I, p is 0-3; each R1 is independently halo, OH, NH2, SH, CF3, cyano, carboxy, C1-6 alkoxycarbonyl, carbamoyl, C1-8 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfonyl, C1-6 alkylamino, N-(C1-6 alkyl)carbamoyl, C2-6 acyl, C2-6 acylamino, etc.; q is 0, 1, or 2; each R2 is independently halo, OH, NH2, CF3, cyano, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-6 alkoxy, C1-6 alkylamino, or di(C1-6 alkyl)amino; R3 is H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-6 haloalkyl, C1-6 alkoxy-C1-6 alkyl, carboxy-C1-6 alkyl, etc., or R3 and R4, together with the carbon atom to which they are attached, form C3-8 cycloalkyl; R5 is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, or -L-R6; R6 is C1-6 haloalkyl, C1-6 hydroxyalkyl, C1-6 alkoxy-C1-6 alkyl, or cyano-C1-6 alkyl; L is a bond, O, or NR7, where R7 is H or C1-8 alkyl; X is O or NR8, where R8 is H or C1-8 alkyl; and A is (un)substituted aryl or (un)substituted heteroaryl containing up to three heteroatoms selected from O, N, and S. The invention also relates to the preparation of I, pharmaceutical compns. comprising a quinoline of formula I in association with a pharmaceutically acceptable diluent or carrier, as well as to the use of the compns. for the treatment of cell proliferative disorders, such as cancer. Deprotonation of acetonitrile and condensation with Et propionate gave 3-oxopentanenitrile, which underwent heterocyclocondensation with hydrazine to form 5-amino-3-ethylpyrazole (II). Hydrogenation of Et 2-(5-benzyloxypyrimidin-2-yl)acetate followed by substitution of 4-chloro-6,7-dimethoxyquinoline resulted in the formation of quinoline III, which was hydrolyzed and amidated with II to give quinoline IV. The compds. of the invention are inhibitors of PDGF, e.g., compound IV expressed IC50 value of 6 nM vs. phospho-Tyr751 formation in PDGFRβ.

MSTR 1



G1 = CONH2 / alkylaminosulfonyl <containing 1-6 C>
G6 = NH

Patent location: claim 1
Note: or pharmaceutically acceptable salts, solvates or prodrugs

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:343960 MARPAT
TITLE: Quinoline derivatives as platelet-derived growth factor inhibitors, their preparation, pharmaceutical compositions, and use in the treatment of cancer
INVENTOR(S): Jung, Frederic Henri; Ple, Patrick
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 217pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007099326	A1	20070907	WO 2007-GB719	20070301

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2006-300181 20060302
EP 2006-301102 20061031

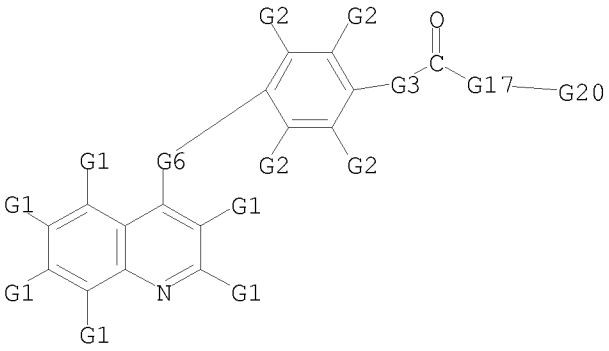
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns quinoline derivs. of formula I, which are inhibitors of platelet-derived growth factor (PDGF). In compds. I, p is 0-3; each R1 is independently halo, OH, NH2, SH, CF3, cyano, carboxy, C1-6 alkoxycarbonyl, carbamoyl, C1-8 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfonyl, C1-6 alkylamino, N-(C1-6 alkyl)carbamoyl, C2-6 acyl, C2-6 acylamino, etc.; q is 0, 1, or 2; each R2 is independently halo, OH, NH2, CF3, cyano, carboxy, carbamoyl, C1-8 alkyl, C1-6 alkoxy, C1-6 alkylamino, N-(C1-6 alkyl)carbamoyl, C1-6 haloalkyl, C1-6 alkoxy-C1-6 alkyl, etc.; R3 is H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-6 haloalkyl, C1-6 alkoxy-C1-6 alkyl, carboxy-C1-6 alkyl, etc., or R3 and R4, together with the carbon atom to which they are attached, form C3-8 cycloalkyl; R5 is H, C1-8 alkyl, C2-8

alkenyl, C2-8 alkynyl, or -L-R6; R6 is C1-6 haloalkyl, C1-6 hydroxyalkyl, C1-6 alkoxy-C1-6 alkyl, or cyano-C1-6 alkyl; L is a bond, O, or NR7, where R7 is H or C1-8 alkyl; X is O or NR8, where R8 is H or C1-8 alkyl; and A is (un)substituted aryl or (un)substituted heteroaryl containing up to three heteroatoms selected from O, N, and S. The invention also relates to the preparation of I, pharmaceutical compns. comprising a quinoline of formula I in association with a pharmaceutically acceptable diluent or carrier, optionally containing an addnl. antitumor or antiangiogenic agent, as well as to the use of the compns. for the treatment of cell proliferative disorders, such as cancer. N-Nitration of pyrazole followed by rearrangement gave 4-nitropyrazole, which was N-alkylated with di-Et sulfate and reduced to give 4-amino-1-ethylpyrazole (II). Substitution of 4-chloro-6-cyano-7-methoxyquinoline with 2-(4-hydroxyphenyl)acetic acid yielded quinoline III, which underwent amidation with II to give quinoline IV. The compds. of the invention are inhibitors of PDGF, e.g., compound IV expressed IC50 value of 2 nM vs. phospho-Tyr751 formation in PDGFRβ.

MSTR 1



G1 = CONH2 / alkylaminosulfonyl <containing 1-6 C>
G6 = NH
Patent location: claim 1
Note: or pharmaceutically acceptable salts, solvates or prodrugs

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:322860 MARPAT
TITLE: Quinoline derivatives as platelet-derived growth factor inhibitors, their preparation, pharmaceutical compositions, and use in the treatment of cancer
INVENTOR(S): Jung, Frederic Henri; Morgentin, Remy Robert; Ple, Patrick
PATENT ASSIGNEE(S): Astrazeneca A/B, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 155pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007099323	A2	20070907	WO 2007-GB713	20070301
WO 2007099323	A3	20071115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

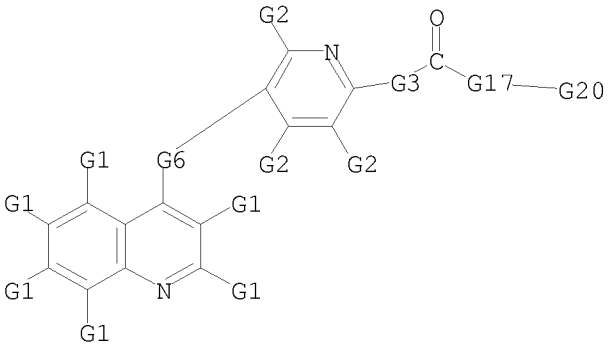
PRIORITY APPLN. INFO.: EP 2006-300183 20060302
EP 2006-301103 20061031

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns quinoline derivs. of formula I, which are inhibitors of platelet-derived growth factor (PDGF). In compds. I, p is 0-3; each R1 is independently halo, OH, NH2, SH, CF3, cyano, carboxy, C1-6 alkoxycarbonyl, carbamoyl, C1-8 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfonyl, C1-6 alkylamino, N-(C1-6 alkyl)carbamoyl, C2-6 acyl, C2-6 acylamino, etc.; q is 0, 1, or 2; each R2 is independently halo, OH, NH2, CF3, cyano, carboxy, carbamoyl, C1-8 alkyl, C1-6 alkoxy, C1-6 alkylamino, N-(C1-6 alkyl)carbamoyl, C1-6 haloalkyl, C1-6 alkoxy-C1-6 alkyl, etc.; R3 is H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-6 haloalkyl, C1-6 alkoxyalkyl, carboxy-C1-6 alkyl, etc., or R3 and R4, together with the carbon atom to which they are attached, form C3-8 cycloalkyl; R5 is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, or -L-R6; R6 is C1-6 haloalkyl, C1-6 hydroxyalkyl, C1-6 alkoxy-C1-6 alkyl, or cyano-C1-6 alkyl; L is a bond, O, or NR7, where R7 is H or C1-8 alkyl; X is O or NR8, where R8 is H or C1-8 alkyl; and A is (un)substituted aryl or (un)substituted heteroaryl containing up to three heteroatoms selected from O, N, and S. The invention also relates to the preparation of I, pharmaceutical compns. comprising a quinoline of formula I in association with a pharmaceutically acceptable diluent or carrier, optionally containing an addnl. antitumor or antiangiogenic agent, as well as to the use of the compns. for the treatment of cell proliferative disorders, such as cancer. Benzylation of 5-hydroxy-2-methylpyridine followed by N-oxidation, acetylation, rearrangement, and hydrolysis gave pyridine II, which was chlorinated, substituted with cyanide, and hydrolyzed resulting in the formation of 2-pyridineacetic acid III. tert-Bu esterification of III, hydrogenation, and substitution of 4-chloro-6,7-dimethoxyquinoline yielded IV, which underwent acidic deesterification and amidation with 4-amino-1-ethylpyrazole (four-step preparation is given) to give quinoline V. The compds. of the invention, e.g., V, are PDGF inhibitors (no data).

MSTR 1



G1 = CONH2 / alkylaminosulfonyl <containing 1-6 C>
G6 = NH
Patent location: claim 1
Note: or pharmaceutically acceptable salts, solvates or prodrugs

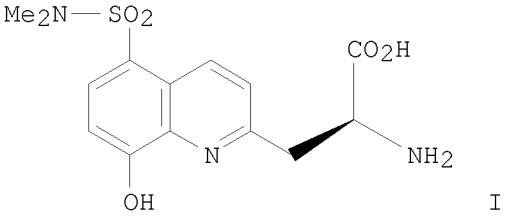
L5 ANSWER 19 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:160527 MARPAT
TITLE: Measuring protein kinase activity using phosphorylatable peptides exhibiting increased fluorescence when sensor moieties are complexed with metal ions
INVENTOR(S): Schaefer, Erik M.; Qian, Xiao-Dong; Li, Min; Gee, Kyle R.
PATENT ASSIGNEE(S): Invitrogen Corp., USA
SOURCE: PCT Int. Appl., 78pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007084968	A1	20070726	WO 2007-US60729	20070118

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-759919P 20060118
US 2006-819432P 20060707

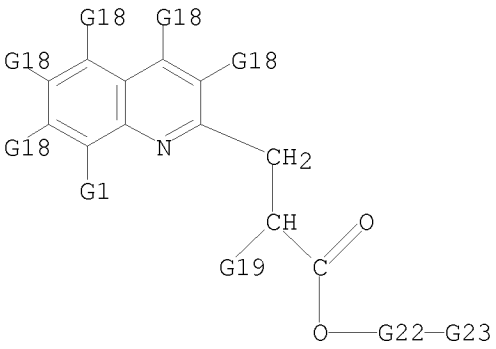
GI



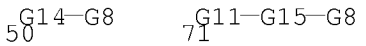
I

AB The present invention relates to methods for detecting and/or measuring the activity of a specific protein kinase, with the methods comprising contacting one or more kinases with a binding agent to isolate a specific kinase of interest. The isolated kinase is then contacted with a kinase activity sensor, where the kinase activity sensor is comprised of a kinase recognition motif that is capable of being recognized by the isolated kinase, and at least one phosphorylation site. The isolated kinase phosphorylates the amino acid target of the kinase activity sensor and levels of the phosphorylated target amino acid can then be quantified. Thus, a mouse monoclonal antibody specific for p38 kinase is attached to the wells of a 96-well plate. After the antibody captures the specific kinase of interest (p38) from murine macrophage cells, a kinase activity sensor comprising the kinase recognition motif AHLQRLSI9(dP), where dP is D-proline, and the metal binding amino acid SOX (I) are added to the wells along with ATP. The SOX amino acid fluoresces upon chelation of the ternary complex with phosphorylated peptide and magnesium.

MSTR 2

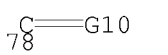


G1 = 50 / 71

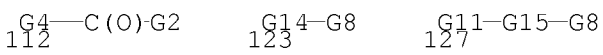


G4 = NH
G8 = NH2 / heterocycle <containing 1-4 heteroatoms,

1 or more N, zero or more O, zero or more S (no other heteroatoms), 1-10 C, attached through 1 or more N> (opt. substd.)
G10 = O
G14 = 78 / SO2



G18 = 112 / 123 / 127



Patent location: claim 14
Note: or tautomers or salts
Stereochemistry: or stereoisomers

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 146:337747 MARPAT
TITLE: Preparation of quinoline compounds as Met kinase inhibitors for the treatment of cancer
INVENTOR(S): Kim, Kyoung S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 22pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070060613	A1	20070315	US 2006-520520	20060913
WO 2007033196	A1	20070322	WO 2006-US35528	20060913

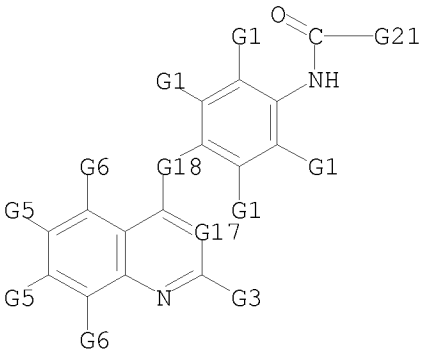
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-716864P 20050914
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. such as I [wherein B = O, S, SO2, etc.; X, A, D = N or (un)substituted CH; R1 = H, halo, cyano, etc.; R3a, R4a, R9 = H, (un)substituted alkyl, aryl, etc.; R5 - R8 = H, halo, NO2, etc.], which are useful as Met kinase inhibitors and anticancer agents (no data), were prepared For example, II was synthesized as TFA salt in 30% yield by amidation of the corresponding dihydropyridinecarboxylic acid with (quinolinyloxy)aniline.

MSTR 1



G1 = 194

G14—G13
194

G5 = 222 / 224 / 229 / 232

G14—G13 C(O)—G7 O2S——G13 G14—G9
222 224 229 232

G7 = 208

G14—G13
208

G13 = heteroaryl <containing 9-10 atoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), bicyclic> (opt. substd.)
G14 = NH
G17 = 183

C——G5
183

G18 = NH

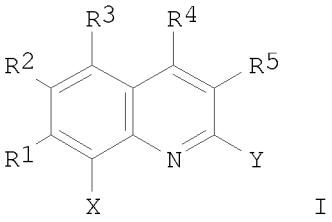
Patent location: claim 1

L5 ANSWER 21 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 146:229194 MARPAT
TITLE: Preparation of polyquinoline metal ligand complexes and the therapeutic use thereof in treatment of neurodegenerative disorders
INVENTOR(S): Deraeve, Celine; Pitie, Marguerite; Boldron, Christophe; Meunier, Bernard
PATENT ASSIGNEE(S): Palumed S.A., Fr.; Centre National De La Recherche Scientifique (C.N.R.S)
SOURCE: PCT Int. Appl., 133pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

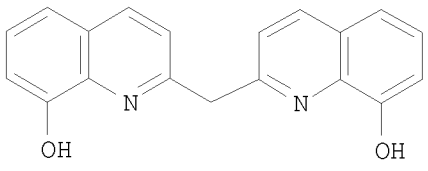
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007015017	A2	20070208	WO 2006-FR1906	20060804
WO 2007015017	A3	20070510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
FR 2889525	A1	20070209	FR 2005-8351	20050804
CA 2616453	A1	20070208	CA 2006-2616453	20060804
EP 1919894	A2	20080514	EP 2006-794293	20060804
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: FR 2005-8351 20050804
WO 2006-FR1906 20060804

GI



I

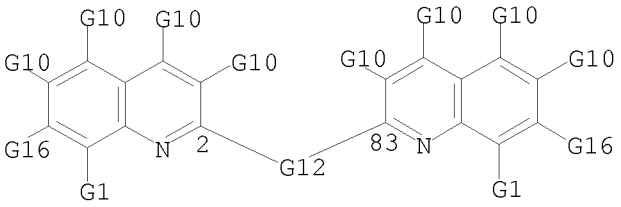


II

AB Polyquinoline I, wherein X is OR, NRR', S(O)pR, OCOR, OCOOR, substituted

N-containing heterocycle; Y is N-containing heterocycle, H, OR, NRR', halogen, CN, CF3, alkyl; R and R' are independently H, cycloalkyl, alkyl; R1-R5 are independently H, OR, NRR', halogen, CN, CF3, S(O)pR, COOR, OCOOR, CONRR', NRCOOR', alkyl; p is 1-2; were prepared and used thereof in the form of therapeutic agents in treatment of neurodegenerative disorders such as Alzheimer, Parkinson, encephalopathy, Huntington, amyotrophic lateral sclerosis, Down's syndrome. Thus, quinoline II ligand complexes with copper and zinc were prepared and used in the treatment of neurodegenerative disorders. Title metal complexes were tested in vitro and used to dissolve β-amyloid peptide aggregates and inhibit or diminish to generation of H2O2 for the treatment of Alzheimer, Parkinson, encephalopathy, Huntington, amyotrophic lateral sclerosis, Down's syndrome diseases.

MSTR 1A



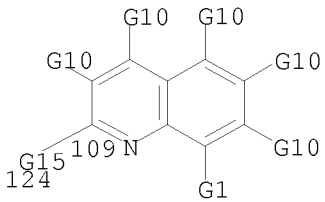
G7 = carbocycle <containing 3-11 C, non-aromatic, 0 or more double bonds, 1-3 rings> (opt. substd.)
G9 = NH2 / 46

G6—G7
46

G10 = 55 / 70

G11—G7 C(O)-G9
55 70

G11 = NH
G12 = 124



G16 = 161

G11—G7
161

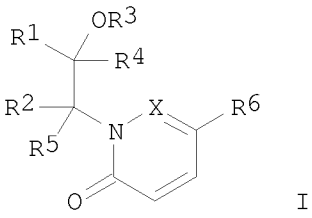
Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable hydrates, solvates, salts, or esters
Stereochemistry: or stereoisomers or mixtures

L5 ANSWER 22 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 146:100570 MARPAT
TITLE: Pyridinones and pyridazinones as potassium channel inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Brendel, Joachim; Englert, Heinrich Christian; Wirth, Klaus; Wagner, Michael; Ruxer, Jean-Marie; Pilorge, Fabienne
PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 54pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006136304	A1	20061228	WO 2006-EP5578	20060610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102005028862	A1	20070111	DE 2005-102005028862	20050622
AU 2006261316	A1	20061228	AU 2006-261316	20060610
CA 2610075	A1	20061228	CA 2006-2610075	20060610
EP 1896416	A1	20080312	EP 2006-754277	20060610
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080188477	A1	20080807	US 2007-954396	20071212
MX 200715970	A	20080306	MX 2007-15970	20071214
IN 2007CN05882	A	20080627	IN 2007-CN5882	20071220
KR 2008018903	A	20080228	KR 2007-730045	20071221
CN 101203493	A	20080618	CN 2006-80022542	20071221

PRIORITY APPLN. INFO.: DE 2005-102005028862 20050622
WO 2006-EP5578 20060610

GI



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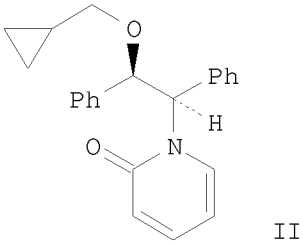
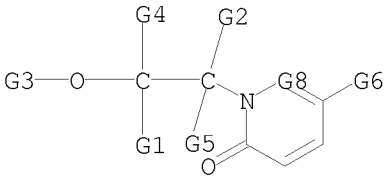


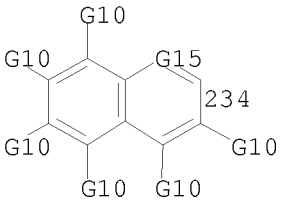
II

AB The invention relates to compds. of the general formula I, which are inhibitors of the Kv1.5 potassium channel. In compds. I, X is CH or N; R1 and R2 are independently selected from (un)substituted Ph, (un)substituted pyridinyl, (un)substituted thienyl, (un)substituted naphthyl, (un)substituted quinolinyl, (un)substituted pyrimidinyl, or (un)substituted pyrazinyl; R3 is (CH2)p-R7, where p is 0-5 and R7 is Me, CH2F, CHF2, CF3, C3-7 cycloalkyl, ethynyl, propynyl, C1-4 alkoxy, (un)substituted Ph, or (un)substituted 2-pyridinyl; R4 and R5 are independently selected from H and C1-3 alkyl; and R6 is H, F, Cl, CF3, or C1-3 alkyl; including pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising an effective amount of at least one compound I with pharmaceutically acceptable carriers and additives, optionally in combination with other pharmacol. active ingredients, as well as to the use of the compns. for the treatment and prophylaxis of atrial arrhythmias, for example atrial fibrillation (AF) or atrial flutter. Ring opening of racemic cis-stilbene oxide with 2(1H)-pyridinone followed by alkylation with cyclopropylmethyl bromide gave (R*,R*)-pyridinone II. Several compds. of the invention, e.g., II, express IC50 values for the Kv1.5 channel of less than 1 μM.

MSTR 1



G2 = 234



G10 = CONH2 / NMe2 / SO2NH2
G15 = N
Patent location: claim 1
Note: and pharmaceutically acceptable salts and trifluoroacetates
Note: substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 146:81895 MARPAT
TITLE: Piperazine-piperidine antagonists and agonists of the 5-HT1A receptor and their preparation, pharmaceutical compositions, and use in the treatment of central nervous system disorders
INVENTOR(S): Asselin, Magda; Grosu, George Theodore; Sabb, Anmarie Louise; Childers, Wayne Everett; Havran, Lisa Marie; Shen, Zhongui; Bicksler, James Jacob; Chong, Dan Chaekoo
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 219pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

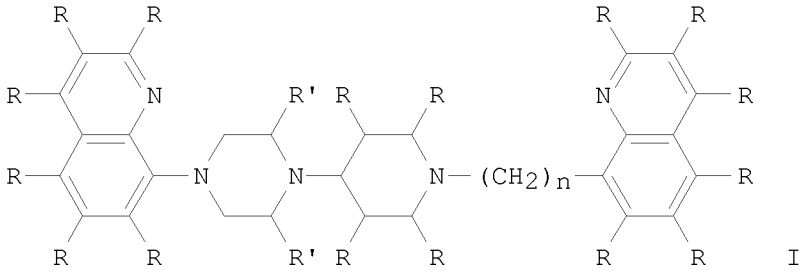
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006135839	A2	20061221	WO 2006-US22719	20060609
WO 2006135839	A3	20071122		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,

SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2006257874	A1	20061221	AU 2006-257874	20060609
CA 2611711	A1	20061221	CA 2006-2611711	20060609
US 20070027160	A1	20070201	US 2006-450942	20060609
EP 1888559	A2	20080220	EP 2006-772861	20060609
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2007KN04732	A	20080215	IN 2007-KN4732	20071205
MX 200715678	A	20080220	MX 2007-15678	20071210
NO 2007006344	A	20080227	NO 2007-6344	20071211
KR 2008021134	A	20080306	KR 2008-700800	20080110
CN 101243073	A	20080813	CN 2006-80029248	20080205
PRIORITY APPLN. INFO.:			US 2005-689469P	20050610
			WO 2006-US22719	20060609

GI



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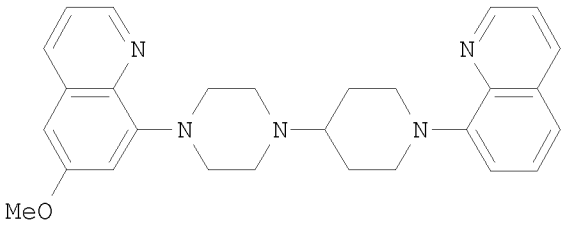
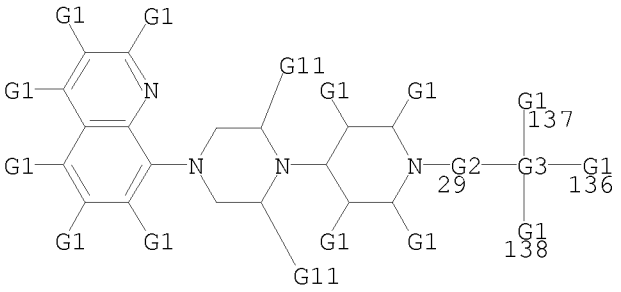


II

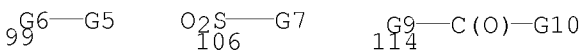
AB The invention relates to novel piperazine-piperidine compds. of formula I. Compds. of formula I wherein each R are independently H, C1-6 (halo)alkyl, C2-6 alkenyl, C2-6 alkynyl, halo, CF3, NO2, CN, OH and derivs., OSO2H and derivs., SH and derivs., SO2H and derivs., etc.; each R' are independently H and Me; and their pharmaceutically acceptable salts are claimed. The compds. are useful as 5-HT1A binding agents, particularly as 5-HT1A receptor antagonists and agonists. These compds. are useful in treating central nervous system disorders, such as cognition disorders, anxiety disorders, depression and sexual dysfunction. Example compound II was prepared by cyclization of 4-amino-3-chlorophenol with glycerol; the

resulting 8-chloro-6-hydroxyquinoline underwent methylation to give 8-chloro-6-methoxyquinoline, which underwent substitution with N-Boc-piperazine to give 6-methoxy-8-[1-(tert-butoxycarbonyl)-4-piperazino]quinoline, which underwent hydrolysis to give 6-methoxy-8-piperazinoquinoline, which underwent reductive alkylation with 1-(quinolin-8-yl)piperidin-4-one to give compound II. All the invention compds. were evaluated for their 5-HT1A antagonistic and agonistic activity. From the assay, it was determined that compound II exhibited an 5-HT1A affinity with a Ki value of 0.40 nM and antagonistic activity with IC50 og 3.86 nM.

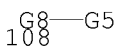
MSTR 1



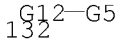
G1 = 99 / 106 / 114



G2 = (0-2) CH2
G5 = carbon chain <containing 1-6 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd. by G4)
G6 = NH
G7 = NH2 / 108



G9 = bond
G10 = NH2 / 132

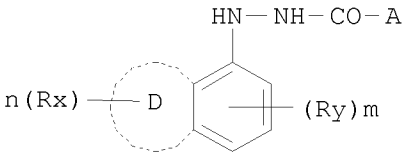


Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 15
Note: and pharmaceutically acceptable salts and hydrates

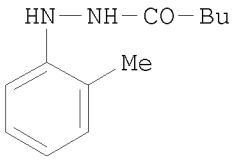
L5 ANSWER 24 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:438538 MARPAT
TITLE: Preparation of quinolin-5-yl acylhydrazide derivatives as p2x7 antagonists and use as antinociceptive prodrugs
INVENTOR(S): Nelson, Derek W.; Jarvis, Michael F.; Carroll, William A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 79pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006110516	A1	20061019	WO 2006-US12989	20060405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20060276505	A1	20061207	US 2006-400492	20060407
PRIORITY APPLN. INFO.:			US 2005-670208P	20050411

GI



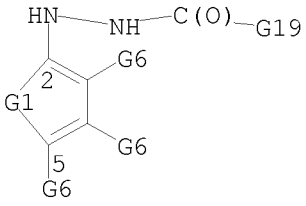
I



II

AB Quinolin-5-yl acylhydrazide derivs. I wherein D is a 5 or 6 membered heteroaryl ring; A is an alkyl, cycloalkyl, heterocyclic ring, etc.; m is 0 to 3; n is 0 to 4; Rx and Ry are independently selected from alkyl, alkenyl, halo, nitro cyano, etc are prepared as prodrugs with antinociceptive properties. Thus, II was prepared and tested for its in vitro IL-1β release and in vivo antinociceptive effects (no data). Further, I can be employed in the treatment of pain, neuropathic pain, inflammation, chronic inflammatory pain, neurodegeneration, depression and promoting neuroregeneration.

MSTR 1



G1 = 13

G2—G6
13 14

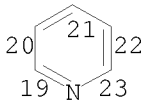
G2 = 15

G3—G6
15 16

G3 = 17

G4—G6
17 18

G4 = 22-2 23-5 19-14 20-16 21-18



G6 = CONH2 / 134 / 146 / 156 / 166 / 185 / 187

G8—G10 G12—G14 G15—G17 G15—G18—G15—G17 G12—G15—G17
134 146 156 166 185

G12—G15—G18—G15—G17
187

G8 = NH
G10 = alkylcarbonyl <containing 1-10 C>
G14 = 150

G8—G10
150

G17 = 158

G8—G10
158

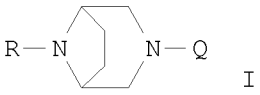
Patent location: claim 1
Note: additional derivatization also disclosed
Note: additional oxo formation also claimed
Note: or pharmaceutically acceptable salts or prodrugs

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:419178 MARPAT
TITLE: Preparation of novel substituted diazabicyclooctane derivatives as monoamine neurotransmitter re-uptake inhibitors
INVENTOR(S): Peters, Dan; Nielsen, Elsebet Oestergaard; Redrobe, John Paul
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: PCT Int. Appl., 25pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006106090	A1	20061012	WO 2006-EP61261	20060403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1869050	A1	20071226	EP 2006-725507	20060403
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: DK 2005-466 20050404
US 2005-667669P 20050404
WO 2006-EP61261 20060403
OTHER SOURCE(S): CASREACT 145:419178
GI

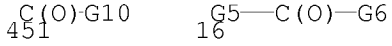


I

AB The title compds. I [R = H, (un)substituted alkyl; Q = (un)substituted bicyclic aryl], useful as monoamine neurotransmitter re-uptake inhibitors, were prepared E.g., a multi-step synthesis of 2-(8-methyl-3,8-diazabicyclo[3.2.1]oct-3-yl)-6-nitroquinoline (II), starting from di-Et meso-2,5-dibromoadipate, was given. II showed IC50 of 16 μM, 4.6 μM and 0.0031 μM when tested for their ability to inhibit the reuptake of the monoamine neurotransmitters: dopamine, noradrenaline and serotonin in synaptosomes, resp. In other aspects the invention relates to the use of compds. I in a method for therapy and to pharmaceutical compns. comprising the compds. I.

MSTR 1

G2 = quinolinyl (opt. substd. by 1 or more G4)
G4 = 451 / 16



G5 = NH
G10 = NH2
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Stereochemistry: and isomers and mixtures

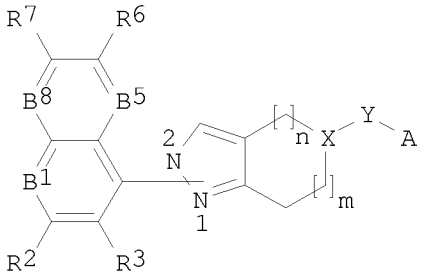
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:397513 MARPAT
TITLE: Preparation of tetrahydroindazoles and analogs as inhibitors of DNA gyrase and topoisomerase IV for the treatment of bacterial infection
INVENTOR(S): Allison, Brett D.; Gomez, Laurent; Grice, Cheryl A.; Hack, Michael D.; Morrow, Brian J.; Motley, Timothy S.; Santillan, Alejandro; Shaw, Karen J.; Schwarz, Kimberly L.; Tang, Liu Y.; Venkatesan, Hariharan; Wiener, John J. M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 172pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

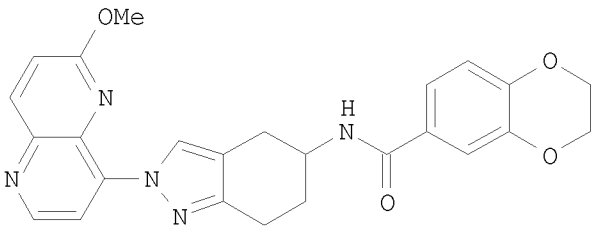
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2006105289 A1 20061005 WO 2006-US11631 20060330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
AU 2006230364 A1 20061005 AU 2006-230364 20060330
CA 2603322 A1 20061005 CA 2006-2603322 20060330
US 20060223810 A1 20061005 US 2006-393558 20060330
EP 1863483 A1 20071212 EP 2006-748931 20060330
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
MX 200712234 A 20080318 MX 2007-12234 20071001
CN 101184487 A 20080521 CN 2006-80019054 20071129
PRIORITY APPLN. INFO.: US 2005-667198P 20050331
WO 2006-US11631 20060330

GI



I

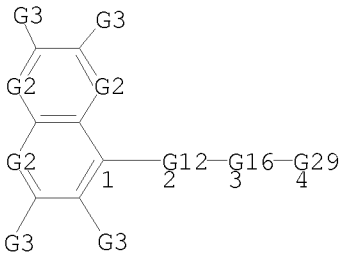


II

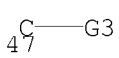
AB Bicyclic pyrazole compds. I [wherein B1, B5, B8 = (un)substituted CH or N; R2, R2, R6, R7 = H, (un)substituted alkyl, cycloalkyl, etc.; m = 0-1; n = 1-2; X = CH or N; Y = C(O), CH2C(O) or (un)substituted alkylene, etc.; A = (un)substituted (hetero)aryl; N1 or N2 is the anchoring site, with limitations] and isomers, racemates, tautomers, hydrates, solvates, pharmaceutically acceptable salts, esters, or amides thereof were prepared as antibacterial agents. For instance, tetrahydroindazole II was

synthesized in 30% yield by EDC/HOBt-mediated amidation of the corresponding benzodioxinecarboxylic acid with indazolamine in DMF. I showed inhibition against E. coli DNA gyrase and topoisomerase IV and antibacterial activity against both susceptible and resistant bacterial strains. Therefore, the invented compds. are useful for the treatment, prevention or inhibition of bacterial infection.

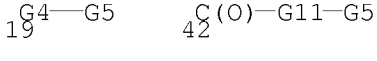
MSTR 1



G2 = 47 / N



G3 = 19 / 42 / CONH2



G4 = NH
G5 = cycloalkyl <containing 3-6 C> (opt. substd.)
G11 = NH
Patent location: claim 1
Note: substitution is restricted
Note: or tautomers, hydrates, solvates, pharmaceutically acceptable salts, esters, or amides
Stereochemistry: or isomers or racemates

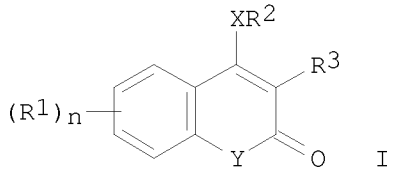
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:397380 MARPAT
TITLE: Preparation of 3,4-disubstituted coumarins and quinolones for treatment of hepatitis C virus (HCV) infection.
INVENTOR(S): Xu, Bin; Zhu, Qiang; Cho, Hyun-Joon; Fathi, Reza; Yang, Zhen; Sandrasagra, Anthony; Liu, Yixin
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 74pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060223783	A1	20061005	US 2005-93846	20050329

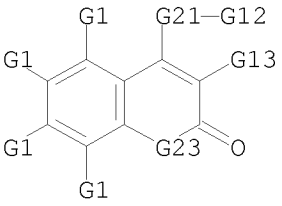
PRIORITY APPLN. INFO.: US 2005-93846 20050329
GI



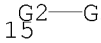
I

AB Title compds. (I; R1 = alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aralkyl, aryl, heteroaryl, halo, phosphate, phosphonate, etc.; 2 adjacent R1 may form a 5-6 membered (substituted) ring; n = 0-4; R2 = aralkyl, aryl, heteroaryl, cycloalkyl, cycloalkenyl; R3 = alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl, aryl, heteroaryl, etc.; X = O, NR4; Y = O, NR5; R4, R5 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl, aryl, heteroaryl, etc.), were prepared Thus, 4-hydroxycoumarin, 4-bromomethyltoluene, and K2CO3 were refluxed together in acetone overnight to give 10% I (X, Y = O; R2, R3 = 4-MeC6H4CH2; n = 0). In an HCV replicon luciferase assay, the latter showed an IC50 = 8.29 μM.

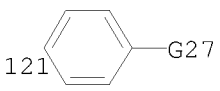
MSTR 1



G1 = 15



G2 = SO2
G3 = heteroaryl <containing zero or more N, zero or more O, zero or more S> (opt. substd.)
G12 = 121



G13 = 83

C(O)-G16
83

G16 = NH2
G21 = NH
G23 = 103

N——G24
103

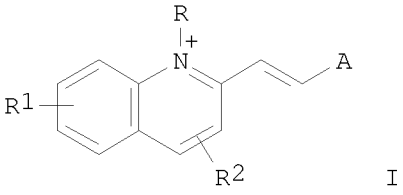
Patent location: claim 1
Note: or pharmaceutically acceptable salts or hydrates

L5 ANSWER 28 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:188748 MARPAT
TITLE: Preparation of quinolinium salts as anticancer drugs.
INVENTOR(S): Macdonald, James E.; Hysell, Michelle K.; Yu, Dehua; Li, Henry; Wong-Staal, Flossie
PATENT ASSIGNEE(S): Immusol Incorporated, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006078754	A1	20060727	WO 2006-US1793	20060118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006206555	A1	20060727	AU 2006-206555	20060118
CA 2595224	A1	20060727	CA 2006-2595224	20060118
EP 1841428	A1	20071010	EP 2006-718811	20060118
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101106992	A	20080116	CN 2006-80002590	20060118
JP 2008527047	T	20080724	JP 2007-552241	20060118
KR 2007111490	A	20071121	KR 2007-718962	20070817
IN 2007CN03624	A	20071116	IN 2007-CN3624	20070820
PRIORITY APPLN. INFO.:			US 2005-645093P	20050118

US 2005-715257P 20050908
WO 2006-US1793 20060118

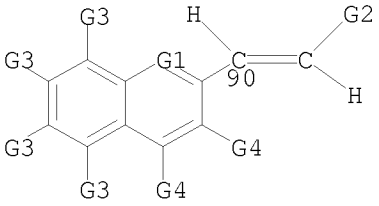
GI



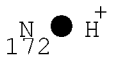
I

AB Title compds. e.g. [I; A = (substituted) Ph, heteroaryl; R = H, (substituted) alkyl, Ph, phenylalkyl; R1, R2 = H, CHO, cyano, (substituted) alkyl, (bicyclic) heterocyclyl, etc.], were prepared Thus, pyrvinium pamoate in CHCl3/EtOH at 50° was treated with H3PO4 in EtOH to precipitate pyrvinium phosphate. The latter showed IC50 <0.03 μM against MCF7 breast cancer cells in soft agar culture.

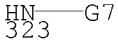
MSTR 2



G1 = 172



G3 = CONH2
G4 = CONH2 / 323



G7 = alkyl <containing 1-12 C> (opt. substd.)
Patent location: claim 25
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional ring formation also claimed
Stereochemistry: 90-E

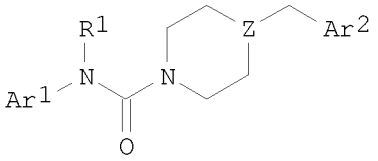
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

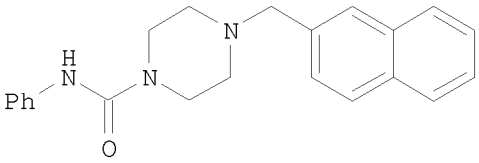
L5 ANSWER 29 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:145748 MARPAT
TITLE: Piperazinyl and piperidinyl ureas as modulators of fatty acid amide hydrolase
INVENTOR(S): Apodaca, Richard; Breitenbucher, J. Guy; Pattabiraman, Kanaka; Seierstad, Mark; Xiao, Wei
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006074025	A1	20060713	WO 2005-US47329	20051229
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005322920	A1	20060713	AU 2005-322920	20051229
CA 2596393	A1	20060713	CA 2005-2596393	20051229
US 20060173184	A1	20060803	US 2005-321710	20051229
EP 1836179	A1	20070926	EP 2005-855824	20051229
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008526755	T	20080724	JP 2007-549603	20051229
MX 200708134	A	20080116	MX 2007-8134	20070702
IN 2007KN02653	A	20070831	IN 2007-KN2653	20070717
NO 2007003923	A	20070905	NO 2007-3923	20070726
KR 2007094635	A	20070920	KR 2007-717328	20070727
CN 101146786	A	20080319	CN 2005-80048813	20070828
PRIORITY APPLN. INFO.:			US 2004-640869P	20041230
			WO 2005-US47329	20051229

GI



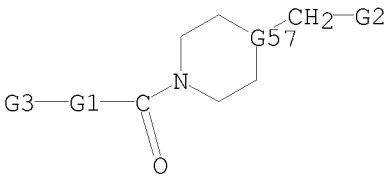
I



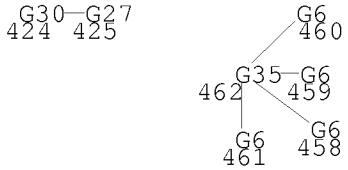
II

AB Title compds. I [Z = N, CH; R1 = H, alkyl; Ar1 = (un)substituted 2-thiazolyl, 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, Ph; Ar2 = (un)substituted 1-naphthyl, phenanthrenyl, pyrenyl, fluorenyl, 2-naphthyl, etc.; and their pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs, and pharmaceutically active metabolites] were prepared as fatty acid amide hydrolase (FAAH) inhibitors. For example, reacting piperazine-1-carboxylic acid tert-Bu ester with Ph isocyanate, followed by Boc-deprotection and reductive alkylation with 2-naphthaldehyde gave piperazinyl urea II, which exhibited an IC50 of 17 nM in an FAAH assay. Thus, I and their pharmaceutical compns., are useful for treating disease states, disorders, and conditions mediated by FAAH, e.g., anxiety, pain, inflammation, sleep disorders, eating disorders, or movement disorders (such as multiple sclerosis).

MSTR 1B



G2 = 424 / 462



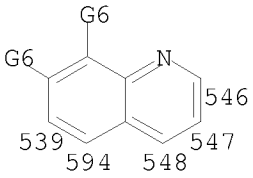
G6 = alkylamino <containing 1-4 C> / 54

G8—G9
54

G8 = C(O) / SO2
G9 = NH2
G27 = 628

G8—G9
628

G35 = 546-7 547-460 548-459 594-458 539-461



Patent location: claim 1
Note: or pharmaceutically acceptable salts, prodrugs, or metabolites

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:145559 MARPAT
TITLE: Heteroaromatic quinoline compounds as phosphodiesterase inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Verhoest, Patrick Robert; Helal, Christopher John; Hoover, Dennis Jay; Humphrey, John Michael
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006072828	A2	20060713	WO 2005-IB3937	20051222
WO 2006072828	A3	20061109		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

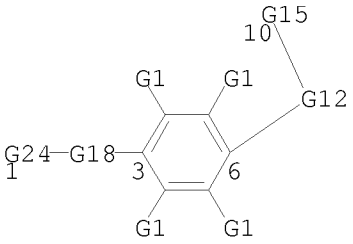
AU 2005323794	A1	20060713	AU 2005-323794	20051222
CA 2592986	A1	20060713	CA 2005-2592986	20051222
EP 1841757	A2	20071010	EP 2005-824101	20051222
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101098866	A	20080102	CN 2005-80046085	20051222
JP 2008526825	T	20080724	JP 2007-549961	20051222
US 20060154931	A1	20060713	US 2006-326221	20060105
NL 1030863	A1	20060710	NL 2006-1030863	20060106
NL 1030863	C2	20061228		
NO 2007002918	A	20070705	NO 2007-2918	20070607
IN 2007DN04794	A	20070817	IN 2007-DN4794	20070621
KR 2007091005	A	20070906	KR 2007-715460	20070705
MX 200708287	A	20070907	MX 2007-8287	20070706
PRIORITY APPLN. INFO.:			US 2005-642058P	20050107
			WO 2005-IB3937	20051222

GI

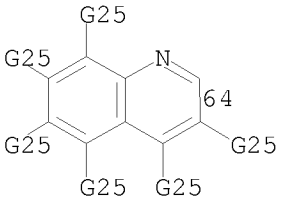
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to heteroaryl quinoline derivs. of formula I, which are phosphodiesterase (PDE) inhibitors, in some cases selective PDE-10 inhibitors. In compds. I, each R1 is independently selected from H, halo, OH, cyano, C1-8 alkyl, C2-8 alkenyl, C1-8 alkoxy, 4- to 7-membered heterocyclyl, etc.; p is 0-3; Het1 is (un)substituted mono- or bicyclic heteroaryl; Het2 is (un)substituted mono- or bicyclic heteroaryl, where Het2 is vicinal to the Ph ring on Het1; X1 and X2 are independently selected from O, S, (un)substituted N, and (un)substituted C, where are least one of X1 and X2 is C; and each Y is independently selected from N and (un)substituted C; provided that Het2 is not a tetrazole. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I, as well as to the use of the compns. for the treatment of neurodegenerative and psychiatric disorders, such as psychosis. Substitution of 2-(chloromethyl)quinoline with Me 4-hydroxybenzoate followed by hydrolysis and amidation gave Weinreb amide II, which underwent addition of deprotonated 4-methylpyridine to give ketone III. Condensation of III with N-(dimethoxymethyl)-dimethylamine and heterocyclization with hydrazine gave pyrazole IV. The compds. of the invention express IC50 values for PDE-10 inhibition of less than 10 μM (no specific data).

MSTR 1



G24 = 64



G25 = alkylamino <containing 1-8 C> / 56

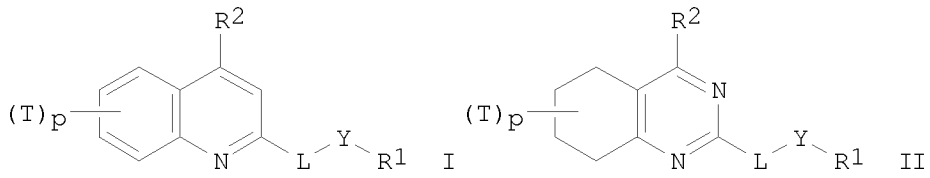
C(O)-G31
56

G31 = NH2
Patent location: claim 1
Note: substitution is restricted

L5 ANSWER 31 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:488666 MARPAT
TITLE: Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment of CNS disorders
INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Yukihiro; Omodera, Katsunori; Busujima, Takeshi; Tran, Thuy-Ahn; Han, Sangdong; Casper, Martin; Brian, A. Kramer; Semple, Graeme; Zou, Ning
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Arena Pharmaceutical Inc.
SOURCE: Jpn. Kokai Tokkyo Koho, 781 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

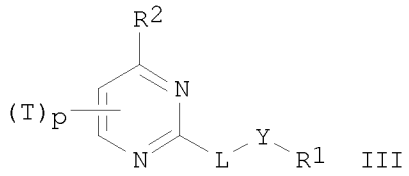
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006124387	A	20060518	JP 2005-286311	20050930
PRIORITY APPLN. INFO.:			JP 2004-287659	20040930

GI

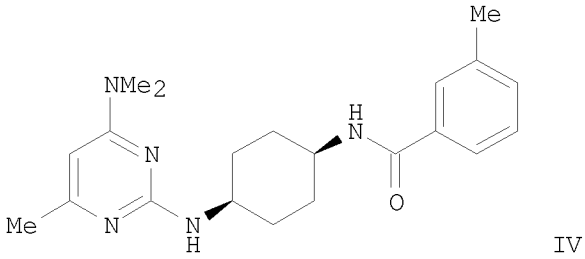


I

II



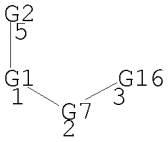
III



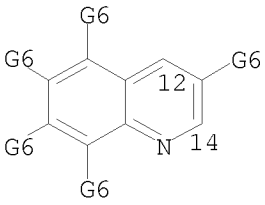
IV

AB Title compds. [I, II, III; wherein R1 = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un)substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un)substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide (IV)•TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data).

MSTR 1



G1 = 12-5 14-2

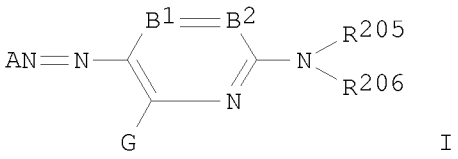


G2 = NHNH2
G6 = CONH2
Patent location: claim 1
Note: substitution is restricted
Note: additional substitution also claimed

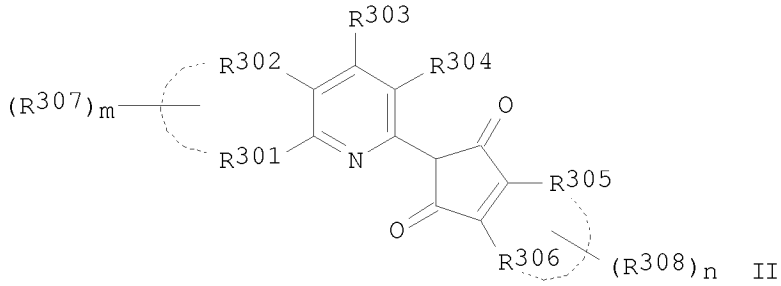
L5 ANSWER 32 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:360024 MARPAT
TITLE: Colored hardenable composition for color filter and production method of color filter
INVENTOR(S): Kato, Yasuhiro; Seto, Nobuo; Mizukawa, Hiroki; Fujimori, Toru
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 72 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006091190	A	20060406	JP 2004-274216	20040921

PRIORITY APPLN. INFO.: JP 2004-274216 20040921
GI



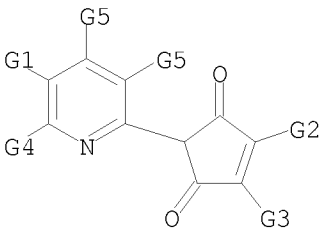
I



II

AB The invention relates to a colored hardenable composition, suited for use in making a color filter of a solid state camera and a liquid crystal display, comprising compds. represented by I [A = five member heterocyclic residue; B1 = CR201 or N, B2 = CR202 or N, and B1 and B2 may not be N simultaneously; R205 and R 206 = H, aliphatic, aromatic, etc., and R205 and R206 may not be H simultaneously; G, R201, and R202 = H, halo, aliphatic, aromatic, etc.; R202 and R205, and/or R205 and R206 may join to form a 5 or 6 member ring] and II [R303, R304, R307 and R308 = H, halo, aliphatic, aromatic, etc.; R301, R302, R305, and R306 = C, H, halo, aliphatic, etc., and R301,R302 and R305, R306 may form a 5- or 6-member carbon ring; m and n = 0-4 integer].

MSTR 2



G5 = CONH2 (opt. substd.) / acylamino /
SO2NH2 (opt. substd.)
G1 +G4 = CH=CHCH=CH (opt. substd. by 1 or more G5)
Patent location: claim 1
Note: additional ring formation also claimed

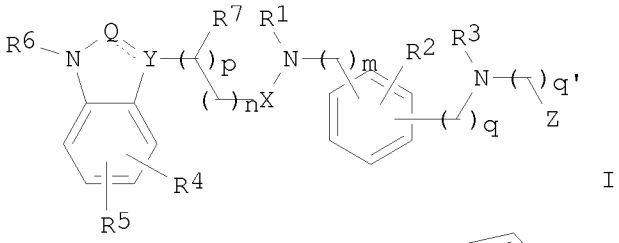
L5 ANSWER 33 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:350543 MARPAT
TITLE: Preparation of indole derivatives as inhibitors of interaction between MDM2 and p53
INVENTOR(S): Lacrampe, Jean Fernand Armand; Meyer, Christophe;

Ligny, Yannick Aime Eddy; Csoka, Imre Christian Francis; Van Hijfte, Luc; Arts, Janine; Schoentjes, Bruno; Wermuth, Camille Georges; Giethlen, Bruno; Contreras, Jean-Marie; Joubert, Muriel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

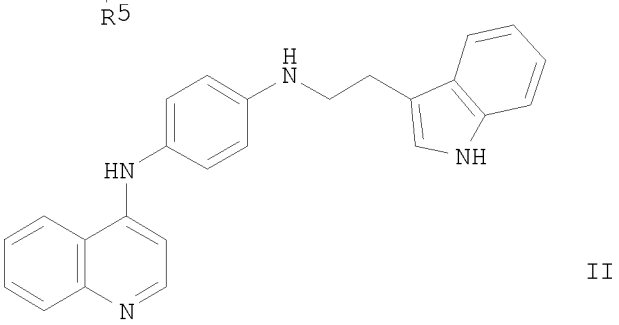
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006032631	A1	20060330	WO 2005-EP54604	20050916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005286525	A1	20060330	AU 2005-286525	20050916
CA 2579915	A1	20060330	CA 2005-2579915	20050916
EP 1809622	A1	20070725	EP 2005-786991	20050916
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101023074	A	20070822	CN 2005-80031755	20050916
JP 2008513532	T	20080501	JP 2007-532886	20050916
BR 2005015594	A	20080729	BR 2005-15594	20050916
US 20080039472	A1	20080214	US 2007-575552	20070319
IN 2007DN02175	A	20070803	IN 2007-DN2175	20070321
MX 200703375	A	20070507	MX 2007-3375	20070322
KR 2007058622	A	20070608	KR 2007-708663	20070417

PRIORITY APPLN. INFO.: EP 2004-77630 20040922
US 2004-613902P 20040928
WO 2005-EP54604 20050916

GI



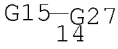
I



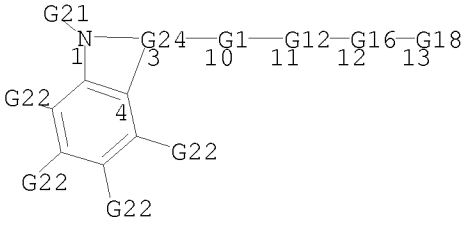
II

AB The title compds. I [wherein m = 0-2; n = 0-3; p, q and q' = independently 0 or 1; X = CO or (un)substituted CH2; Q-Y = (un)substituted CH=C, CO-CH, CO-N, CH2-CH, or CH2-N; R1 = H, aryl, heteroaryl, alkyl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = H, alkyl, heteroaryl, etc.; R4 and R5 = independently H, halo, alkyl, CN, etc.; R6 = H, alkoxycarbonyl, or alkyl; Z = (un)substituted heteroaryl; with provisos] or N-oxides, salts, or stereoisomers thereof are prepared as inhibitors of interaction between MDM2 and p53. For example, the compound II•xHCl was prepared in a multi-step synthesis. I showed inhibitory effect on cell proliferation. Formulations containing I as an active ingredient were also described.

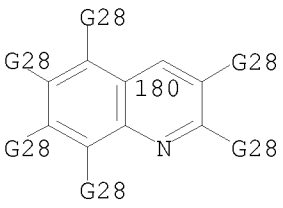
MSTR 1



G15 = 13



G16 = phenylene (opt. substd. by (up to 1) G17)
G18 = NH
G27 = 180



G28 = 298 / CONH2 (opt. substd.)

C(O)-G29
298

G29 = heteroaryl <containing up to 14 atoms,
1-5 heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms), 1-3 rings>
(opt. substd.)
Patent location: claim 1
Note: and N-oxides or addition salts
Note: additional ring formation also claimed
Note: also incorporates claim 10
Stereochemistry: or sterochemical isomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

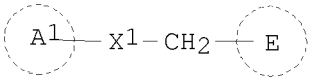
L5 ANSWER 34 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:232928 MARPAT
TITLE: Preparation of heterocyclic compounds as novel antimalaria agents
INVENTOR(S): Nakamoto, Kazutaka; Matsukura, Masayuki; Tanaka, Keigo; Inoue, Satoshi; Tsukada, Itaru; Haneda, Toru; Ueda, Norihiro; Abe, Shinya; Sagane, Koji
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006016548	A1	20060216	WO 2005-JP14505	20050808

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM
WO 2005033079 A1 20050414 WO 2004-JP14063 20040927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1782811 A1 20070509 EP 2005-768893 20050808
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU
IN 2007DN00839 A 20070803 IN 2007-DN839 20070131
PRIORITY APPLN. INFO.: JP 2004-232617 20040809
WO 2004-JP14063 20040927
JP 2005-82760 20050322
JP 2003-342273 20030930
JP 2004-68186 20040310
WO 2005-JP14505 20050808

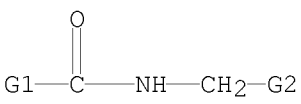
GI



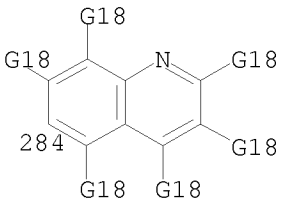
I

AB Antimalaria agents containing compds. represented by the formula (I) (wherein A1 = each optionally substituted 3-pyridyl or 6-quinolyl; X1 = -C(:Y1)-NH-; Y1 = O; E = each optionally substituted furyl, thienyl, or phenyl; provided that A1 may have one to three substituents and E has one or two substituents), salts of the compds., or hydrates of either are disclosed. Thus, a solution of 2-aminonicotinic acid and [[5-(3-chlorobenzyl)furan-2-yl]methyl]amine in DMF was treated with benzotriazol-1-yl-tris(dimethylamino)phosphonium hexafluorophosphate and Et3N and stirred at 80° for 40 min to give 2-amino-N-[5-(3-chlorobenzyl)furan-2-ylmethyl]nicotinamide (II). II showed min. inhibitory concentration of 6.25 μg/mL against yeast expressing plasmodium GWT1 gene (opfGWT1).

MSTR 1



G1 = 284



G18 = CONH2 / alkylamino <containing 1-6 C>
(opt. substd.)
Patent location: claim 1
Note: or salts or hydrates

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 35 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:150653 MARPAT
TITLE: Preparation of dipeptide analogs as hepatitis C inhibitors
INVENTOR(S): Bailey, Murray, D.; Bhardwaj, Punit; Ghiro, Elise; Goudreau, Nathalie; Halmos, Teddy; Llinas-Brunet, Montse; Poupart, Marc-Andre; Rancourt, Jean
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

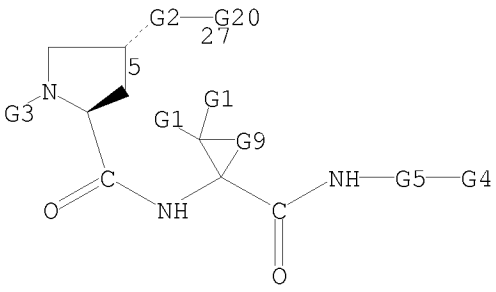
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006007700	A1	20060126	WO 2005-CA1115	20050715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2573219	A1	20060126	CA 2005-2573219	20050715
EP 1771453	A1	20070411	EP 2005-763539	20050715
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008506719	T	20080306	JP 2007-521757	20050715
US 20060046965	A1	20060302	US 2005-185671	20050719
PRIORITY APPLN. INFO.:			US 2004-589435P	20040720
			WO 2005-CA1115	20050715

OTHER SOURCE(S): CASREACT 144:150653
GI

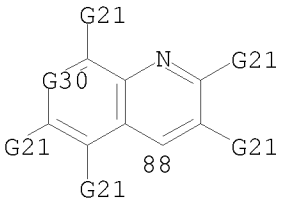
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to peptides I [m, n are 1 or 2; R1 is (halo)alkyl, (halo)alkenyl or (halo)alkynyl; R2 is NH-R5, O-R5, S-R5, SOm-R5, OCH2-R5 or CH2O-R5, where R5 is (un)substituted aryl or heterocyclyl; R3 is carboxylic ester, carbamoyl, sulfinyl, sulfonyl or acyl groups; R4 is (un)substituted alkyl, alkenyl, cycloalkyl, aryl or heterocyclyl (with provisos)] (or racemates, diastereomers or salts) for the treatment of hepatitis C viral infection. Thus, dipeptide II was prepared via peptide coupling reactions in solution and etherification of a hydroxyproline intermediate. Many peptides I have IC50 values < 0.5 μM in the NS3-NS4A protease assay and < 1 μM in the cell-based luciferase HCV RNA replication assay.

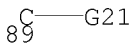
MSTR 1



G2 = NH
G20 = 88



G21 = CONH2
G30 = 89



Patent location: claim 1
Note: additional substitution also claimed
Note: or salts

Stereochemistry: or racemates, diastereomers, and optical isomers

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:45455 MARPAT
TITLE: Tricyclic compounds as inhibitors of the hypoxic signaling pathway for cancer treatment
INVENTOR(S): Melillo, Giovanni; Shoemaker, Robert H.; Cardellina, John H.; Currens, Michael J.; Creighton-Gutteridge, Mark; Uranchimeg, Badarch; Rapisarda, Annamaria; Scudiero, Dominic A.
PATENT ASSIGNEE(S): The Government of the United States of America as Represented by the Secretary, Department of Health, USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

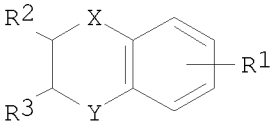
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118580	A2	20051215	WO 2005-US16569	20050511
WO 2005118580	A3	20060803		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

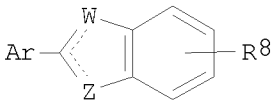
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-570615P 20040512
US 2004-618279P 20041012

GI



I

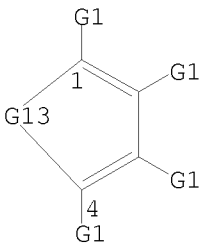


II

AB Tricyclic compds. I (wherein X and Y are independently O, S, N, NR4, CR5 or CR6R7; R1 = one or more substituents independently selected from acyl, acyloxy, alkoxy, alkyl, alkylthio, amino, aryl, aza, CO, carboxamide, diamine, halogen, OH, mercapto, NO, sulfonyl, sulfonamido and sulfato, at least one of which is carboxamide or diamine; R2 and R3 are either joined

to form an (un)substituted six-membered aromatic ring, or one of R2 and R3 is an (un)substituted aryl group; R4, R5, R6 and R7 are independently H or a substituent as defined for R1 above) or II (wherein R8 is defined the same as R1 above; Ar = an (un)substituted aryl group; W and Z = NR9 or =N-; and R9 = H or a substituent as defined for R1 above) that selectively inhibit HIF-1α activity are disclosed. Methods also are disclosed for reducing HIF-1α activity, and for inhibiting angiogenesis, tumorigenesis and/or metastasis, in a subject. In some embodiments, the tricyclic compds. surprisingly inhibit HIF-1α activity at non-cytotoxic concns., thereby avoiding drug side effects associated with significant cytotoxicity.

MSTR 1



G1 = 20 / 26 / 41

20 G18—G6 26 C(O)—G7 41 G5—G19

G6 = any ring <containing 5 or more atoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), aromatic,
2 or more double bonds>

G7 = NH2 / 28

28 G8—G9

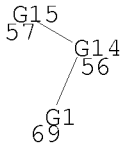
G9 = 37

37 G11—G12

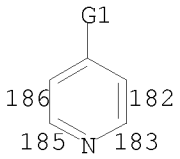
G12 = 39

39 G5—G6

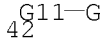
G13 = 56



G14 = 182-1 183-4 185-57 186-69



G18 = NH / SO2
G19 = 42



Patent location: claim 1
Note: all ring carbons can also be nitrogen
Note: substitution is restricted

L5 ANSWER 37 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:347191 MARPAT
TITLE: Preparation of benzyl pyridazinone derivatives as non-nucleoside reverse transcriptase inhibitors
INVENTOR(S): Dunn, James Patrick; Elworthy, Todd Richard; Hogg, Joan Heather; Stefanidis, Dimitrios
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090317	A1	20050929	WO 2005-EP2779	20050316

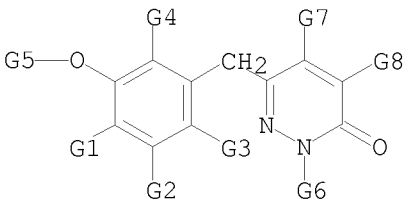
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,

MR, NE, SN, TD, TG
CA 2559552 A1 20050929 CA 2005-2559552 20050316
EP 1730120 A1 20061213 EP 2005-716102 20050316
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
CN 1934092 A 20070321 CN 2005-80008974 20050316
JP 2007530477 T 20071101 JP 2007-504308 20050316
US 20050215554 A1 20050929 US 2005-85869 20050322
US 7288542 B2 20071030
PRIORITY APPLN. INFO.: US 2004-555798P 20040323
WO 2005-EP2779 20050316
OTHER SOURCE(S): CASREACT 143:347191
GI

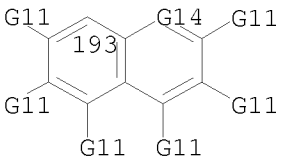
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2, R3 and R4 independently = H, alkyl, haloalkyl, etc.; R5 = (un)substituted aryl or heteroaryl; R6 = (CH2)pOH, CH2CO2R9, CH2OP(O)(OH)2, etc.; R7 and R8 independently = H, amino, alkylamino, etc.; R9 = H or alkyl; p = 1-3] and their pharmaceutically acceptable salts, are prepared and disclosed as non-nucleoside reverse transcriptase (nnRT) inhibitors. Thus, e.g., II was prepared by alkylation of III with formaldehyde. The pharmacokinetic activity was evaluated by orally administering various doses of I to Hanover-Wistar rats and subsequent determination of test compound concentration using HPLC and it was revealed that selected compds. of the invention possessed Cmax values in the range of 2.2 up to 15.5 μg/mL. I as non-nucleoside reverse transcriptase inhibitors should prove useful in the treatment of HIV mediated diseases. Pharmaceutical compns. comprising I are disclosed.

MSTR 1



G5 = 193



G11 = alkylamino <containing 1-6 C> / CONH2

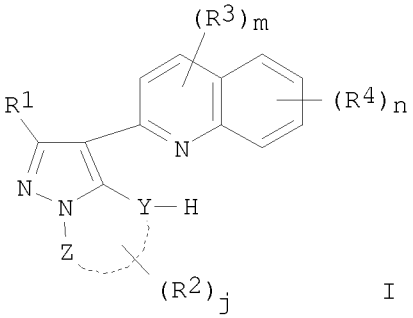
G14 = N
Patent location: claim 1
Note: and pharmaceutically acceptable acid or base addition salts, hydrates, solvates, or clathrates

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

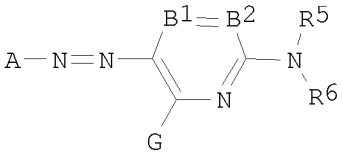
L5 ANSWER 38 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:336409 MARPAT
TITLE: Dye-containing photosensitive material compositions for color filters in solid-state image pickup and in liquid crystal displays
INVENTOR(S): Kato, Yasuhiro; Mizukawa, Hiroki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 60 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005258093	A	20050922	JP 2004-69741	20040311

PRIORITY APPLN. INFO.: JP 2004-69741 20040311
GI



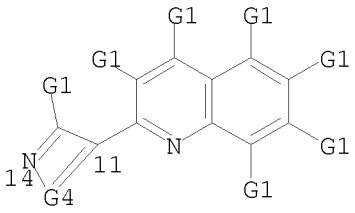
I



II

AB The title composition contains magenta dye I(R1-2 = H, substituent; m = integer 0-2; n, j = integer 0-4; Y = O, N, C; Z = C, N, O, S) and yellow dye II(A = 5-membered heterocyclic ring; B1-2 = -CR7=; -CR8=, N; R5-6 = H, aliphatics, aroms., etc.; G = H, halo, aliphatics, aroms., etc.). The composition shows good storageability and provides red color of light- and heat-resistance.

MSTR 1



G1 = acylamino / CONH2 / SO2NH2
Patent location: claim 1

L5 ANSWER 39 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:266946 MARPAT
TITLE: Preparation of pyridines and related compounds as TGF-β inhibitors
INVENTOR(S): Shimizu, Kiyoshi; Shimizu, Toshiyuki; Kawakami, Kazuki; Nakoji, Masayoshi; Sakai, Teruyuki
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080377	A1	20050901	WO 2005-JP2610	20050218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1724268	A1	20061122	EP 2005-719280	20050218
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: JP 2004-45383 20040220
WO 2005-JP2610 20050218

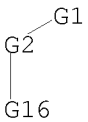
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

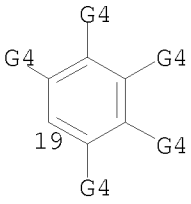
AB Title compds. I [A = II; Z = O, etc.; D1, D2, D3, D4, X, E, G, J, L, M = C, N; further details on D1, D2, D3, D4, X, E, G, J, L, M are given.; R1-R6, R10-R14 = H, halo, etc.] were prepared For example, reaction of

4-chloro-6,7-dimethoxyquinazoline with 5,6-dimethyl-[2,2'-bipyridin]-3-ol, e.g., prepared from 2,3-dimethylfuran in 2 steps, afforded compound III in 81% yield. In TGF-β signal inhibition assays (in vitro), compound III exhibited the inhibitory activity of 89% at 1 μM. Compds. I are claimed useful for the treatment of arthritis, ulcer, etc.

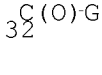
MSTR 1



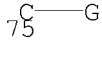
G1 = 19



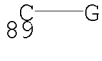
G2 = NH
G4 = 32



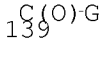
G5 = NH2 / heterocycle <containing 3-9 atoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, non-aromatic, saturated> (opt. substd.)
G8 = 75



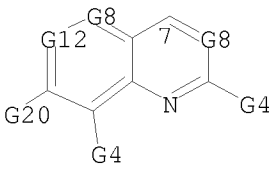
G12 = 89



G13 = 139



G16 = 7



Patent location: claim 1
Note: or pharmaceutically acceptable salts or solvates
Note: additional ring formation also claimed
Note: substitution is restricted
Note: also incorporates claim 68

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 40 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:248301 MARPAT
TITLE: Preparation of substituted quinolines as MTP/Apo-B secretion inhibitors for treating obesity and associated conditions
INVENTOR(S): Bertinato, Peter; Couturier, Michel Andre; Hamanaka, Ernest Seiichi; Ewing, Marcus Douglas; Robinson, Ralph Pelton, Jr.; Tickner, Derek Lawrence
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080373	A1	20050901	WO 2005-IB167	20050124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005214159	A1	20050901	AU 2005-214159	20050124
CA 2555133	A1	20050901	CA 2005-2555133	20050124
EP 1716137	A1	20061102	EP 2005-702327	20050124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1914195	A	20070214	CN 2005-80004041	20050124
BR 2005007462	A	20070710	BR 2005-7462	20050124
JP 2007520543	T	20070726	JP 2006-551942	20050124
US 20050234099	A1	20051020	US 2005-49852	20050203

NL 1028192	A1	20050808	NL 2005-1028192	20050204
NL 1028192	C2	20060530		
US 20060223851	A1	20061005	US 2006-424488	20060615
US 7368573	B2	20080506		
MX 2006PA07785	A	20060926	MX 2006-PA7785	20060706
IN 2006DN03919	A	20070427	IN 2006-DN3919	20060707
KR 799802	B1	20080131	KR 2006-715770	20060803
NO 2006003928	A	20061031	NO 2006-3928	20060901
US 20070093525	A1	20070426	US 2006-554351	20061030
US 7393958	B2	20080701		
PRIORITY APPLN. INFO.:			US 2004-541678P	20040204
			US 2004-633763P	20041206
			WO 2005-IB167	20050124
			US 2005-49852	20050203

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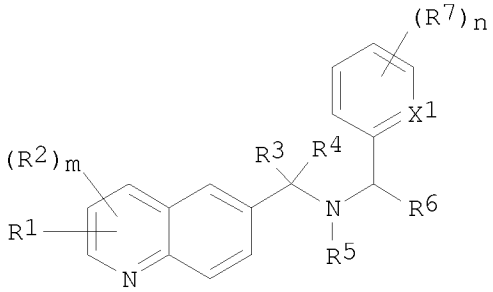
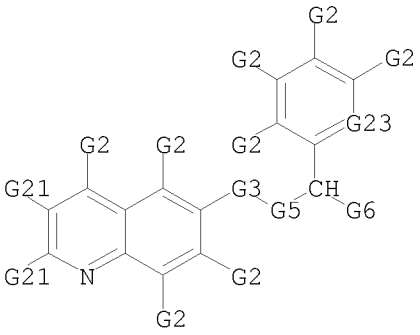


I

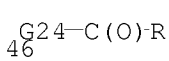
AB This invention relates to MTP/Apo-B secretion inhibitors of Formula (I) wherein R1-R7, X1, m and n are as defined below, as well as pharmaceutical compns. comprising the compds., and methods of use of the compds. and compns. The compds. of the invention are useful in treating obesity and associated diseases, conditions or disorders. For I the variables are: R1 = substituted Ph or pyridine; m = 0-2; n = 0-4; X1 = N or C(Rb) where Rb = H or R7; R2, R7, and R9 = halo, OH, CN, alkyl, alkoxy, alkoxyalkyl, halo-substituted alkyl, halo-substituted alkoxy, alkylthiobenzyloxy, hydroxyalkyl, alkenyl, alkynyl, C(O)N(Rc)(R11), N(R11)C(O)R12, N(R11)CO2R12, N(R11)S(O)sR12, C(O)R12, CO2R12, OC(O)R12, SO2N(Rc)(R11) and S(O)vR12; Rc = H or alkyl; s = 1-2; v = 0-2; R3 and R4 = H or taken together with the C to which they are attached form a carbonyl group; R5 and R10 = H, alkyl, halo-substituted alkyl, cycloalkyl, C(O)R12, alkoxyalkyl, alkylthioalkyl and SO2R12. ;. Variables for I continued: R6 = optionally subsituted alkyl, pyridyl, Ph, phenylalkyl, alkenyl, alkynyl, CH2N(Rc)(R13), C(O)N(R14)(R15), CO2R2O or CH2-W-Y where W = O or S; and Y = H, alkyl, cycloalkyl, optionally substituted cycloalkylalkyl, Ph and phenylalkyl; R11 = H, alkyl, halo-substituted alkyl, cycloalkyl, alkoxyalkyl and alkylthioalkyl; R12 = optionally substituted alkyl or cycloalkyl, group; R13 = alkyl, phenylmethyl, C(O)R16 and S(O)2R16; R14 = H, optionally substituted alkyl, cycloalkyl, cycloalkylalkyl, Ph and phenylalkyl ; R15 = H, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, Ph, phenylalkyl, pyridyl, pyridylalkyl, C(O)R12 and SO2R12; or R15 = (CH2)tN(R17)(R18) where t = 2-4 and R17 and R18 together with the N to which they are attached to form a heterocyclic ring, which is optionally substituted; or R14 and R15 together with the N to which they are attached to form a heterocyclic ring which is optionally

substituted; and R16 = optionally substituted alkyl, Ph or phenylalkyl.

MSTR 1



G2 = 46



G3 = C(O)
G5 = NH
G21 = CONH2 (opt. substd.)
G24 = NH (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts, or prodrugs

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 41 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:211934 MARPAT
TITLE: Preparation of 4-heteroaryloxy-6-piperazinopyrimidines as vanilloid receptor ligands
INVENTOR(S): Wang, Hui-ling; Balan, Chenera; Doherty, Elizabeth M.; Falsey, James R.; Gore, Vijay Keshav; Katon, Jodie; Norman, Mark H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050176726	A1	20050811	US 2005-56568	20050211
AU 2005212517	A1	20050825	AU 2005-212517	20050211
CA 2555685	A1	20050825	CA 2005-2555685	20050211
WO 2005077944	A1	20050825	WO 2005-US4378	20050211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

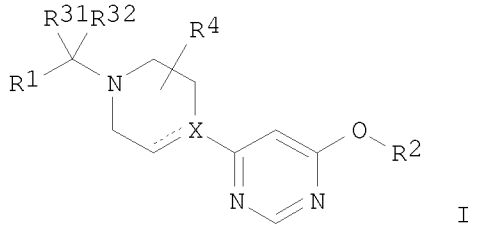
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

EP 1720868	A1	20061115	EP 2005-722962	20050211	
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
CN 1953976	A	20070425	CN 2005-80008675	20050211	
BR 2005007927	A	20070717	BR 2005-7927	20050211	
JP 2007522235	T	20070809	JP 2006-553265	20050211	
MX 2006PA09059	A	20061019	MX 2006-PA9059	20060809	
KR 2007033325	A	20070326	KR 2006-718172	20060906	
KR 813093	B1	20080317			
NO 2006004055	A	20061024	NO 2006-4055	20060908	

PRIORITY APPLN. INFO.: US 2004-543896P 20040211
WO 2005-US4378 20050211

OTHER SOURCE(S): CASREACT 143:211934

GI



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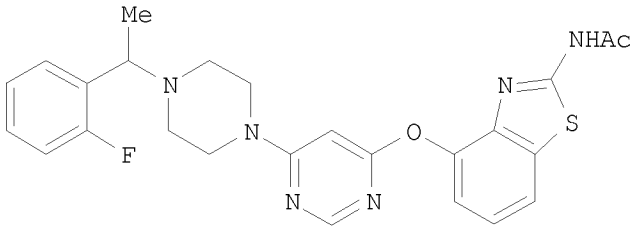
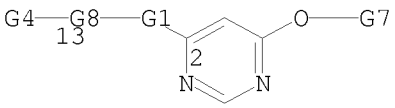


II

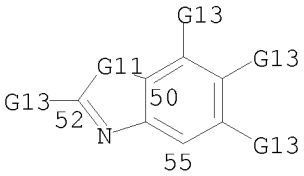
AB The title compds. I [X = N, C; R1 = (un)substituted (un)saturated 5-7 membered ring containing 1-4 atoms selected from N, O and S; R2 = (un)substituted partially saturated or unsatd. 8-11 membered bicyclic ring containing 1-4 atoms selected from N, O and S; R31, R32 = H, Me, Et; or R31 and R32 together may be combined with the carbon atom to which they attached to form cyclopropyl; R4 = H, Me], useful for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster

headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, etc., were prepared E.g., a multi-step synthesis of II, starting from 4,6-dichloropyrimidine and 2-aminobenzothiazol-4-ol, was given. Compds. I were tested to evaluate their properties at human VR1 (data given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

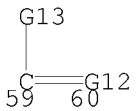
MSTR 1



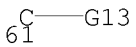
G7 = 55



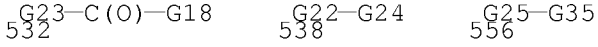
G11 = 59-52 60-50



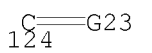
G12 = 61



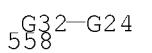
G13 = 532 / 538 / 556



G22 = 124 / SO2



G23 = O / NH
G24 = NH2
G35 = 558

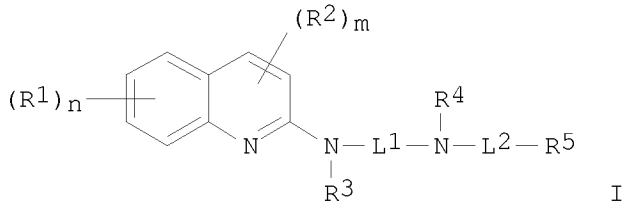


Patent location: claim 1
Note: or pharmaceutically acceptable salts or hydrates
Note: substitution is restricted

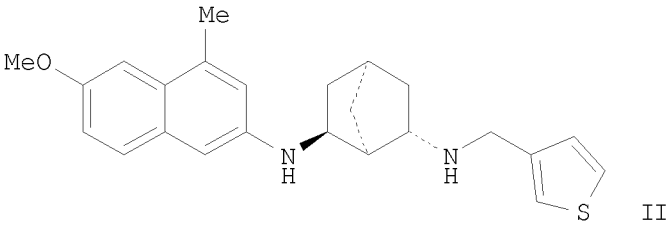
L5 ANSWER 42 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:172772 MARPAT
TITLE: Preparation of quinoline derivatives as MCH modulators
INVENTOR(S): Evertsson, Emma; Inghardt, Tord; Lindberg, Jan; Linusson, Anna; Giordanetto, Fabrizio
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066132	A1	20050721	WO 2005-SE4	20050105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1706384	A1	20061004	EP 2005-704678	20050105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1906169	A	20070131	CN 2005-80001921	20050105
JP 2007517868	T	20070705	JP 2006-549184	20050105
IN 2006DN03548	A	20070817	IN 2006-DN3548	20060620
US 20070185079	A1	20070809	US 2006-596994	20061122
PRIORITY APPLN. INFO.:			GB 2004-196	20040107
			GB 2004-25209	20041116
			WO 2005-SE4	20050105

OTHER SOURCE(S): CASREACT 143:172772
GI



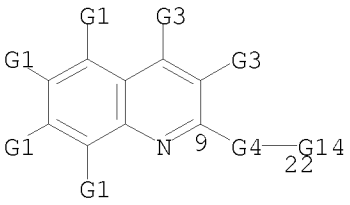
I



II

AB Title compds. I [R1 = (un)substituted alkoxy, alkyl, NRaRb, etc.; R2 = (un)substituted alkoxy, alkyl, NRaRb, etc.; Ra and Rb independently = H, alkyl or Ra and Rb together with the nitrogen to which they are attached from a 3-7 membered heterocycle optionally including O; n = 0-3; m = 0-1; R3 = H or alkyl; L1 = (CH2)pcycloalkyl(CH2)q with provisions; p and q independently = 0-1; R4 = H or (un)substituted alkyl; L2 = (un)substituted (CH2)x or 5-6 membered carbocycle fused to R5; x = 1-3; R5 = (un)substituted Ph, naphthyl, heterocycle, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as melanin concentrating hormone (MCH) modulators. Thus, e.g., II was prepared by palladium catalyzed coupling of benzyl[(1R,2S,4S,6S)-6-aminobicyclo[2.2.1]hept-2-yl]benzylcarbamate (preparation given) with 2-chloro-6-methoxy-4-methylquinoline followed by deprotection and subsequent reductive alkylation with thiophene-3-carbaldehyde. The activity of I was evaluated in MCH1 receptor radioligand binding assays and it was revealed that compds. of the invention displayed IC50 values of less than 2 μM. I as MCH modulator should prove useful in the treatment of obesity, anxiety and depression. Pharmaceutical compns. comprising I are disclosed.

MSTR 1



G1 = 15

C(O)-G2
15

G2 = NH2 / heterocycle <containing 3-7 atoms,
1 or more N, attached through 1 N, non-aromatic, saturated>
G3 = alkylamino <containing 1-4 C> / 19

C(O)-G2
19

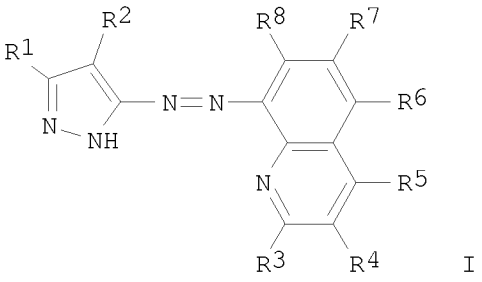
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 17
Note: and pharmaceutically acceptable salts
Stereochemistry: and optical isomers and racemates

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 43 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:123108 MARPAT
TITLE: Pyrazolylazoquinolines, their chelates, and WORM disks with high-speed and -density recording
INVENTOR(S): Ueno, Yasunobu; Sato, Tsutomu; Tomura, Tatsuya; Noguchi, Shu
PATENT ASSIGNEE(S): Ricoh Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005179418	A	20050707	JP 2003-419273	20031217

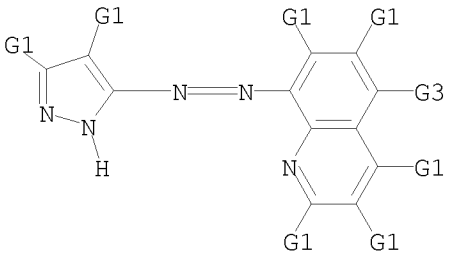
PRIORITY APPLN. INFO.: JP 2003-419273 20031217
GI



I

AB The pyrazolylazoquinolines are I (R1-R8 = H, halo, NO2, CN, etc.; R1R2, R3R4, R4R5, R5R6, R6R7, and R7R8 may form ring). The WORM disks, having recording layers containing I-divalent metal chelates, show good heat and light resistance.

MSTR 1

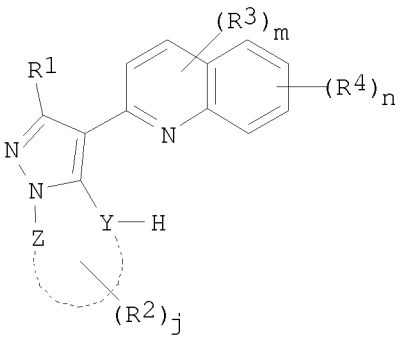


G1 = alkylcarbonylamino (opt. substd.) / CONH2
Patent location: claim 1
Note: additional ring formation also claimed

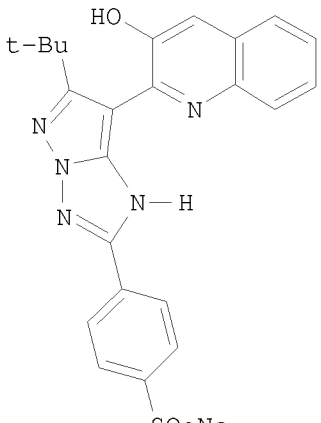
L5 ANSWER 44 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:86819 MARPAT
TITLE: Colored photoimaging compositions showing good storage stability for manufacture of color filters
INVENTOR(S): Kato, Yasuhiro
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005170974	A	20050630	JP 2003-408759	20031208

PRIORITY APPLN. INFO.: JP 2003-408759 20031208
GI



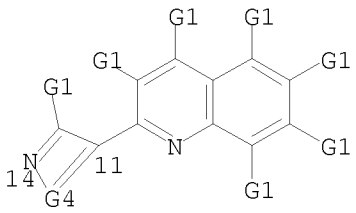
I



II

AB The compns. contain heterocyclic dyes I (R1-R4 = H, substituent; Y = O, N, C; Z = C, N, O, S; when Y = N or C, YZ may form 5- or 6-membered saturated or aromatic ring with C bonded to Y and Nbonded to Z, and ≥1 atoms chosen from C, N, O, and S; when YZ do not form ring, Z = substituent and Y = OH, NHR2, CHR22; m = 0-2; j, n = 0-4). Thus, a pattern from a composition containing
II showed good heat and light resistance, and was useful as a color filter for a CCD camera.

MSTR 1



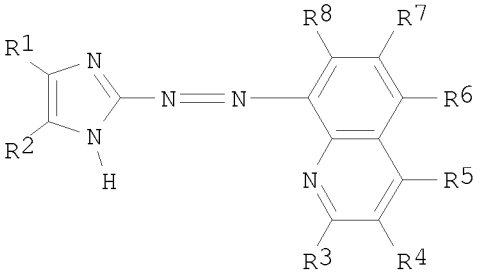
G1 = acylamino / CONH2 / SO2NH2
Patent location: claim 1

L5 ANSWER 45 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:16565 MARPAT
TITLE: Azo-substituted quinoline compound and optical recording material using it
INVENTOR(S): Ueno, Yasunobu; Sato, Tsutomu; Tomura, Tatsuya; Noguchi, Takashi; Nishimatsu, Masayuki; Maruyama, Katsuji
PATENT ASSIGNEE(S): Ricoh Co., Ltd., Japan; Chemipro Kasei Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005146090	A	20050609	JP 2003-384368	20031113

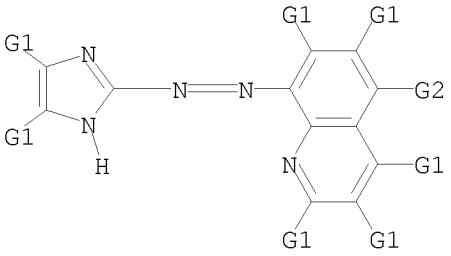
PRIORITY APPLN. INFO.: JP 2003-384368 20031113
GI



I

AB The azo-substituted quinoline compound I (R1-8 = H, halo, nitro, cyano, OH, carboxy, amino, alkyl, aryl, alkyloxy, aryloxy, alkylamino, arylamino, alkylcarbonylamino, arylcarbonylamino, carbamoyl, alkylcarbamoyl, arylcarbamoyl, alkylsulfonylamino, arylsulfonylamino, these may form a ring) and a chelate compound of I and 2-valent metal salt are claimed. Optical recording material comprises a support coated with a recording layer containing the chelate compound The material is suited for high speed recording and large capacity WORM disk.

MSTR 1



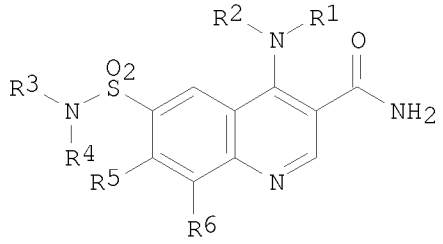
G1 = alkylcarbonylamino (opt. substd.) / CONH2
Patent location: claim 1
Note: additional ring formation also claimed

L5 ANSWER 46 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:373698 MARPAT
TITLE: Preparation of 4-aminoquinoline-3-carboxamide derivatives as PDE4 inhibitors
INVENTOR(S): Edlin, Christopher D.; Eldred, Colin David; Keeling, Steven Philip; Lunniss, Christopher James; Redfern,

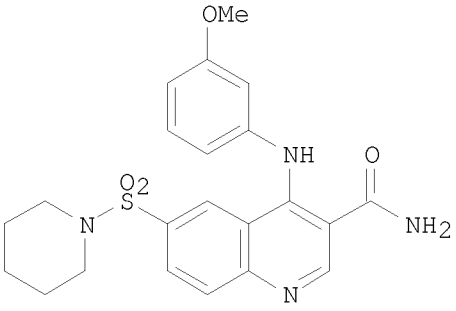
Tracy Jane; Redgrave, Alison Judith; Woodrow, Michael
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030212	A1	20050407	WO 2004-EP10844	20040923
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1673086	A1	20060628	EP 2004-765656	20040923
EP 1673086	B1	20080123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007506703	T	20070322	JP 2006-527374	20040923
AT 384530	T	20080215	AT 2004-765656	20040923
ES 2298806	T3	20080516	ES 2004-765656	20040923
US 20080096884	A1	20080424	US 2007-572914	20070206
PRIORITY APPLN. INFO.:			GB 2003-22722	20030927
			WO 2004-EP10844	20040923

OTHER SOURCE(S): CASREACT 142:373698
GI



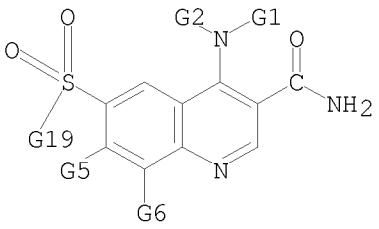
I



II

AB The title compds. I [R1 = (un)substituted aryl, heteroaryl, heterocyclyl, etc.; R2 = H, alkyl; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl; or NR3R4 = (un)substituted heterocyclyl; R5 = H, alkyl; R6 = H, alkyl, alkoxy, etc.] which are inhibitors of phosphodiesterase type IV (PDE4) and are of use in the treatment of inflammatory and/or allergic diseases, were prepared Thus, reacting 4-chloro-6-(1-piperidinylsulfonyl)-3-quinolinecarboxamide (preparation given) with 3-methoxyaniline afforded II. The exemplified compds. I inhibit the catalytic activity at PDE4B (human recombinant) enzyme with pIC50's in the range 7.5-10.8. The pharmaceutical compns. comprising the compound I are disclosed.

MSTR 1



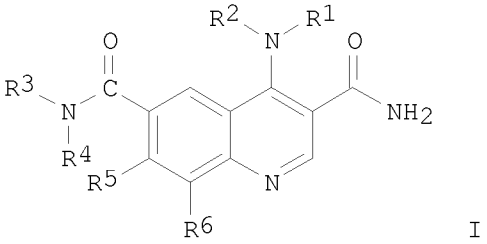
G1 = Ph (opt. substd. by 1 or more G22)
G19 = morpholino
Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

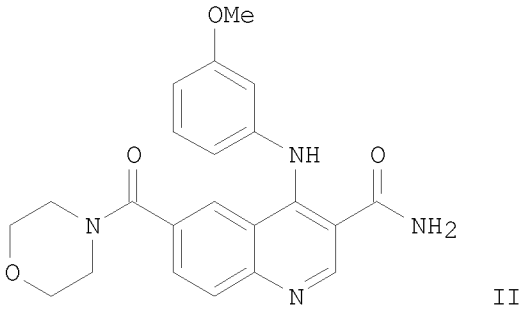
L5 ANSWER 47 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:355178 MARPAT
TITLE: Preparation of aminocarbonylquinoline derivatives as phosphodiesterase type IV (PDE4) inhibitors
INVENTOR(S): Edlin, Christopher; Eldred, Colin David; Lunniss, Christopher James; Redgrave, Alison Judith; Robinson, John Edward; Woodrow, Michael
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030725	A1	20050407	WO 2004-GB4106	20040927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1673345	A1	20060628	EP 2004-768649	20040927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007506717	T	20070322	JP 2006-527483	20040927
US 20070191426	A1	20070816	US 2007-572913	20070206
PRIORITY APPLN. INFO.:			GB 2003-22726	20030927
			WO 2004-GB4106	20040927

OTHER SOURCE(S): CASREACT 142:355178
GI



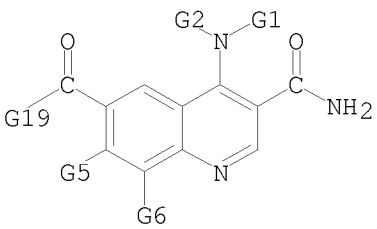
I



II

AB Title compds. I [R1 = (un)substituted-aryl, -heteroaryl, cycloalkyl, etc.; R2 = H, alkyl; R3 = H, (un)substituted alkyl, cycloalkyl, etc.; R4 = H, alkyl; or R3 and R4 together = (un)substituted N-heterocycle; R5 = H, alkyl; R6 = H, alkoxy, Cl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of phosphodiesterase type IV (PDE4). Thus, e.g., II was prepared by amidation of 3-(aminocarbonyl)-4-{[3-(methyloxy)phenyl]amino}-6-quinolinecarboxylic acid (preparation given) with morpholine. The inhibition capability of I was evaluated in radioactive Scintillation Proximity Assay (SPA) and revealed that selected compds. of the invention possessed pIC50 values in the range of 6.3-9.5. I as PDE4 inhibitors should prove useful in the treatment of inflammatory and allergic diseases.

MSTR 1



G1 = Ph (opt. substd. by 1 or more G22)
G19 = morpholino
Patent location: claim 1

Note: or pharmaceutically acceptable salts

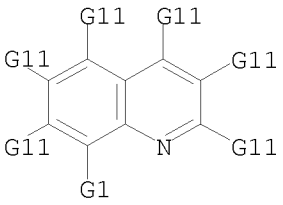
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 48 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:331863 MARPAT
TITLE: Crystal structure of human PIM-1 kinase and use of structural information for preparation of molecular scaffolds for kinase ligand development and pharmaceutical applications
INVENTOR(S): Artis, Dean R.; Bremer, Ryan E.; Gillette, Samuel J.; Hurt, Clarence R.; Ibrahim, Prabham L.; Zuckerman, Rebecca L.
PATENT ASSIGNEE(S): Plexxikon, Inc., USA
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

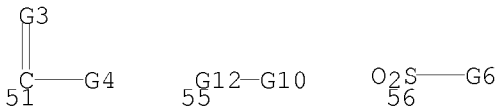
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005028624	A2	20050331	WO 2004-US30360	20040915
WO 2005028624	A3	20061102		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050164300	A1	20050728	US 2004-941635	20040915
PRIORITY APPLN. INFO.:			US 2003-503277P	20030915

AB Mol. scaffolds for compds. active on protein kinases are described, along with methods for using such scaffolds for kinase ligand development. The use of kinase structural information, exemplified with PIM-1 crystals and structural information can be used for identifying mol. scaffolds and for developing ligands that bind to and modulate particular kinases. More specifically, crystal structure and mol. structural coordinates of human PIM-1 kinase are disclosed. Preparation of compds. modulating PIM-1 and other protein kinases activity (i.e., kinase scaffold library) is reported. These compds. can be used for the treatment of diseases, such as cancer and inflammation.

MSTR 7



G3 = O
G4 = NH2 (opt. substd.)
G6 = heteroaryl <containing up to 10 atoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), mono- or bicyclic>
G10 = cycloalkyl <containing 3-15 C>
G11 = 51 / 55 / 56



G12 = NH
Patent location: claim 1
Note: additional substitution also claimed
Note: substitution is restricted

L5 ANSWER 49 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:280200 MARPAT
TITLE: Preparation of pyrazolylmethylbenzamides as P2X7 receptor antagonists
INVENTOR(S): Concepcion, Arnel; Inoue, Tadashi; Mochizuki, Yuki; Muramatsu, Aiko; Gantner, Florian; Nakashima, Kosuke; Urbahns, Klaus; Bacon, Kevin B.
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019182	A1	20050303	WO 2004-EP9172	20040816

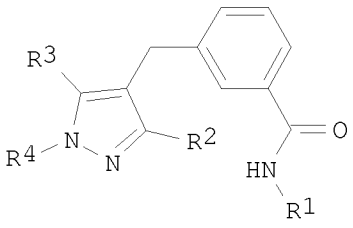
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-18629 20030820

OTHER SOURCE(S): CASREACT 142:280200

GI



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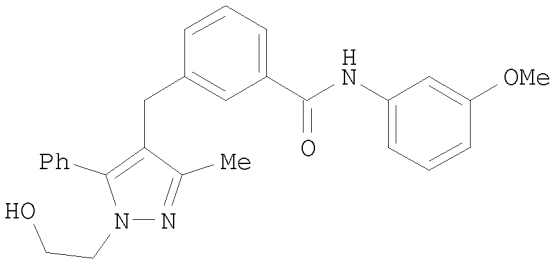
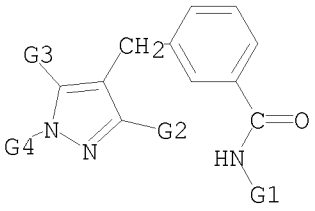


II

AB The present invention relates to novel pyrazolylmethylbenzamides I [R1 = (un)substituted aryl, heteroaryl, alkyl; R2 = alkyl, haloalkyl; R3 = (un)substituted heteroaryl, Ph; R4 = (un)substituted alkyl, alkenyl, etc.], processes for preparing them and pharmaceutical prepns. containing them. Thirty compds. I were prepared E.g., a multi-step synthesis of II, starting from 3-chloromethylbenzoyl chloride and m-anisidine, was given. The pyrazolylmethylbenzamides I exhibit enhanced potency for P2X7 receptor antagonism (no data given) and can be used for the prophylaxis and treatment of diseases associated with P2X7 receptor activity. More specifically, the compds. I are useful for treatment and prophylaxis of diseases as follows: rheumatoid arthritis, osteoarthritis, psoriasis, allergic dermatitis, asthma, chronic obstructive pulmonary disease (COPD), hyperresponsiveness of the airway, septic shock, glomerulonephritis, irritable bowel disease, Crohn's disease, ulcerative: colitis, atherosclerosis, growth and metastases of malignant cells, myoblastic leukemia, diabetes, Alzheimer's disease, meningitis, osteoporosis, burn injury, ischemic heart disease, stroke and varicose veins.

MSTR 1



G1 = quinolinyl (opt. substd. by (1-2) G23)
G23 = CONH2 / alkylamino <containing 1-6 C>
Patent location: claim 1
Note: or tautomeric forms, or salts
Stereochemistry: or stereoisomeric forms

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 50 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:261788 MARPAT
TITLE: Preparation of aryl and heteroaryl amino acid derivatives as antagonists of factor IX and/or factor XI
INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Guo, Xiao-Chuan; Christen, Daniel Peter; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi, Sameer; Yaramasu, Tripura; Behme, Christopher
PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
SOURCE: PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014533	A2	20050217	WO 2004-US25463	20040806
WO 2005014533	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263508	A1	20050217	AU 2004-263508	20040806
CA 2531796	A1	20050217	CA 2004-2531796	20040806
US 20050049310	A1	20050303	US 2004-913882	20040806
US 20050059713	A1	20050317	US 2004-913216	20040806
EP 1660439	A2	20060531	EP 2004-780318	20040806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

CN 1832920	A	20060913	CN 2004-80022750	20040806
JP 2007501844	T	20070201	JP 2006-523245	20040806

PRIORITY APPLN. INFO.: US 2003-493878P 20030808
US 2003-493879P 20030808
US 2003-493903P 20030808
WO 2004-US25463 20040806

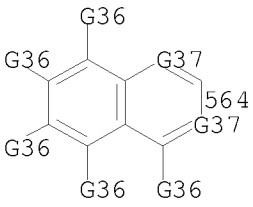
OTHER SOURCE(S): CASREACT 142:261788

AB The invention relates to aryl and heteroaryl compds. Ar2-K [Ar2 is (un)substituted aryl, heteroaryl, fused cycloalkylaryl, fused cycloalkylheteroaryl, fused heterocyclylaryl or fused heterocyclylheteroaryl; K is a carbamoyl group of defined structure or Ar1-V-CH[(CH2)0-2-G]-X-, where G is H, CO2R1, CH2OR1, COR1, CR1:NOR2, CONR1R2, CONHNH2 or an acid or ester isostere and R1, R2 independently are H, alkyl, alkoxy, aryl, alkylaminoacyl, etc. or may combine to form a ring; V is (CH2)1-2-S-(CH2)0-2, (CH2)1-2-S, S-(CH2)0-2 (or corresponding sulfonyl derivs.), (CH2)1-2-O-(CH2)0-2, (CH2)1-2-NR7-(CH2)0-2, (CH2)1-2-O or a direct bond, where R7 is H, alkyl, aryl, etc. (the CH2 or CH2CH2 groups may be substituted); X is NR8, CONR8, NR8CO, NR8CONR9, O2CNR8, SO2NR8 or NR8SO2NR9, where R8, R9 are independently H, alkyl, aryl, etc.; Ar1 is a group as defined for Ar2] and their pharmaceutical compns. Compds. Ar2-K may be antagonists or partial antagonist of factor IX and/or factor XI and thus may be useful for inhibiting the intrinsic pathway of blood coagulation. Applications include the management, treatment and/or control of diseases caused in part by the intrinsic clotting pathway. Thus, (2S)-[5-bromo-2-(4-trifluoromethylbenzyloxy)benzoylamino]-3-(2'-phenoxybiphenyl-4-yl)propionic acid, prepared by amidation and O-benzylation reactions, inhibited factor IX or factor XI in the in vitro clotting assay with IC50 < 30 micromolar.

MSTR 1

G1—G22
1

G1 = 564



G36 = 577 / 580 / 585

C(O)—G41—G42 HN——C(O)—G42 C(O)—G45
577 580 585

G37 = N / 567

C—G36
567

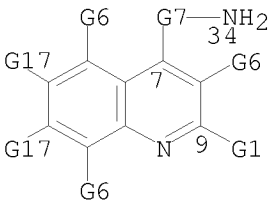
G41 = NH
G45 = NH2
Patent location: claim 1
Note: additional derivatization also claimed

L5 ANSWER 51 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:170068 MARPAT
TITLE: Small molecule toll-like receptor (TLR) antagonists
INVENTOR(S): Lipford, Grayson B.; Forsbach, Alexandra; Zepp, Charles M.
PATENT ASSIGNEE(S): Coley Pharmaceutical G.m.b.H., Germany; Coley Pharmaceutical Group, Inc.
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

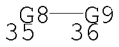
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007672	A2	20050127	WO 2004-US19714	20040618
WO 2005007672	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004257149	A1	20050127	AU 2004-257149	20040618
CA 2528774	A1	20050127	CA 2004-2528774	20040618
US 20050119273	A1	20050602	US 2004-872196	20040618
US 7410975	B2	20080812		
EP 1635846	A2	20060322	EP 2004-776820	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1809357	A	20060726	CN 2004-80017064	20040618
BR 2004011514	A	20060801	BR 2004-11514	20040618
JP 2007524615	T	20070830	JP 2006-517471	20040618
MX 2005PA13922	A	20060224	MX 2005-PA13922	20051216
US 20070232622	A1	20071004	US 2006-543314	20061004
IN 2006KN00153	A	20070706	IN 2006-KN153	20061119
PRIORITY APPLN. INFO.:			US 2003-480588P	20030620
			US 2004-556007P	20040323
			US 2004-872196	20040618
			WO 2004-US19714	20040618

AB The invention provides methods and compns. useful for modulating signaling through Toll-like receptors (TLR). The methods involve contacting a TLR-expressing cell with a small mol. having a core structure including at least two rings. Certain of the compds. are 4-primary amino quinolines. Many of the compds. and methods are useful specifically for inhibiting immune stimulation involving at least one of TLR9, TLR8, TLR7, and TLR3. The methods may have use in the treatment of autoimmunity, inflammation, allergy, asthma, graft rejection, graft vs. host disease, infection, sepsis, cancer, and immunodeficiency.

MSTR 8



G6 = CONH2
G7 = 35-7 36-34



G8 = NH
G9 = alkylene <containing 1-10 C>
G17 = SO2NH2
Patent location: claim 89
Note: additional ring formation also claimed
Note: or pharmaceutically acceptable hydrates or salts

L5 ANSWER 52 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:134612 MARPAT
TITLE: Preparation of 4-arylaminoquinazolines and analogs as activators of caspases and inducers of apoptosis
INVENTOR(S): Cai, Sui Xiong; Sirisoma, Nilantha Sudath; Pervin, Azra; Drewe, John A.; Kasibhatla, Shailaja; Jaing, Songchun; Zhang, Hong; Pleiman, Chris; Baichwal, Vijay; Manfredi, John; Bhoite, Leena
PATENT ASSIGNEE(S): Myriad Genetics, Inc., USA; Cytovia, Inc.
SOURCE: PCT Int. Appl., 289 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005003100	A2	20050113	WO 2004-US21631	20040706
WO 2005003100	A3	20050512		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004253967	A1	20050113	AU 2004-253967	20040706
CA 2531327	A1	20050113	CA 2004-2531327	20040706
EP 1660092	A2	20060531	EP 2004-785803	20040706
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1984660	A	20070620	CN 2004-80024205	20040706
JP 2007524637	T	20070830	JP 2006-517854	20040706
IN 2006KN00019	A	20070316	IN 2006-KN19	20060102

PRIORITY APPLN. INFO.: US 2003-484325P 20030703
US 2003-493006P 20030807
US 2004-557556P 20040329
US 2004-571288P 20040514
WO 2004-US21631 20040706

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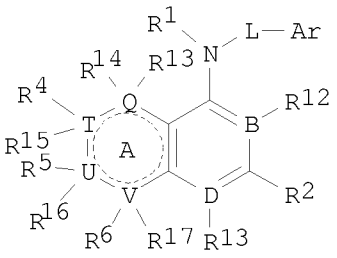

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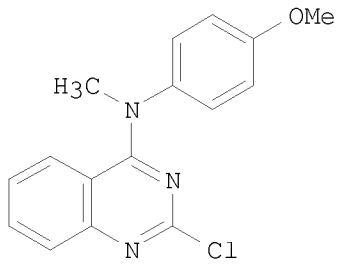
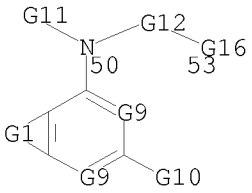


II

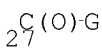
AB 4-Arylaminoquinazolines and analogs I [wherein A = 6-membered (hetero)aryl or carbocycle; L = [C(RL1)(RL2)]n or -N(RL1)C(O)-; RL1, RL2 = H or alkyl; n = 0-2; R1 = Me or ethyl; Ar = (un)substituted (hetero)aryl; R2-R6, R12-R17 = H, halo, N3, OH, thiol, nitro, CN, NH2, alk(en/yn)yl or alkoxy; B, D, Q, T, U, V = C or N, wherein at least one of B and D is N; etc. or pharmaceutically acceptable salts or solvates thereof] were prepared as activators of caspases and inducers of apoptosis. For example, 2,4-quinazolinedione was refluxed with neat phosphorylchloride to give 2,4-dichloroquinazoline in 96% yield, which was coupled with 4-methoxy-N-methylaniline to afford II in 87% yield. II exhibited caspase activation (EC50 2 nM for human breast cancer cell line T-47D, 24 h), inhibition of cell proliferation (GI50 8 nM for T-47D), inhibition of tubulin polymerization (IC50 <500 nM) and cytotoxicity in multidrug resistant cells (IC50 2.9 nM for MCF-7 cell line). Other biol. activities of the invented compds. have also been tested. Therefore, I and pharmaceutical compns. thereof (examples given) are effective activators of caspases and

inducers of apoptosis, and useful in the treatment of such as cancer, autoimmune and inflammation. Disclosed are 4-arylaminoquinazolines and analogs thereof effective as activators of caspases and inducers of apoptosis.

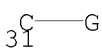
MSTR 1



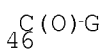
G1 = CH=CHCH=CH (opt. substd. by G2)
G2 = 27



G8 = NH2 / piperidino
G9 = 1 or more N / 31



G10 = 46



G11 = Me
G12 = G13
G13 = (0-3) CH2
G16 = Ph (opt. substd. by G17)
Patent location: claim 1

L5 ANSWER 53 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:23205 MARPAT
TITLE: Preparation of quinoline derivatives as phosphodiesterase inhibitors
INVENTOR(S): Baldwin, Ian Robert; Barker, Michael David; Dean, Anthony William; Eldred, Colin David; Evans, Brian; Gough, Sharon Lisa; Guntrip, Stephen Barry; Hamblin, Julie Nicole; Holman, Stuart; Jones, Paul; Lindvall, Mika Kristian; Lunniss, Christopher James; Redfern, Tracy Jane; Redgrave, Alison Judith; Robinson, John Edward; Woodrow, Michael
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 243 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103998	A1	20041202	WO 2004-EP5494	20040519
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004240759	A1	20041202	AU 2004-240759	20040519
CA 2526228	A1	20041202	CA 2004-2526228	20040519
EP 1633748	A1	20060315	EP 2004-733799	20040519
EP 1633748	B1	20080305		
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BR 2004010477	A	20060530	BR 2004-10477	20040519
CN 1823063	A	20060823	CN 2004-80020651	20040519
JP 2007501264	T	20070125	JP 2006-529889	20040519
AT 388148	T	20080315	AT 2004-733799	20040519
ES 2301993	T3	20080701	ES 2004-733799	20040519
EP 1944305	A1	20080716	EP 2008-152215	20040519
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LT, LV				
NO 2005005421	A	20051220	NO 2005-5421	20051116
US 20070142373	A1	20070621	US 2005-557079	20051117
MX 2005PA12466	A	20060130	MX 2005-PA12466	20051118
IN 2005KN02416	A	20061013	IN 2005-KN2416	20051129
US 20060178416	A1	20060810	US 2006-349677	20060208
US 20070049570	A1	20070301	US 2006-349701	20060208
PRIORITY APPLN. INFO.:			GB 2003-11688	20030521
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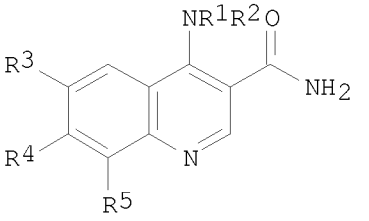
			EP 2004-733799	20040519
			WO 2004-EP5494	20040519
			US 2005-557079	20051117

GI



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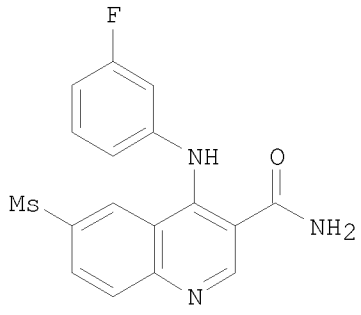
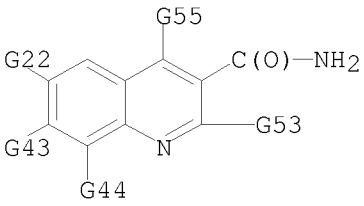


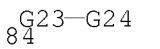
II

AB Title compds. represented by the formula I [wherein R1 = (un)substituted (cyclo)alkyl, (hetero)aryl, cycloalkylalkyl, etc.; R2 = H or alkyl; R3 = H, (un)substituted SOnalkyl, 2-oxopyrrolidin-1-yl, cycloalkyl, etc.; R4 = H or SOnalkyl; R5 = H, halo, alkyl, alkoxy; n = 0-2; and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase inhibitors. For example, reaction of 4-chloro-6-(methylsulfonyl)-3-quinolinecarboxamide with 3-fluoroaniline gave II. Selected prepared compds. were tested for inhibition of PDE4B (human recombinant) enzyme and PDE5 with pIC50 values in the range of 6.0-11.7 and 4.5-7.0, resp. Thus, I and their pharmaceutical compns. are useful as phosphodiesterase inhibitors, especially PDE4 inhibitors, for the prophylaxis or treatment of a clin. condition, such as inflammatory and/or allergic diseases (no data).

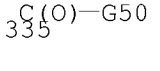
MSTR 1



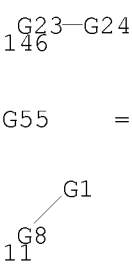
G1 = benzothiazolyl
G8 = NH
G22 = 84



G23 = SO2
G24 = piperidino (substd. by 1 or more 335)



G43 = 146



Patent location: claim 1
Note: also incorporates claim 25 structures II, III, and XXIX
Note: substitution is restricted
Note: additional oxo formation also claimed
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

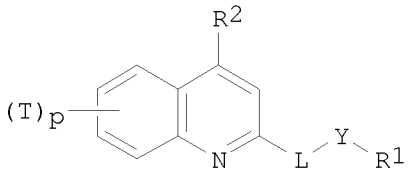
L5 ANSWER 54 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:314346 MARPAT
TITLE: Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment of CNS disorders
INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.; Semple, Graeme; Zou, Ning
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co. Ltd., Japan; Arena Pharmaceuticals, Inc.
SOURCE: Eur. Pat. Appl., 586 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1464335	A2	20041006	EP 2004-7651	20040330
EP 1464335	A3	20070509		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 20050197350	A1	20050908	US 2004-812075	20040330
AU 2004226049	A1	20041014	AU 2004-226049	20040331
CA 2518913	A1	20041014	CA 2004-2518913	20040331
WO 2004087669	A1	20041014	WO 2004-JP4624	20040331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,				

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2004300156	A	20041028	JP 2004-107965	20040331
BR 2004008910	A	20060321	BR 2004-8910	20040331
CN 1798736	A	20060705	CN 2004-80014547	20040331
IN 2005KN01805	A	20061201	IN 2005-KN1805	20050912
MX 2005PA10475	A	20060525	MX 2005-PA10475	20050929
NO 2005004999	A	20051107	NO 2005-4999	20051027
PRIORITY APPLN. INFO.:			US 2003-458530P	20030331
			US 2003-495911P	20030819
			US 2003-510186P	20031009
			US 2003-530360P	20031216
			WO 2004-JP4624	20040331

GI



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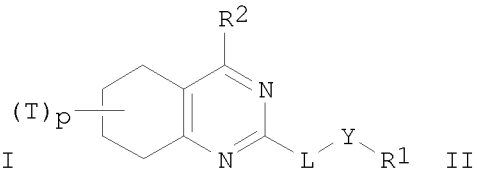
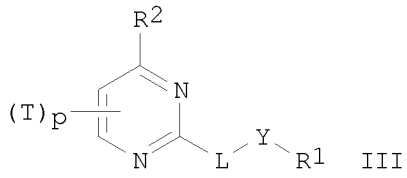
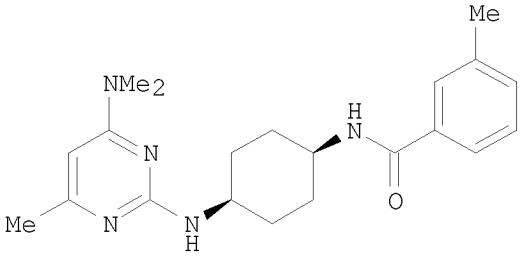


II



III

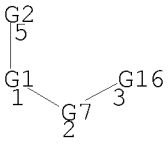


IV

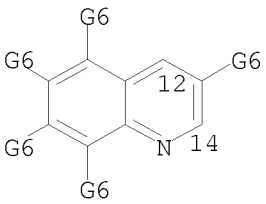
AB Title compds. I, II, and III [wherein R1 = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un)substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un)substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an

endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IV•TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). This is part I of three in a series covering the patent.

MSTR 1A



G1 = 12-5 14-2



G2 = NHNH2
G6 = CONH2
Patent location: claim 1
Note: substitution is restricted
Note: additional substitution also claimed

L5 ANSWER 55 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:190691 MARPAT
TITLE: Preparation of heteroaryl amines, in particular quinolin-4-yl amines, as antagonists for α-2, especially α-2C, adrenoceptors
INVENTOR(S): Hoeglund, Iisa; Koivisto, Ari-Pekka; Tauber, Andrei; Kallatsa, Oili; Sallinen, Jukka; Silver, Satu; Hoffren, Anna-Marja; Iles, Matthew; Wurster, Siegfried

PATENT ASSIGNEE(S): Oy Juvantia Pharma Ltd., Finland
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067513	A1	20040812	WO 2004-FI38	20040127

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI

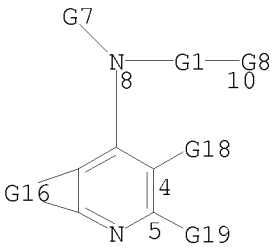
PRIORITY APPLN. INFO.: FI 2003-120 20030127
US 2003-442570P 20030127

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Q = (un)substituted 1,4-phenylene, II or III; R5 = independently OH, halo, alkyl, alkenyl, alkoxy, NO2, etc.; r = 0-2; L = CH, CR5, N; Y = -CHa(R4)d[CHb(R4)c]v or a single bond; R1 = H, cyclo/alkyl; A = benzene ring or (C3-C7)cycloalkyl; each R2 = independently OH, halo, alkenyl, alkynyl, alkyl, alkoxy, NO2, monoalkyl/dialkyl/amino, -S-alkyl, -CO-NH2, CHO, etc.; R3 = H, alkyl, alkenyl, alkylcarbonyl, aminocarbonyl, (un)substituted Ph, naphthyl, benzyl, etc.; R4 = independently OH, halo, amino, oxo, CHO, alkyl, alkenyl, alkynyl, alkylcarbonyl, aminocarbonyl, (un)substituted cycloalkyl, Ph, naphthyl, benzyl, etc.; or R3 and R4 or R4 and R4 with any of the ring atom(s) to which they are attached = condensed (un)substituted 5-7 carbocyclic to heterocyclic ring; Ra, Rb = independently H, OH, halo, alkyl, alkenyl, alkynyl, alkoxy, NO2, monoalkyl/dialkyl/amino, -S-alkyl, CN, (un)substituted cycloalkyl, Ph or 5-6 membered heterocyclyl, etc.; or Rb as defined above and RaCCNR1 = condensed (un)substituted 5-7 membered heterocycle; or RaCCRb = condensed (un)substituted 5-7 membered non-aromatic carbo- or heterocyclic ring; a, b, c, d = independently 0-2; n = 0-3; q = 0-4; v = 0-1; with provisos; their pharmaceutically acceptable salts and esters] were prepared as alpha-2, in particular selective α-2C, adrenoreceptor antagonists. Amination of 2-methylpiperidine with 1-chloro-4-nitrobenzene, methylation with MeI, and reduction of the nitro intermediate gave 3-Methyl-1-(4-nitrophenyl)piperazine (IV). Cyclocondensation of 2,3-dimethylaniline with Et 2-methylacetoacetate, chlorination with SO2Cl2, and alkylation of amine IV with the resulting chloride gave the dialkylated amine V. I are useful for treating CNS disorders, especially depression.

MSTR 1



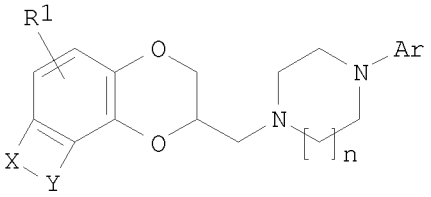
G1 = p-C6H4 (opt. substd. by (1-3) G2)
G16 = CH=CHCH=CH (opt. substd. by 1 or more G17)
G17 = alkylaminocarbonyl <containing 1-6 C>
G18 = CONH2
Patent location: claim 1
Note: or pharmaceutically acceptable salts or esters
Note: substitution is restricted

L5 ANSWER 56 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:123658 MARPAT
TITLE: Preparation of antidepressant arylpiperazine derivatives of heterocycle-fused benzodioxans
INVENTOR(S): Evrard, Deborah Ann; Zhou, Dahui; Stack, Gary Paul; Venkatesan, Aranapakam Madumbai; Failli, Amedeo A.; Croce, Susan Christman
PATENT ASSIGNEE(S): Wyeth, USA
SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,082.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040142926	A1	20040722	US 2003-659537	20030910
US 7153849	B2	20061226		
CA 2497783	A1	20040325	CA 2003-2497783	20030911
WO 2004024731	A1	20040325	WO 2003-US28453	20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003272316	A1	20040430	AU 2003-272316	20030911
EP 1537121	A1	20050608	EP 2003-754492	20030911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

BR 2003014277	A	20050726	BR 2003-14277	20030911
CN 1681822	A	20051012	CN 2003-821677	20030911
JP 2006507250	T	20060302	JP 2004-536475	20030911
CN 101239953	A	20080813	CN 2007-10142627	20030911
MX 2005PA02743	A	20050603	MX 2005-PA2743	20050311
US 20060276481	A1	20061207	US 2006-505663	20060816
PRIORITY APPLN. INFO.:			US 2002-410082P	20020912
			US 2003-659537	20030910
			CN 2003-821677	20030911
			WO 2003-US28453	20030911

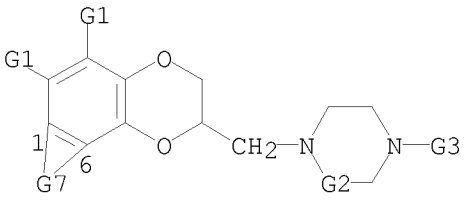
GI



I

AB The title compds. [I; R1 = H, halo, CN, carboxamido, etc.; XY = N:CR2CR3:N, N:CR2CR4:CH, N:CR2N:CH, N:CR2O, NHCR5:CH; R2, R3 = H, halo, NH2, mono- or dialkylamino, alkyl; R4 = H, alkyl; R5 = H, halo, CF3, pentafluoroethyl, alkyl; Ar = (un)substituted Ph, naphthyl, indolyl, indazolyl, thienyl, etc.; n = 1-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting [(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-bromobenzenesulfonate with 3-chlorophenylpiperazine.HCl in the presence of EtN(iso-Pr)2 in DMSO afforded 68% (2S)-2-{[4-(3-chlorophenyl)piperazin-1-yl]methyl}-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

MSTR 1



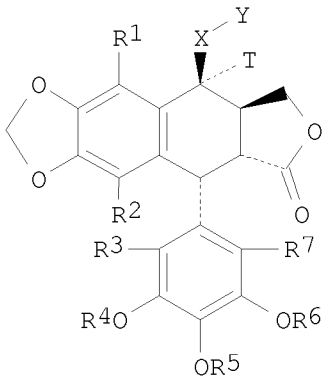
G3 = quinolinyl (opt. substd. by (1-3) G14)
G14 = CONH2 / alkylamino <containing 1-6 C>
Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

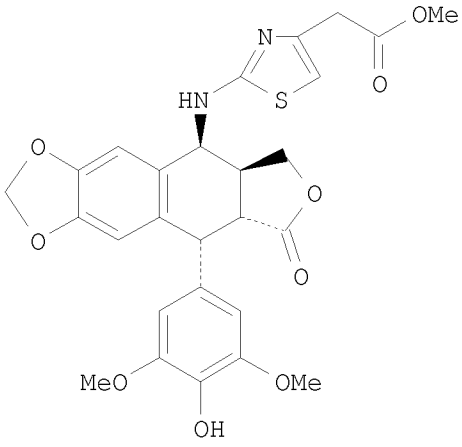
L5 ANSWER 57 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 140:339123 MARPAT
TITLE: Preparation of podophyllotoxin derivatives as anticancer compounds
INVENTOR(S): Shi, Qian; Wang, Hui-kang; Oyama, Masayoshi; Vance, John Robert; Chen, Ming S.
PATENT ASSIGNEE(S): Plantaceutica Inc., USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033423	A2	20040422	WO 2003-US32547	20031014
WO 2004033423	A3	20040729		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501901	A1	20040422	CA 2003-2501901	20031014
AU 2003300385	A1	20040504	AU 2003-300385	20031014
US 20040138288	A1	20040715	US 2003-685870	20031014
US 6903133	B2	20050607		
EP 1610790	A2	20060104	EP 2003-808232	20031014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503079	T	20060126	JP 2004-543785	20031014
PRIORITY APPLN. INFO.:			US 2002-417785P	20021011
			WO 2003-US32547	20031014

GI



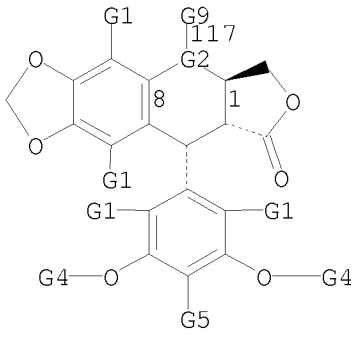
I



II

AB Podophyllotoxin derivs., such as I [R1, R2, R3, R7 = H, alkyl; R4, R6 = alkyl; R5 = H, P(O)(ORa)2; Ra = H, alkyl; T = H; XT = :N; X = bond, O, S, NRb; Rb = H, alkyl; Y = 5-membered heteroaryl or heterocyclyl, optionally substituted with one or more halogen, alkyl, cyclyl, aryl, heteroaryl, heterocyclyl, etc.], were prepared for their therapeutic use as anticancer agents. Thus, podophyllotoxin derivative II was prepared via a multistep synthetic sequence starting from 4'-demethyl-4β-bromo-4-desoxypodophyllotoxin (prepared from podophyllotoxin), 2-aminothiazole-4-acetic acid and (trimethylsilyl)diazomethane. II showed unexpectedly high levels of cellular protein-linked DNA breaks (PLDB) induction in KB cells when tested at 5μg/mL. This invention also features a method for treating cancer.

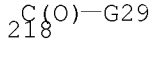
MSTR 1



G2 = 48-8 49-117 48-1

G8 = NH

G9 = quinolinyl (opt. substd. by G27)
G27 = 218

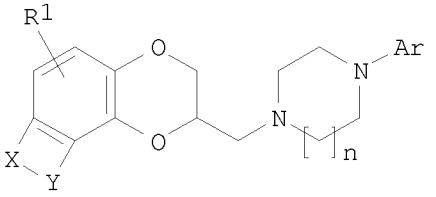


G29 = NH2
Patent location: claim 1

L5 ANSWER 58 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 140:287410 MARPAT
TITLE: Preparation of antidepressant arylpiperazine derivatives of heterocycle-fused benzodioxans
INVENTOR(S): Evrard, Deborah A.; Zhou, Dahui; Stack, Gary Paul; Venkatesan, Arenapakam Madumbai; Failli, Amedeo A.; Croce, Susan Christman
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024731	A1	20040325	WO 2003-US28453	20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040142926	A1	20040722	US 2003-659537	20030910
US 7153849	B2	20061226		
CA 2497783	A1	20040325	CA 2003-2497783	20030911
AU 2003272316	A1	20040430	AU 2003-272316	20030911
EP 1537121	A1	20050608	EP 2003-754492	20030911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014277	A	20050726	BR 2003-14277	20030911
JP 2006507250	T	20060302	JP 2004-536475	20030911
MX 2005PA02743	A	20050603	MX 2005-PA2743	20050311
PRIORITY APPLN. INFO.:			US 2002-410082P	20020912
			US 2003-659537	20030910
			WO 2003-US28453	20030911

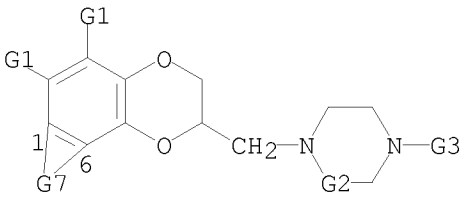
GI



I

AB The title compds. [R1 = H, halo, CN, carboxamido, etc.; XY = N:CR2CR3:N, N:CR2CR4:CH, N:CR2N:CH, N:CR2O, NHCR5:CH; R2, R3 = H, halo, NH2, mono-or dialkylamino, alkyl; R4 = H, alkyl; R5 = H, halo, CF3, pentafluoroethyl, alkyl; Ar = (un)substituted Ph, naphthyl, indoleyl, indazolyl, thienyl, etc.; n = 1-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting [(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-bromobenzenesulfonate with 3-chlorophenylpiperazine.HCl in the presence of EtN(iso-Pr)2 in DMSO afforded 68% (2S)-2-{[4-(3-chlorophenyl)piperazin-1-yl]methyl}-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

MSTR 1



G3 = quinolinyl (opt. substd. by (1-3) G14)
G14 = CONH2 / alkylamino <containing 1-6 C>
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

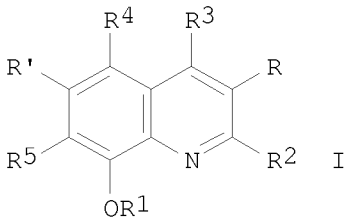
L5 ANSWER 59 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 140:128289 MARPAT
TITLE: Preparation of 8-hydroxyquinolines for treatment of neurological conditions.
INVENTOR(S): Barnham, Kevin Jeffrey; Gautier, Elisabeth Colette

Louise; Kok, Gaik Beng; Krippner, Guy
PATENT ASSIGNEE(S): Prana Biotechnology Limited, Australia
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007461	A1	20040122	WO 2003-AU914	20030716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493536	A1	20040122	CA 2003-2493536	20030716
AU 2003243836	A1	20040202	AU 2003-243836	20030716
EP 1539700	A1	20050615	EP 2003-763516	20030716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003012934	A	20050621	BR 2003-12934	20030716
CN 1681791	A	20051012	CN 2003-821942	20030716
JP 2006504646	T	20060209	JP 2004-520195	20030716
NZ 537677	A	20071026	NZ 2003-537677	20030716
MX 2005PA00708	A	20050816	MX 2005-PA708	20050114
IN 2005KN00166	A	20051104	IN 2005-KN166	20050210
US 20060089380	A1	20060427	US 2005-521902	20050810
IN 2006KO01346	A	20070720	IN 2006-KO1346	20061211
US 20080161353	A1	20080703	US 2007-901941	20070919

PRIORITY APPLN. INFO.: AU 2002-950217 20020716
WO 2003-AU914 20030716
IN 2005-KN166 20050210
US 2005-521902 20050810

GI

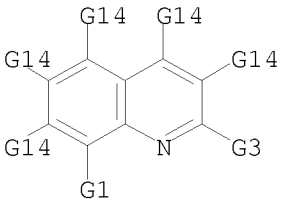


I

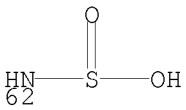
AB A method for the treatment of a neurol. condition comprises administration of title compds. [I; R1 = H, (substituted) alkyl, alkenyl, acyl, aryl, heterocyclyl, antioxidant or targeting moiety; R2 = H; (substituted)

alkyl, alkenyl, aryl, heterocyclyl, alkoxy, antioxidant, targeting moiety, COR6, CSR6, etc.; R6 = H, (substituted) alkyl, alkenyl, aryl, heterocyclyl, etc.; R, R', R3, R4, R5 = H, OH, halo, SO3H, cyano, CF3, (substituted) alkyl, alkenyl, alkoxy, acyl, amino, thio, sulfonyl, sulfinyl, sulfonylamino, aryl, heterocyclyl, antioxidant or targeting moiety; with provisos]. Thus, 5,7-dichloro-8-hydroxyquinoline-2-carboxylic acid (preparation given), dicyclohexylcarbodiimide, 1-hydroxybenzotriazole hydrate, histamine dihydrochloride, and Et3N were stirred in DMF/CH2Cl2 to give 34% 5,7-dichloro-8-hydroxyquinoline-2-carboxylic acid [2-(1H-imidazol-4-yl)ethyl]amide (PBT 1038). This inhibited metal-mediated lipoprotein oxidation with IC50 = 0.26 μM.

MSTR 1



G14 = CONH2 (opt. substd.) / 62



Patent location: claim 1
Note: or salts, hydrates, solvates, derivatives, prodrugs, tautomers
Note: substitution is restricted
Stereochemistry: or isomers

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 60 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 140:117442 MARPAT
TITLE: Pharmaceutical compositions comprising hepatitis C viral protease inhibitors
INVENTOR(S): Chen, Shirlynn; Mei, Xiaohui
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

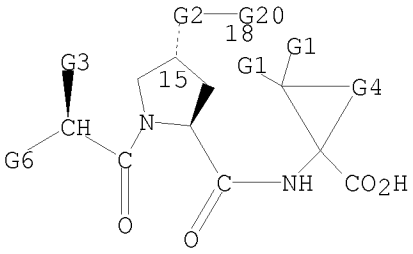
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009121	A1	20040129	WO 2003-US22434	20030717

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

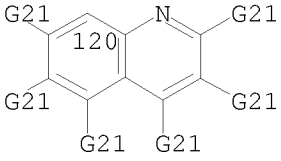
US 20040033959	A1	20040219	US 2003-620408	20030716
AU 2003259155	A1	20040209	AU 2003-259155	20030717
PRIORITY APPLN. INFO.:			US 2002-397280P	20020719
			WO 2003-US22434	20030717

AB Disclosed are pharmaceutical compns. of hepatitis C viral protease inhibitors having improved bioavailability, and methods of using these compns. for inhibiting the replication of the hepatitis C virus (HCV) and for the treatment of an HCV infection. These compns. include co-solvent systems, lipid based systems, solid dispersions and granulations, and all comprise the hepatitis C viral protease inhibitor, at least one pharmaceutically acceptable amine and optionally one or more addnl. ingredients.

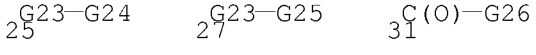
MSTR 1



G20 = 120



G21 = 25 / 27 / 31



G23 = NH
G24 = aryl <containing 6-10 C>
G26 = NH2 / 34

G23—G24
34

Patent location: claim 1
Note: or tautomers
Note: additional substitution also claimed

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 61 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:381510 MARPAT
TITLE: Preparation of piperazine derivatives as antiviral agents
INVENTOR(S): Wang, Tao; Wallace, Owen B.; Meanwell, Nicholas A.; Kadow, John F.; Zhang, Zhongxing; Yang, Zhong
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092695	A1	20031113	WO 2003-US8893	20030321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040009985	A1	20040115	US 2003-393030	20030320
US 7037913	B2	20060502		
AU 2003220480	A1	20031117	AU 2003-220480	20030321
EP 1499319	A1	20050126	EP 2003-716789	20030321
EP 1499319	B1	20071205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AT 380030	T	20071215	AT 2003-716789	20030321
ES 2297146	T3	20080501	ES 2003-716789	20030321
PRIORITY APPLN. INFO.:			US 2002-376731P	20020501
			WO 2003-US8893	20030321

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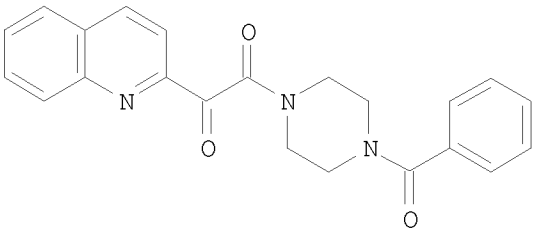
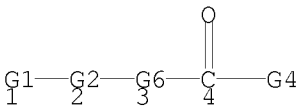


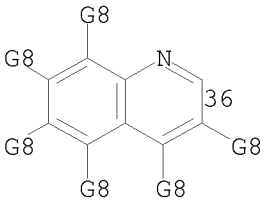
I

AB The title piperazine compds. with general formula of Q-(C=W)m-(CR1R2)n-(C=O)p-T-CO-A [wherein Q = naphthyl, quinolyl, quinoxalinyl, etc.; A = alkoxy, alkyl, cycloalkyl, Ph, or heteroaryl; W = O or NH; T = (un)substituted piperazine; m, n, and p = independently 0-2; R1 and R2 = independently H, OH, alkyl, alkoxy, CN, or F; or R1 and R2 together form CO, CS, C=NH, or (un)substituted C=NOH, etc., with the carbon atom attached] and pharmaceutically acceptable salts thereof are prepared as antiviral agents for the treatment of HIV and AIDS. For example, the compound I was prepared in a multi-step synthesis. I showed EC50 of 0.5 to 5 μM against human HIV-1 receptors.

MSTR 1



G1 = 36



G8 = 107 / 109

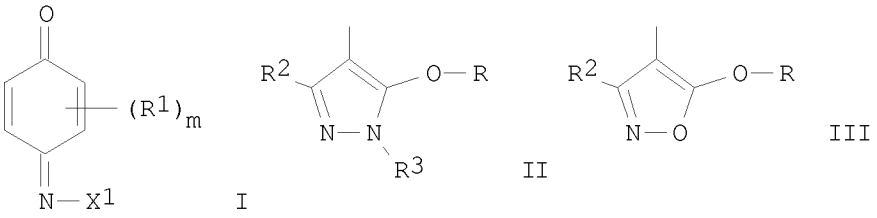
G9 = NH
G10 = alkyl <containing 1-6 C>
G11 = NH2
Patent location: claim 1
Note: or pharmaceutically acceptable salts

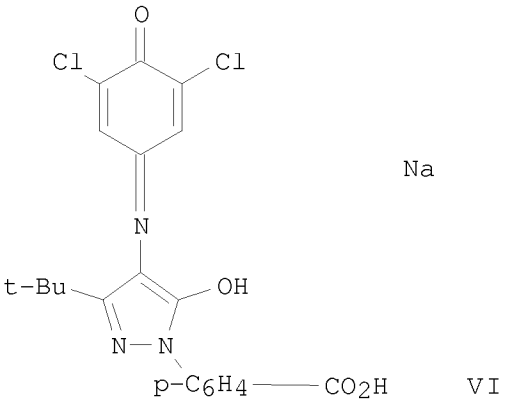
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 62 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:339137 MARPAT
TITLE: Colorant compositions for light-resistant high-concentration print images with good color reproducibility and their dispersions, ink-jet inks, and ink-jet printing process
INVENTOR(S): Takahashi, Mari; Ofuku, Koji; Miura, Norio
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003301121	A	20031021	JP 2002-109007	20020411

PRIORITY APPLN. INFO.: JP 2002-109007 20020411
GI

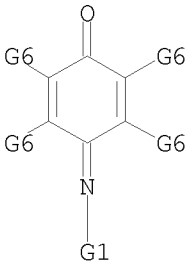




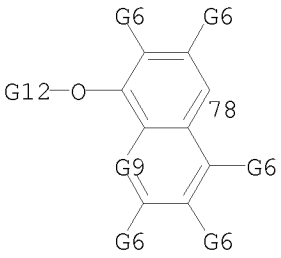
AB The compns. contain colorants represented by general formulas selected from (i) I (X1 = II, III, etc.; R1 = H, substituent; m = 0-4 integer; R = substituent; R2, R3 = H, substituent), (ii) X2:N(CR2:CR3)nCR4:Y2 or X3CRa(:CR3CRb)n:NY1 (X2, X3 = coupler residue; R2-R4, Ra, Rb = H, substituent; n = 0, 1, 2; when n = 0, Ra = H, substituent other than electron-withdrawing group; when n = 1, 2, Rb = H, substituent other than electron-withdrawing group; Y1, Y2 = atom group 5- or 6-membered aromatic hydrocarbon ring or heterocyclic ring), or (iii) IV and V (R1 = H, substituent; Y1 = same as above; r = 0, 1, 2, 3). The dispersions contain

in aqueous media fine particles involving the colorant compns. and polymers and/or high-b.p. organic solvents. The ink-jet inks contain the color compns. or the dispersions. Thus, a water-based colorant composition containing 4% VI was exemplified.

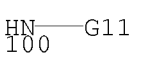
MSTR 1



G1 = 78



G6 = 100 / CONH2 / SO2NH2



G9 = N
G11 = acyl
Patent location: claim 1
Note: additional ring formation also claimed

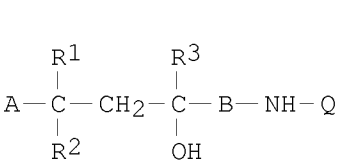
L5 ANSWER 63 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:307692 MARPAT
TITLE: Preparation of quinoline and related compounds for use as anti-inflammatory agents
INVENTOR(S): Jaroch, Stefan; Lehmann, Manfred; Schmees, Norbert; Berger, Markus; Rehwinkel, Hartmut; Krolikiewicz, Konrad; Skuballa, Werner; Schaecke, Heike; Schottelius, Arndt
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

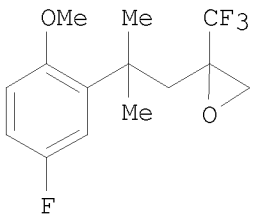
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082827	A1	20031009	WO 2003-EP3298	20030329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10215316	C1	20031218	DE 2002-10215316	20020402
CA 2481012	A1	20031009	CA 2003-2481012	20030329
AU 2003215678	A1	20031013	AU 2003-215678	20030329
EP 1492771	A1	20050105	EP 2003-745195	20030329
EP 1492771	B1	20070228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008967	A	20050215	BR 2003-8967	20030329
CN 1659144	A	20050824	CN 2003-812684	20030329
JP 2005529861	T	20051006	JP 2003-580295	20030329
AT 355277	T	20060315	AT 2003-745195	20030329
NZ 535872	A	20061130	NZ 2003-535872	20030329
ES 2282649	T3	20071016	ES 2003-745195	20030329
US 20040116694	A1	20040617	US 2003-405033	20030402
US 6897224	B2	20050524		
TW 272267	B	20070201	TW 2003-92107522	20030402
MX 2004PA09684	A	20050217	MX 2004-PA9684	20041001
NO 2004004731	A	20041230	NO 2004-4731	20041101
US 20050165050	A1	20050728	US 2005-59682	20050217
US 7109212	B2	20060919		
ZA 2004008827	A	20060531	ZA 2004-8827	20060322
US 20060229333	A1	20061012	US 2006-451508	20060613
US 7329753	B2	20080212		

PRIORITY APPLN. INFO.:
DE 2002-10215316 20020402
US 2002-369583P 20020404
WO 2003-EP3298 20030329
US 2003-405033 20030402
US 2005-59682 20050217

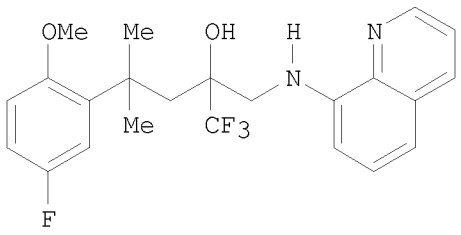
GI



I



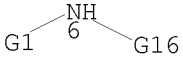
II



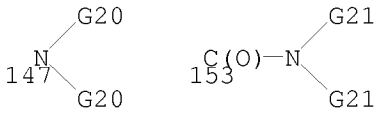
III

AB Title comounds I [A = (un)substituted aryl, benzyl, phenylethyl, etc.; R1, R2 = H, Me, Et, etc.; R3 = alkyl, fluoroalkyl; B = Me or Et substituted methylene, carbonyl; Q = (un)substituted quinoline or isoquinoline] and their pharmaceutically acceptable salts were prepared For example, condensation of 8-quinolinamine and epoxide II afforded quinoline III. Compds. I are noted useful as anti-inflammatory agents (no data provided).

MSTR 1



G16 = quinolinyl (opt. substd. by 1 or more G17)
G17 = 147 / 153



G20 = alkylcarbonyl <containing 1-5 C>
Patent location: claim 1
Note: and physiologically acceptable salts
Stereochemistry: and racemates or stereoisomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 64 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:265380 MARPAT
TITLE: Hair dye compositions containing quinolinium salts
INVENTOR(S): Sauter, Guido; Braun, Hans-Juergen; Duc-Reichlin, Nadia

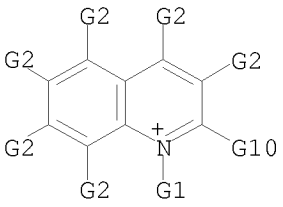
PATENT ASSIGNEE(S): Wella Aktiengesellschaft, Germany
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1346719	A1	20030924	EP 2002-25423	20021115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
DE 10211413	A1	20030925	DE 2002-10211413	20020315
US 20030177592	A1	20030925	US 2003-361380	20030210
US 6977001	B2	20051220		
BR 2003000496	A	20040810	BR 2003-496	20030313

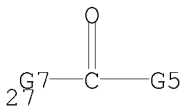
PRIORITY APPLN. INFO.: DE 2002-10211413 20020315

AB The invention concerns hair dyes that are prepared from two components; component A1 contains a quinolinium derivative; component A2 includes a nucleophile compound Other direct dyes can be added; solns., emulsions, creams, foams, gels can be formulated. Thus component A1 contained (g): 4-chloro-1-ethylquinolinium tetrafluoroborate 0.70 decyl glycoside 4.0; EDTA disodium salt 0.2; ethanol 5.0; water to 100. Component A2 included: 1,4-diaminobenzene 0.27; decyl glycoside 4.0; EDTA disodium salt 0.2; ethanol 5.0; 25% ammonia solution 6.0; water to 100.

MSTR 2



G2 = CONH2 / 27 / SO2NH2



G7 = NH
Patent location: claim 1

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 65 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:240339 MARPAT
TITLE: Antitumor agent comprising combination of sulfonamide-containing heterocyclic compound with

angiogenesis inhibitor

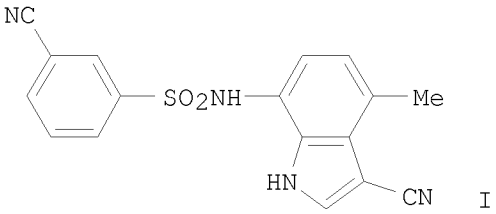
INVENTOR(S): Wakabayashi, Toshiaki; Ono, Naoto; Semba, Taro; Haneda, Toru

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074045	A1	20030912	WO 2003-JP2492	20030304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003211594	A1	20030916	AU 2003-211594	20030304
EP 1481678	A1	20041201	EP 2003-743594	20030304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050119303	A1	20050602	US 2004-504676	20040813

PRIORITY APPLN. INFO.: JP 2002-59471 20020305
WO 2003-JP2492 20030304

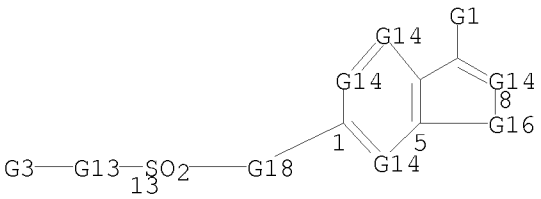
GI



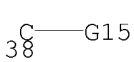
I

AB It is intended to provide compns. and kits for treating tumor whereby the angiogenesis inhibitory activity and the antitumor activity of a sulfonamide-containing heterocyclic compound represented by the following formula (I) can be more effectively exerted. By combining with a VEGF inhibitor or an FGF inhibitor, the sulfonamide-containing heterocyclic compound can be effectively employed in treating cancer.

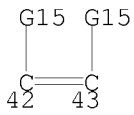
MSTR 2



G13 = bond
G14 = N / 38



G15 = alkylamino <containing 1-4 C>
(opt. substd. by 1 or more G2) / CONH2
G16 = 42-5 43-8



Patent location: claim 7
Note: substitution is restricted
Note: additional ring formation also claimed

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 66 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:214614 MARPAT
TITLE: Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
INVENTOR(S): Jacobsen, Eric Jon; Myers, Jason K.; Walker, Daniel P.; Wishka, Donn G.; Reitz, Steven C.; Piotrowski, David W.; Acker, Brad A.; Groppi, Vincent E., Jr.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072578	A1	20030904	WO 2003-US2688	20030214

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2475773	A1	20030904	CA 2003-2475773	20030214	
AU 2003214936	A1	20030909	AU 2003-214936	20030214	
US 20030236270	A1	20031225	US 2003-366894	20030214	
US 7001900	B2	20060221			
EP 1478646	A1	20041124	EP 2003-710784	20030214	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003007874	A	20041228	BR 2003-7874	20030214	
JP 2005525357	T	20050825	JP 2003-571284	20030214	
MX 2004PA07083	A	20041029	MX 2004-PA7083	20040722	
PRIORITY APPLN. INFO.:			US 2002-358146P	20020220	
			WO 2003-US2688	20030214	

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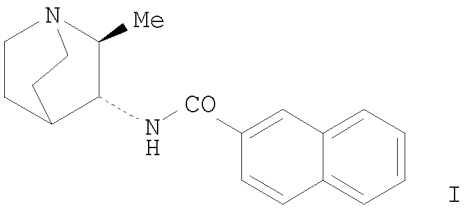
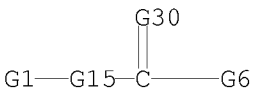


I

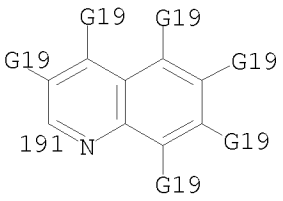
AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the hydrochloride salt of amide I was prepared via a multistep synthetic sequence which concluded with an amidation reaction of the corresponding (2S,3R)-azabicyclic amine dihydrochloride with 2-naphthoic acid using diphenylphosphinic chloride and Et3N in THF. The prepared amides were assayed for human α7-5HT3

receptor binding activity.

MSTR 1



G6 = 191



G19 = 81 / 136

G22 = NH
G23 = alkyl <containing 1-4 C>
(opt. substd. by 1 or more G12)
G24 = NH2
Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically compositions or pharmaceutically acceptable salts
Note: or racemic mixtures or pure enantiomers

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 67 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:180085 MARPAT
TITLE: Preparation of novel aryl- and heteroarylpiperazines with histamine H3 receptor affinity
INVENTOR(S): Hohlweg, Rolf; Doerwald, Florencio Zaragoza; Stephensen, Henrik; Pettersson, Ingrid; Peschke, Bernd
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H.
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003066604	A2	20030814	WO 2003-DK71	20030205
WO 2003066604	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2474214	A1	20030814	CA 2003-2474214	20030205
AU 2003203148	A1	20030902	AU 2003-203148	20030205
EP 1474401	A2	20041110	EP 2003-701482	20030205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007429	A	20041228	BR 2003-7429	20030205
CN 1628109	A	20050615	CN 2003-803360	20030205
JP 2005533747	T	20051110	JP 2003-565978	20030205
US 20030236259	A1	20031225	US 2003-383310	20030307
ZA 2004005694	A	20050630	ZA 2004-5694	20040716
IN 2004CN01692	A	20060224	IN 2004-CN1692	20040802
MX 2004PA07612	A	20041110	MX 2004-PA7612	20040805
NO 2004003709	A	20040903	NO 2004-3709	20040903
PRIORITY APPLN. INFO.:			DK 2002-168	20020205
			US 2002-356630P	20020208
			DK 2002-1142	20020726
			US 2002-399304P	20020726
			WO 2003-DK71	20030205

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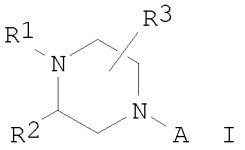
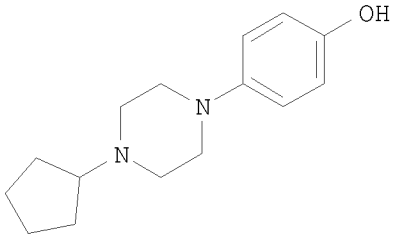


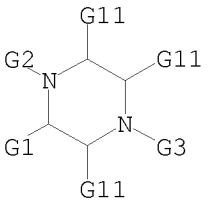
I



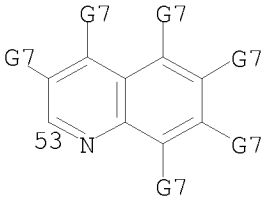
II

AB Novel aryl- and heteroarylpiperazines of formula I [R1 = alkyl, alkenyl, alkynyl, cycloalkyl, not isobutyl; R2 = H, alkyl; R1R2 = alkylene; R3 = H, halo, OH, CF3, OCF3, alkyl, cycloalkyl, alkoxy, aryl, etc.; A = aryl, heteroaryl, etc.] are prepared and used in pharmaceutical compns. The compds. show a high and selective binding affinity to the histamine H3 receptor indicating histamine H3 receptor antagonistic, inverse agonistic or agonistic activity. As a result, the compds. are useful for the treatment of diseases and disorders related to the histamine H3 receptor. Thus, II was prepared from 1-(4-hydroxyphenyl)piperazine and cyclopentanone in 49% yield.

MSTR 1



G3 = 53



G7 = 95 / alkylamino <containing 1-6 C> (opt. substd.)

95 C(O)-G13

G13 = NH2 / heterocycle <containing 1 heteroatom, 1 N, 3-6 C, attached through 1 N, monocyclic>
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates claim 57

L5 ANSWER 68 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:143997 MARPAT
TITLE: Methods using Edg receptor modulators for the treatment of Edg receptor-associated conditions
INVENTOR(S): Shankar, Geetha; Solow-Cordero, David; Spencer, Juliet V.; Gluchowski, Charles
PATENT ASSIGNEE(S): Ceretek LLC, USA
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062392	A2	20030731	WO 2003-US1881	20030121
WO 2003062392	A3	20050120		

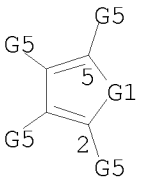
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

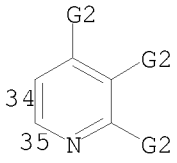
CA 2473740	A1	20030731	CA 2003-2473740	20030121
AU 2003214873	A1	20030902	AU 2003-214873	20030121
EP 1513522	A2	20050316	EP 2003-710713	20030121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005519915	T	20050707	JP 2003-562260	20030121
US 20050261298	A1	20051124	US 2003-390428	20030314
PRIORITY APPLN. INFO.:			US 2002-350445P	20020118
			US 2002-350446P	20020118
			US 2002-350447P	20020118
			US 2002-350448P	20020118
			WO 2003-US1881	20030121
			US 2003-352579	20030127

AB The invention provides a method of modulating an Edg-2, Edg-3, Ed-4 or Edg7 receptor-mediated biol. activity in a cell. A cell expressing the Edg-2, Edg-3, Edg-4 or Edg 7 receptor is contacted with a modulator of the Edg-2, Edg-3, Ed-4 or Edg 7 receptor sufficient to modulate receptor mediated biol. activity. In another aspect, the present invention provides a method for modulating an Edg-2, Edg-3, Ed-4 or Edg-7 receptor mediated biol. in a subject. A therapeutically effective amount of a modulator of the Edg-2, Edg-3, Ed-4 or Edg7 receptor is administered to the subject. Preparation of compds., e.g. 4,4,4-trifluoro-3-oxo-N-(5-phenyl-2H-pyrazol-3-yl)butyramide, is described.

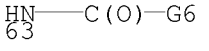
MSTR 20



G1 = 34-5 35-2



G2 = 63 / CONH2 (opt. substd.)



G5 = CONH2 (opt. substd.)
Patent location: claim 135
Note: or pharmaceutically available solvates or hydrates

L5 ANSWER 69 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:69267 MARPAT
TITLE: Preparation of 2-benzimidazolylamines as ORL1-receptor agonists for the treatment of pain and inflammatory diseases
INVENTOR(S): Ito, Fumitaka
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

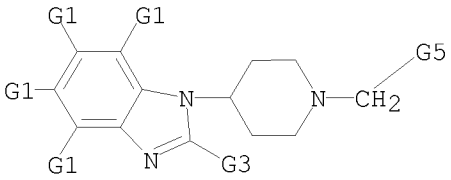
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1069124	A1	20010117	EP 2000-305981	20000714
EP 1069124	B1	20040512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6340681	B1	20020122	US 2000-606921	20000629
JP 2001048879	A	20010220	JP 2000-209374	20000711
JP 3276111	B2	20020422		
JP 2001039974	A	20010213	JP 2000-211264	20000712
BR 2000002796	A	20010403	BR 2000-2796	20000714
MX 2000PA06980	A	20020201	MX 2000-PA6980	20000714
AT 266657	T	20040515	AT 2000-305981	20000714
PT 1069124	T	20040930	PT 2000-305981	20000714
ES 2219272	T3	20041201	ES 2000-305981	20000714
CA 2314008	A1	20010116	CA 2000-2314008	20000717
PRIORITY APPLN. INFO.:			WO 1999-IB1290	19990716

GI

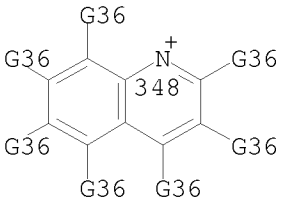
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2 = H, halo, OH, etc.; R3, R4 = H, halo-alkyl, substituted alkyl, i.e., OH, alkoxy, alkyl-S, etc.; R5 = pheny, substituted cycloalkyl, i.e., H, halo, OH, etc.;] and their pharmaceutically acceptable salts were prepared For example, N-alkylation of N-methylpiperazine by chlorobenzimidazolyl II, e.g., prepared from 1,3-dihydro-1-(4-piperidinyl)-2H-benzimidazol-2-one in 2-steps, afforded 2-benzimidazolylamine III in 15% yield. In selective affinity studies of opioid receptors, i.e., ORL1, μ, κ and δ, some examples of compds. I exhibited good ORL1-receptor agonist activity. Compds. I are claimed useful as analgesics.

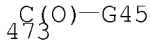
MSTR 1



G3 = 348



G36 = 473 / alkoxycarbonylamino <containing 1-4 C>



G45 = NH2
Patent location: claim 1
Note: or salts

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 70 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:53194 MARPAT
TITLE: Preparation of bicyclic N-arylamides for use in producing pharmaceuticals
INVENTOR(S): Luithle, Joachim; Boess, Frank-Gerhard; Erb, Christina; Flessner, Timo; Hendrix, Martin; Van Kampen, Marja; Methfessel, Christoph
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051874	A1	20030626	WO 2002-EP13835	20021206

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10162375	A1	20030710	DE 2001-10162375	20011219
CA 2470726	A1	20030626	CA 2002-2470726	20021206
AU 2002352221	A1	20030630	AU 2002-352221	20021206
EP 1458716	A1	20040922	EP 2002-787913	20021206
EP 1458716	B1	20060927		

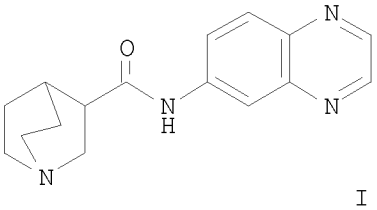
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

JP 2005517657	T	20050616	JP 2003-552758	20021206
ES 2274114	T3	20070516	ES 2002-787913	20021206
US 20050107460	A1	20050519	US 2004-497511	20041222
US 7247728	B2	20070724		

PRIORITY APPLN. INFO.: DE 2001-10162375 20011219
WO 2002-EP13835 20021206

OTHER SOURCE(S): CASREACT 139:53194

GI



I

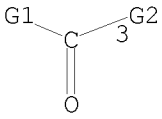
AB The invention relates to novel bicyclic N-arylamides, R1C(:O)NR2R3 [R1 = 1-azabicyclo[m.n.p]alkyl (7 - 11 ring atoms, optionally substituted with C1-6-alkyl); m, n = 2, 3; p = 1, 2, 3; R2 = 8 - 10 membered heteroaryl, naphthyl, azulenyl (optionally substituted with H, halogen, CHO, CONH2, CN, CF3, CF3O, NO2, C1-6-alkyl,C1-6-alkoxy, C1-6-alkylthio); R3 = H, C1-6-alkyl] and their salts, solvates and salt solvates, to a method for the production thereof, characterized by reaction of R1COX [X = OH, appropriate leaving group] with R2R3NH in the presence of a base, and to the use of the same for producing pharmaceuticals for the treatment and/or prophylaxis of diseases and for improving perception, power of concentration, learning capacity and/or memory retention. Thus, N-(6-quinoxalinyl)quinuclidine-3-carboxamide hydrochloride (I·HCl) was prepared from quinuclidine-3-carbonyl chloride hydrochloride and (6-quinoxalinyl)amine in DMF containing EtN(CHMe2)2 and catalytic DMAP.

MSTR 1

G7—G4

G2 = NH
G4 = quinolinyl (opt. substd. by 1 or more G5)

G5 = CONH2
G7 = 3



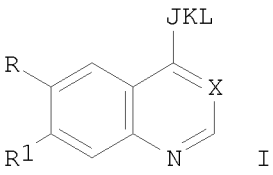
Patent location: claim 1
Note: and salts, solvates and solvates of salts

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

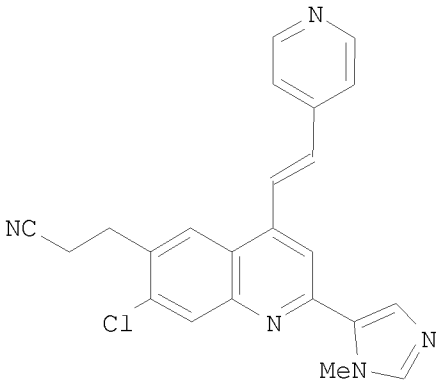
L5 ANSWER 71 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:36536 MARPAT
TITLE: Preparation of quinoline and quinazoline derivatives as inflammation modulators
INVENTOR(S): Cushing, Timothy D.; He, Xiao; Smith, Marie-Louise; Degraffenreid, Michael R.; Powers, Jay; Tomooka, Craig S.; Clark, David L.; Hao, Xiaolin; Jaen, Juan C.; Labelle, Marc; Walker, Nigel P. C.; Gill, Adrian L.; Talamas, Francisco X.; Labadie, Sharada S.
PATENT ASSIGNEE(S): Tularik Inc., USA; F. Hoffmann-La Roche AG
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048152	A2	20030612	WO 2002-US39134	20021204
WO 2003048152	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002365611	A1	20030617	AU 2002-365611	20021204
US 20030181472	A1	20030925	US 2002-314428	20021204
US 7176314	B2	20070213		
PRIORITY APPLN. INFO.:			US 2001-337460P	20011205
			WO 2002-US39134	20021204

GI



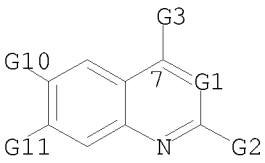
I



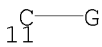
II

AB Title compds. I [X = N, (un)substituted CH; J = alkylene, alkenylene, alkynylene, CO, C:S, (un)substituted C:NH, NH, CONH, CSNH, C(:NH)NH, CH:N, O, S, S(O), SO2, alkylenamino, alkylenoxy; K = bond, alkylene, CO, CS, O, S, S(O), SO2, (un)substituted C:NH, NH; L = H, (un)substituted OH, alkyl, heteroalkyl, aryl, heteroaryl, NH2, acyl, thioacyl, CH:NH, carbamoyl, thiocarbamoyl, CO2H; JK, JL, KL = heterocyclic; B = 5-6-membered heteroarom.; R, R1 = H, halogen, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, alkylthio, NH2, cycloalkyl, heterocyclic, CN, NO2, acyl, alkoxycarbonyl, CONH2, SO2NH2] were prepared for use in the treatment of inflammatory, immunoregulatory, metabolic and cell proliferative conditions or diseases. Thus, 5-chloroisatin was iodinated, cyclized with 5-acetyl-1-methyl-2-tert.-butyldimethylsilylimdazole, substituted with CH2:CHCN, reduced, and treated with 4-methylpyridine to give the quinoline II. I had IC50 ≤ 30 μM for inhibition of IKKβ.

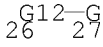
MSTR 1



G1 = 11



G3 = 26



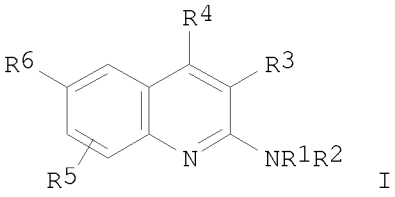
G5 = CONH2

G10 = SO2NH2
G12 = NH (opt. substd.)
G13 = Ph
Patent location: claim 1
Note: or pharmaceutically acceptable salts or prodrugs
Note: substitution is restricted

L5 ANSWER 72 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:36445 MARPAT
TITLE: Preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-1R) antagonists.
INVENTOR(S): Devita, Robert J.; Chang, Lehua; Chaung, Danny; Hoang, Myle; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.; Young, Jonathan R.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045313	A2	20030605	WO 2002-US37556	20021122
WO 2003045313	A3	20030904		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468015	A1	20030605	CA 2002-2468015	20021122
AU 2002352878	A1	20030610	AU 2002-352878	20021122
AU 2002352878	B2	20071122		
EP 1450801	A2	20040901	EP 2002-789837	20021122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005519876	T	20050707	JP 2003-546818	20021122
US 20050026915	A1	20050203	US 2004-496615	20040525
US 7084156	B2	20060801		
PRIORITY APPLN. INFO.:			US 2001-333581P	20011127
			WO 2002-US37556	20021122

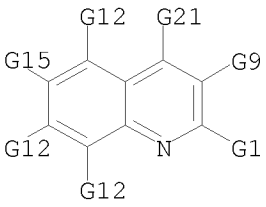
GI



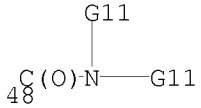
I

AB Title compds. [I; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, aralkyl, etc.; R1R2N = 4-11 membered (bridged) (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, alkenyl, alkynyl, perfluoroalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaralkyl, OR7, N(R7)2, cyano, etc.; R3R4 = atoms to form 5-7 membered (substituted) ring; R5 = H, halo, alkyl, perfluoroalkyl, OR7, N(R7)2; R6 = (CH2)nR7, (CH2)nCN, (CH2)nCO2R7, (CH2)nOR7, (CH2)nN(R7)2, etc.; R7 = H, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, aralkenyl, cycloalkylalkenyl, etc.; n = 0-5], were prepared for the treatment or prevention of obesity, eating disorders, osteoarthritis, cancer, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder (ADD), substance abuse disorders and dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. Thus, 2-piperidin-1-ylquinolin-6-amine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 3 h in HOAc to give (2E)-3-(4-chlorophenyl)-N-(2-piperidin-1-ylquinolin-6-yl)prop-2-enamide hydrochloride. I bound to MCH-1R receptors with IC50 = 0.1-10000 nM.

MSTR 1



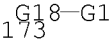
G9 = 48



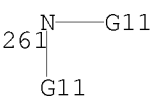
48

G11 = heterocycle <containing 3 or more atoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms),
0 or more double bonds, mono- or polycyclic,
including 5- or 6-membered rings> (opt. substd.) / Ph
G15 = 107 / 136

G18 = SO2
G19 = 173



G21 = 261



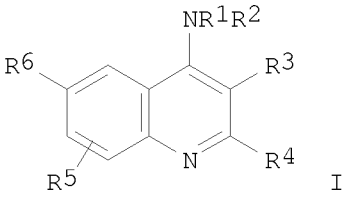
Patent location: claim 1
Note: and pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional substitution also claimed

L5 ANSWER 73 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:22115 MARPAT
TITLE: Preparation of 4-aminoquinolines as melanin concentrating hormone receptor antagonists, particularly MCH-1R antagonists.
INVENTOR(S): Devita, Robert J.; Chang, Lehua; Hoang, Myle Thi; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045920	A1	20030605	WO 2002-US37510	20021122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468159	A1	20030605	CA 2002-2468159	20021122
AU 2002352868	A1	20030610	AU 2002-352868	20021122
EP 1451156	A1	20040901	EP 2002-789827	20021122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005518365	T	20050623	JP 2003-547372	20021122
	US 20050009815	A1	20050113	US 2004-496614	20040525
PRIORITY APPLN. INFO.:				US 2001-333464P	20011127
				WO 2002-US37510	20021122

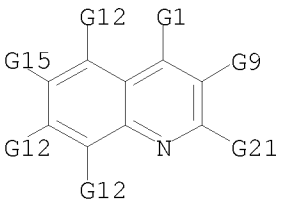
GI



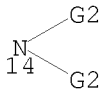
I

AB Title compds. [I; R1 R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkyl, heteroarylalkyl; R1R2N = (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, perfluoroalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, OR7, NR7R7, CO2R7, cyano, CONR7R7; R3R4 = atoms to form a (substituted) 5-7 membered (hetero)cycloalkyl; R5 = H, halo, alkyl, perfluoroalkyl, OR7, NR7R7; R6 = (CH2)nR7, (CH2)naryl-R7, (CH2)n-heteroaryl-R7, (CH2)n-heterocycloalkyl-R7, (CH2)nCN, (CH2)nCON(R7)2, (CH2)nCO2R7, (CH2)nCOR7, (CH2)nNR7COR7, (CH2)nNR7CO(CH2)nSR7 (CH2)nNR7CO2R7, (CH2)nNR7CON(R7)2, (CH2)nNR7SO2R7, (CH2)nSOpR7, (CH2)nSO2N(R7)2, (CH2)nOR7, (CH2)nOC(O)R7, (CH2)nOCO2R7, (CH2)nO2CN(R7)2, (CH2)nN(R7)2, (CH2)nNR7SO2N(R7)2; R7 = H, (substituted) alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkenyl, heteroarylalkenyl, cycloalkylalkenyl, heterocycloalkylalkenyl; n = 0-5; p = 0-2], were prepared Thus, 2-propylquinoline-4,6-diamine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 6 h in HOAc to give (2E)-N-(4-amino-2-propylquinolin-6-yl)-3-(4-chlorophenyl)prop-2-enamide. I are useful for the treatment or prevention of obesity or eating disorders, osteoarthritis, certain cancers, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder, substance abuse disorders, dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. I showed IC50 = 0.1-10000 nM for MCH-1R receptor binding activity.

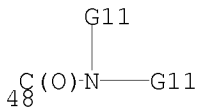
MSTR 1



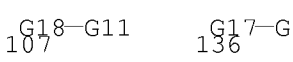
G1 = 14



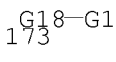
G2 = cyclopropyl
G9 = 48



G11 = heterocycle <containing 3 or more atoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms),
0 or more double bonds, mono- or polycyclic,
including 5- or 6-membered rings> (opt. substd.)
G15 = 107 / 136



G18 = SO2
G19 = 173



Patent location: claim 1
Note: and pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional substitution also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 74 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 138:255107 MARPAT
TITLE: Synthesis of enantiomerically pure amino-substituted fused bicyclic rings
INVENTOR(S): McEachern, Ernest J.; Bridger, Gary J.; Skupinska, Krystyna A.; Skerlj, Renato T.
PATENT ASSIGNEE(S): Anormed Inc., Can.
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003022785	A2	20030320	WO 2002-US29372	20020912
WO 2003022785	A3	20040930		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2456614	A1	20030320	CA 2002-2456614	20020912
AU 2002341672	A1	20030324	AU 2002-341672	20020912
US 20030114679	A1	20030619	US 2002-243434	20020912
US 6825351	B2	20041130		
EP 1487795	A2	20041222	EP 2002-775823	20020912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012443	A	20050315	BR 2002-12443	20020912
JP 2005508316	T	20050331	JP 2003-526864	20020912
CN 1608052	A	20050420	CN 2002-817593	20020912
CN 1817864	A	20060816	CN 2006-10005453	20020912
HU 2006000777	A2	20070129	HU 2006-777	20020912
NZ 531482	A	20070427	NZ 2002-531482	20020912
RU 2308451	C2	20071020	RU 2004-110928	20020912
ZA 2004000750	A	20050406	ZA 2004-750	20040129
IN 2004KN00110	A	20060331	IN 2004-KN110	20040129
NO 2004001012	A	20040310	NO 2004-1012	20040310
MX 2004PA02356	A	20040629	MX 2004-PA2356	20040311
US 20050080267	A1	20050414	US 2004-959823	20041006
US 7135570	B2	20061114		
US 20070060757	A1	20070315	US 2006-598955	20061114
PRIORITY APPLN. INFO.:			US 2001-323201P	20010912
			CN 2002-817593	20020912
			US 2002-243434	20020912
			WO 2002-US29372	20020912
			US 2004-959823	20041006

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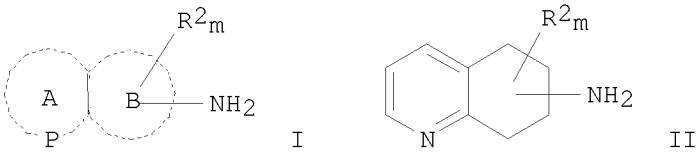


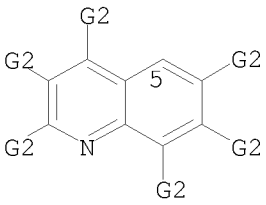
AB This invention describes various processes for synthesis and resolution of racemic amino-substituted fused bicyclic ring systems (shown as I; variables defined below), primarily 5,6,7,8-tetrahydroquinolines (shown as II; e.g. 8-amino-2-methyl-5,6,7,8-tetrahydroquinoline) and 5,6,7,8-tetrahydroisoquinolines (e.g. 5-amino-5,6,7,8-tetrahydroisoquinoline). One process uses selective hydrogenation of an amino-substituted fused bicyclic aromatic ring system; for example,

8-amino-5,6,7,8-tetrahydroquinoline was obtained in 2 steps (100, 54 and 91% yields) from 8-aminoquinoline via intermediates 8-acetylaminoquinoline and 8-acetylamino-5,6,7,8-tetrahydroquinoline using PtO2/trifluoroacetic acid/H2 for the hydrogenation. An alternative process preps. the racemic amino-substituted fused bicyclic ring system via nitrosation; for example, 5,6,7,8-tetrahydroquinoline was converted with LDA/MTBE at -30° followed by isoamyl nitrite to 8-hydroxyimino-5,6,7,8-tetrahydroquinoline (75%) that was hydrogenated using H2/Pd/C/MeOH to give 8-amino-5,6,7,8-tetrahydroquinoline (100%). The present invention describes the enzymic resolution of a racemic mixture to produce the (R)- and (S)- forms of amino-substituted fused bicyclic rings as well as a racemization process to recycle the unpreferred enantiomer. For example, 8-amino-5,6,7,8-tetrahydroquinoline was half reacted with EtOAc in iPr2O at 60° in the presence of Candida antarctica lipase to give (R)-(-)-N-(5,6,7,8-tetrahydroquinolin-8-yl)acetamide (97% ee) and unreacted (S)-(+)-8-amino-5,6,7,8-tetrahydroquinoline (96% ee). The amine could be racemized at 150° in a sealed tube under Ar with 87% yield. Further provided by this invention is an asym. synthesis of the (R)- or (S)- enantiomer of primary amino-substituted fused bicyclic ring systems. For example, (R)-(-)-8-amino-5,6,7,8-tetrahydroquinoline (98% ee) was obtained in 4 steps (82, 95, 93 and 59% yields) starting from 8-hydroxy-5,6,7,8-tetrahydroquinoline via intermediates 6,7-dihydro-5H-quinolin-8-one, (R)-(-)-(6,7-dihydro-5H-quinolin-8-ylidene)(1-phenylethyl)amine, and (-)-((1R)-1-Phenylethyl)-(8-(R)-5,6,7,8-tetrahydroquinolin-8-yl)amine using (R)-(+)-α-methylbenzylamine as chiral auxiliary. For I: ring A is a heteroarom. 5- or 6-membered ring, P is N, S or O; ring B is a 5- or 6-membered cycloalkyl or heterocycloalkyl; NH2 is located at a position on ring B; and R2 is located at any other H position on the fused bicyclic ring; m is 0-4; R2 = halo, nitro, cyano, carboxylic acid, alkyl, alkenyl, cycloalkyl, hydroxy, thiol, a protected amino, acyl, carboxylate, carboxamide, sulfonamide, an aromatic group and a heterocyclic group. The variable definitions for II and the isoquinolines are the same as for I.

MSTR 1

G1—NH_2

G1 = 5



G2 = 140 / CONH2 (opt. substd.) / SO2NH2 (opt. substd.)

HN—G3
140

G3 = acyl
Patent location: claim 1
Note: substitution is restricted

L5 ANSWER 75 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 138:180679 MARPAT
TITLE: SH3 protein domains and their ligands
INVENTOR(S): Booker, Grant William; Pyke, Simon Mathew; Branson, Kim Mathew; Inglis, Steven Robert
PATENT ASSIGNEE(S): Adelaide Research & Innovation Pty Ltd., Australia
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013523	A1	20030220	WO 2002-AU1064	20020808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002319011	A1	20030224	AU 2002-319011	20020808
PRIORITY APPLN. INFO.:			AU 2001-6881	20010808
			WO 2002-AU1064	20020808

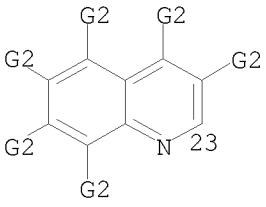
AB The present invention relates generally to mols. capable of interaction with one or more domains within a proteinaceous mol. such as a peptide, polypeptide, protein or a macromol. comprising a proteinaceous mol. More particularly the present invention relates to mols. including ligands which are capable of interacting with, and more particularly, binding to, SH3 protein domains or homologs thereof and even more particularly to mols. including ligands which are capable of binding to SH3 domains having a three-dimensional ligand-binding site comprising a neg. charged residue and a hydrophobic residue linearly separated by at least five amino acid residues. The subject invention is preferably directed to the use of 2-aminopyridine, 2-aminoquinoline, 1-aminoisoquinoline and derivs., homologs, analogs and mimetics thereof or pharmaceutically acceptable salts thereof which interact with SH3 domains, and more particularly to the binding of 2-aminopyridine, 2-aminoquinoline, 1-aminoisoquinoline and derivs. analogs and mimetics to SH3 domains as defined above. The present invention contemplates the use of a three dimensional structure of the subject SH3 domain to identify, screen and design amino-substituted and amino-substituted pyridines and aminoquinolines capable of binding to an SH3 domain. The present invention is also useful for the in silico selection of derivs. homologs, analogs and mimetics of 2-aminopyridine, 2-aminoquinoline, 1-aminoisoquinoline capable of binding to SH3 domains. The ligands of the present invention are useful in the development of a

range of therapeutic and diagnostic agents.

MSTR 2

G1—G5

G1 = 23



G2 = CONH2 / alkylamino <containing 1-12 C>
(opt. substd.)
Patent location: claim 1
Note: additional oxo group substitution, fused ring formation, and unsaturation also claimed
Note: substitution is restricted
Note: or pharmaceutically acceptable salts or other derivatives
Stereochemistry: or diastereoisomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs fqhit 76-125

L5 ANSWER 76 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 137:325443 MARPAT
TITLE: Preparation of novel tricyclic benzodiazepine carboxamides as tocolytic oxytocin receptor antagonists
INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Caggiano, Thomas Joseph; Sabatucci, Joseph Peter; Memoli, Kevin Anthony; Trybulski, Eugene John
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083683	A1	20021024	WO 2002-US11534	20020411
WO 2002083683	A9	20040226		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20030055047	A1	20030320	US 2002-120025	20020410	
US 7064120	B2	20060620			
CA 2443567	A1	20021024	CA 2002-2443567	20020411	
AU 2002254597	A1	20021028	AU 2002-254597	20020411	
EP 1377581	A1	20040107	EP 2002-723834	20020411	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004526769	T	20040902	JP 2002-581438	20020411	
CN 1531537	A	20040922	CN 2002-808035	20020411	
BR 2002009017	A	20050111	BR 2002-9017	20020411	
MX 2003PA09333	A	20051005	MX 2003-PA9333	20031010	
PRIORITY APPLN. INFO.:			US 2001-283262P	20010412	
			WO 2002-US11534	20020411	

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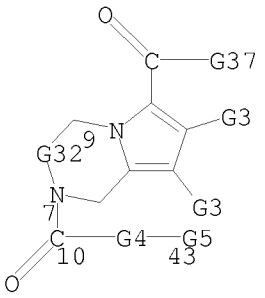
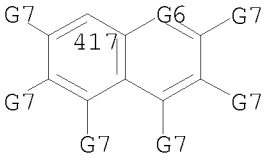
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; ring containing Z = II, III (wherein R1, R2 = H, alkyl, halo, etc.); R3 = H, alkyl, alkoxy, etc.; R4 = BC (B = IV, V; C = (un)substituted Ph, 1-naphthyl, 1-pyrrolyl, etc.; A = CH, N; R5-R7 = H, alkyl, alkoxy, etc.); R = pyridylmethylamino, 2-(pyridyl)ethylamino, 4-(pyridyl)piperazino, etc.] which act as oxytocin receptor competitive antagonists, and therefore are useful in treatment, inhibition, suppression or prevention of preterm labor, dysmenorrhea, endometritis, suppression of labor at term prior to Caesarian delivery, and to facilitate antinatal transport to a medical facility, were prepared Thus, a 7-step synthesis of VI which showed IC50 of 11.2 nM against human oxytocin receptor binding, was given. The compds. I are also useful in enhancing fertility rates, enhancing survival rates and synchronizing estrus in farm animals, and may be useful in the prevention and treatment of dysfunctions of the oxytocin system in the central nervous system including obsessive compulsive disorder (OCD) and neuropsychiatric disorders.

MSTR 1



G5 = 417



G6 = N
G7 = CONH2 / alkylamino <containing 1-6 C> / SO2NH2
Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 77 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 137:325440 MARPAT
TITLE: Preparation of novel tricyclic benzodiazepine carboxamides as tocolytic oxytocin receptor antagonists
INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Caggiano, Thomas Joseph; Sabatucci, Joseph Peter; Memoli, Kevin Anthony; Trybulski, Eugene John; Sanders, William Jennings
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083680	A1	20021024	WO 2002-US11530	20020411

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

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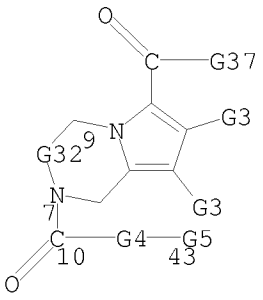
US 20030018026	A1	20030123	US 2002-120100	20020410	
US 6900200	B2	20050531			
CA 2443805	A1	20021024	CA 2002-2443805	20020411	
AU 2002258781	A1	20021028	AU 2002-258781	20020411	
EP 1377583	A1	20040107	EP 2002-728748	20020411	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
CN 1501931	A	20040602	CN 2002-808036	20020411	
JP 2004527537	T	20040909	JP 2002-581435	20020411	
BR 2002009016	A	20050111	BR 2002-9016	20020411	
MX 2003PA09338	A	20041112	MX 2003-PA9338	20031010	
PRIORITY APPLN. INFO.:			US 2001-283261P	20010412	
			WO 2002-US11530	20020411	

GI

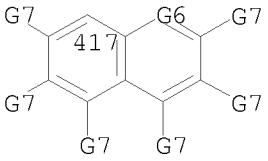
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; ring containing Z = II, III (wherein R1, R2 = H, alkyl, halo, etc.); R3 = H, alkyl, alkoxy, etc.; R4 = BC (B = IV, V; C = (un)substituted Ph, 1-naphthyl, 1-pyrrolyl, etc.; A = CH, N; R5-R7 = H, alkyl, alkoxy, etc.)] which act as oxytocin receptor competitive antagonists, and therefore are useful in treatment, inhibition, suppression or prevention of preterm labor, dysmenorrhea and endometritis, suppression of labor at term prior to Caesarian delivery, and to facilitate antinatal transport to a medical facility, were prepared E.g., a 7-step synthesis of VI which showed IC50 of 1.37 nM against human oxytocin receptor binding (CHO cell line), was given. The compds. I are also useful in enhancing fertility rates, enhancing survival rates and synchronizing estrus in farm animals, and may be useful in the prevention and treatment of disfunctions of the oxytocin system in the central nervous system including obsessive compulsive disorder (OCD) and neuropsychiatric disorders.

MSTR 1



G5 = 417



G6 = N
G7 = CONH2 / alkylamino <containing 1-6 C> / SO2NH2
Patent location: claim 1
Note: and pharmaceutically acceptable salts, or prodrugs

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 78 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 137:310939 MARPAT
TITLE: Preparation of tricyclic diazepines as tocolytic oxytocin receptor antagonists
INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Caggiano, Thomas Joseph; Sabatucci, Joseph Peter; Memoli, Kevin Anthony; Trybulski, Eugene John
PATENT ASSIGNEE(S): Wyeth, John and Brother Ltd., USA
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083678	A1	20021024	WO 2002-US11527	20020411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030008863	A1	20030109	US 2002-119971	20020410
US 7109193	B2	20060919		
CA 2443490	A1	20021024	CA 2002-2443490	20020411
AU 2002303323	A1	20021028	AU 2002-303323	20020411
EP 1377586	A1	20040107	EP 2002-731343	20020411
EP 1377586	B1	20060322		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1501932	A	20040602	CN 2002-808039	20020411
JP 2004526768	T	20040902	JP 2002-581433	20020411
BR 2002009014	A	20050111	BR 2002-9014	20020411
AT 321047	T	20060415	AT 2002-731343	20020411
ES 2260434	T3	20061101	ES 2002-731343	20020411

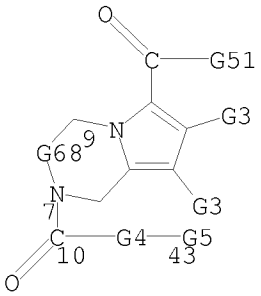
MX 2003PA09331 A 20041112 MX 2003-PA9331 20031010
PRIORITY APPLN. INFO.: US 2001-283264P 20010412
WO 2002-US11527 20020411

GI

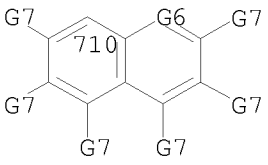
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; ring containing Z = II, III; R1, R2 = H, alkyl, halo, CN, etc.; R3 = H, alkyl, alkoxy, etc.; R4 = BC (wherein B = IV, V; C = (un)substituted Ph, 1-naphthyl, 1-pyrrolyl, etc.; A = CH, N; R5-R7 = H, alkyl, alkoxy, etc.); R = OH, NR11R12, (un)substituted 4-oxopiperidin-1-yl, etc. (R11, R12 = H, alkyl, cycloalkyl, etc.)], useful for the treatment and/or prevention and/or suppression of disorders which may be remedied or alleviated by oxytocin antagonist activity, including treatment of preterm labor, dysmerorrhea, endometritis, and for suppressing labor prior to Caesarian delivery, were prepared Thus, amidation of VI [R = OH] (multi-step synthesis given) with 1-(tert-butoxycarbonyl)piperazine afforded VI [R = 4-tert-butoxycarbonylpiperazin-1-yl] which showed 56% inhibition of binding to membranes of CHO cell line stably transfected with human oxytocin receptor at 100 nM vs. 2% and 13% inhibition of binding to membranes of CHO cell line stably transfected with human vasopressin V1a and V2 receptor subtypes, resp. The compds. I are also useful in enhancing fertility rates, enhancing survival rates and synchronizing estrus in farm animals, and may be useful in the prevention and treatment of dysfunctions of the oxytocin system in the central nervous system including obsessive compulsive disorder (OCD) and neuropsychiatric disorders.

MSTR 1



G5 = 710



G6 = N

G7 = CONH2 / alkylamino <containing 1-6 C> / SO2NH2
Patent location: claim 1
Note: and pharmaceutically acceptable salts, or prodrugs

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

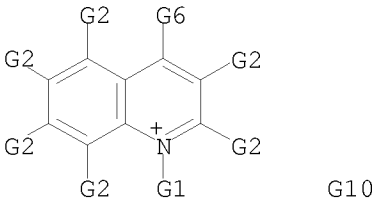
L5 ANSWER 79 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 137:190369 MARPAT
TITLE: Hair dyes containing cationic quinolinium direct dyes
PATENT ASSIGNEE(S): Wella A.-G., Germany
SOURCE: Ger. Gebrauchsmusterschrift, 25 pp.
CODEN: GGXXFR
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 20204129	U1	20020829	DE 2002-20204129	20020315

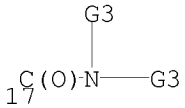
PRIORITY APPLN. INFO.: DE 2002-20204129 20020315

AB The invention concerns hair dye compns. that contain cationic direct dyes from the group of quinolinium salts. The compns. further contain other direct dyes, e.g. azo dyes, quinone dyes, and triphenylmethanes. Oxidative dyes, oxidation agent, synthetic polymers or modified natural polymers can be included. Thus 4-[(4-aminophenyl)amino]-1-ethylquinolinium-tetrafluoroborate was synthesized and used at an amount of 0.01 g in a dye that also included 10.00 g ethanol and 10.00 g water. The dye mixture was diluted with 10% citric acid or 10% ammonia solution for testing the color effects.

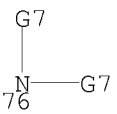
MSTR 1



G2 = 17 / SO2NH2



G6 = 76

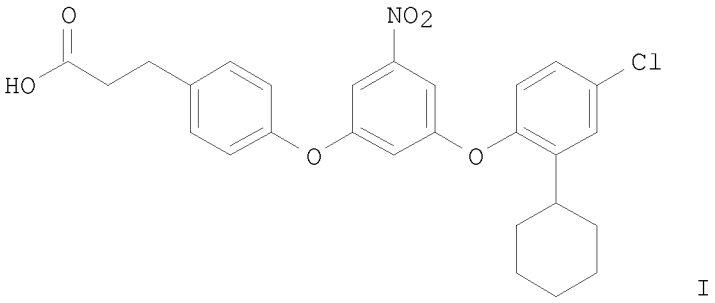


G7 = heteroaryl
Patent location: claim 1
Note: additional ring formation also claimed

L5 ANSWER 80 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 137:135116 MARPAT
TITLE: Diphenyl ether derivatives, their preparation, and their uses as heparanase inhibitors
INVENTOR(S): Ayal-Hershkovitz, Maty; Miron, Daphna; Koller, Avi; Ilan, Neta; Levy, Ofra
PATENT ASSIGNEE(S): Insight Strategy and Marketing Ltd., Israel
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060375	A2	20020808	WO 2002-IL82	20020129
WO 2002060375	A3	20031009		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002230057	A1	20020812	AU 2002-230057	20020129
PRIORITY APPLN. INFO.:			US 2001-264305P	20010129
			WO 2002-IL82	20020129

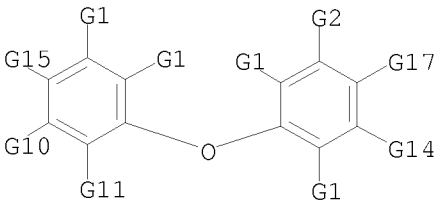
GI



I

AB The invention provides di-Ph ether compds. as heparanase inhibitors suitable for treatment of diseases and disorders caused by or associated with heparanase catalytic activity, e.g. cancer, inflammatory disorders, and autoimmune diseases. Preparation and biol. activity of e.g. I are described.

MSTR 1



G2 = 31

G8—G9
31

G8 = C(O) / SO2
G14 = 57

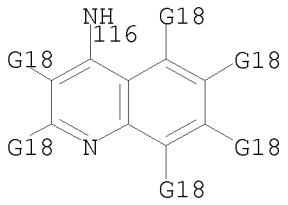
G8—G9
57

G15 = 67

G8—G9
67

G17 = 104 / 116

G8—G9
104



G18 = 127

G8—G19
127

G19 = NH2 (opt. substd.) / heterocycle <containing 5-7 atoms, 1-4 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, non-aromatic, saturated, 5- to 7-membered monocyclic ring> (opt. substd.)
Patent location: claim 1
Note: and pharmaceutically acceptable salts

L5 ANSWER 81 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 136:85762 MARPAT
TITLE: New aryl-, quinolyl-, and other heterocyclyl-containing amino alcohol derivatives useful as β3 adrenergic receptor agonists
INVENTOR(S): Kayakiri, Hiroshi; Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Fujii, Naoaki; Taniguchi, Kiyoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000622	A2	20020103	WO 2001-JP5425	20010625
WO 2002000622	A3	20020829		

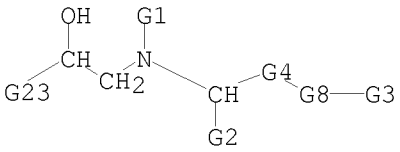
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2000-8413 20000627
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

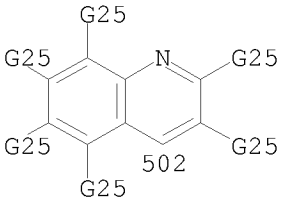
AB The invention relates to compds. I [wherein: X1 = bond or OCH2; X2 = (CH2)1-2; X3 = bond, O, or NH; R1 = (un)substituted Ph, indolyl, or carbazolyl [substituents = 1 or 2 of OH, halo, NO2, amino, formyl, (lower)alkylsulfonylamino, aryl(lower)alkoxy, and hydroxy(lower)alkyl]; R2 = H or aryl(lower)alkyl; R3 = H or hydroxy(lower)alkyl; R4 = (un)substituted aryl, 4-quinolyl, phthalazinyl, quinazolinyl, cinnolinyl, or naphthyridinyl; with provisos], or their pharmaceutically acceptable salts. The compds. are β3 adrenergic receptor agonists, and therefore have gut sympathomimetic, antiulcer, anti-pancreatitis, lipolytic, and smooth muscle relaxant activities. In particular, I and salts are useful for the prophylactic and/or the therapeutic treatment of pollakiuria or urinary incontinence. Sixty precursor prepns. and 63 invention examples, including well over 200 invention compds., are provided. For example, the structure of claimed compound II is typical. Another invention compound, phthalazine derivative III, was prepared from 4-((2S)-2-amino-3-hydroxypropyl)phenol HCl, benzaldehyde, (2S)-3-phenoxy-1,2-epoxypropane, and 1-chlorophthalazine, in 4 steps. III at 0.32 mg/kg (intraduodenal) in beagle dogs gave 35.9% inhibition of carbachol-induced increase in intravesical pressure.

MSTR 1

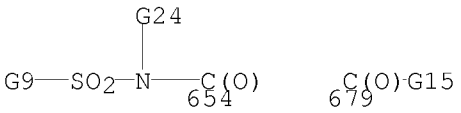


G3 = 497

G8 = phenylene
G13 = NH
G15 = NH2
G18 = 502



G25 = 654 / 679

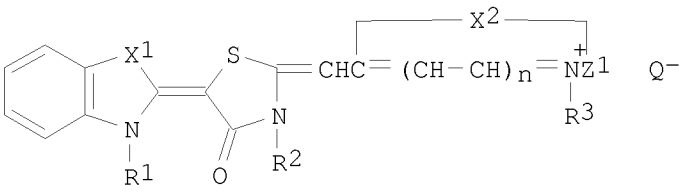


Patent location: claim 1
Note: substitution is restricted
Note: and salts
Note: also incorporates claim 5

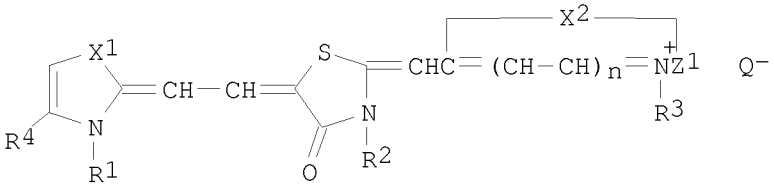
L5 ANSWER 82 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 136:48475 MARPAT
TITLE: Cationic rhodacyanine dye derivatives as inhibitors for interaction mot-2 protein and the p53 protein
INVENTOR(S): Wadhwa, Renu; Sugihara, Takashi; Yoshida, Akiko; Shishido, Tadao
PATENT ASSIGNEE(S): Chugai Bunshi Igaku Kenkyusho K. K., Japan; Fuji Photo Film Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001354564	A	20011225	JP 2000-184540	20000614

PRIORITY APPLN. INFO.: JP 2000-184540 20000614
GI



I

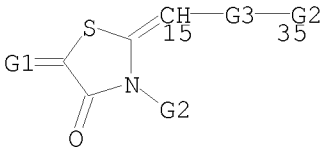


II

AB Cationic rhodacyanine dye derivs. (I and II; X1, X2 = S, -CH=CH-; R1, R2,

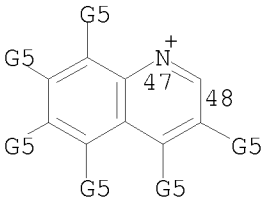
R3, R4 = Me, Et; Z1 = -X2-C=(CH-CH)n=N+(R3)- forming ring with thiazole, benzothiazole, thiazolin, 2-pyridine, 2-quinoline, 4-quinoline; q = anion; N = 0, 1) are claimed as inhibitors for interaction mot-2 protein and the p53 protein and are useful for studying cell cycle, cell proliferation, carcinogenesis, and treatment of p53 protein-related diseases, including cancer.

MSTR 1



G4

G3 = 48-15 47-35



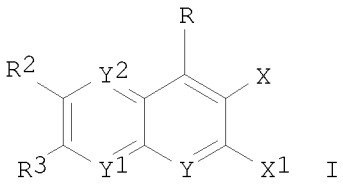
G5 = acylamino / CONH2 / SO2NH2
Patent location: claim 1

L5 ANSWER 83 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 135:272892 MARPAT
TITLE: Preparation of quinoline derivatives as nuclear peroxisome proliferator-activated receptors antagonists
INVENTOR(S): Kadota, Hidetoshi; Fukazawa, Nobuyuki; Nagase, Hiroshi; Maruyama, Kyoko; Nakao, Toshifumi; Asada, Noriaki; Hachimaki, Toshiyuki; Kibayashi, Kenji; Uta, Hideyuki; Morikawa, Maki
PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

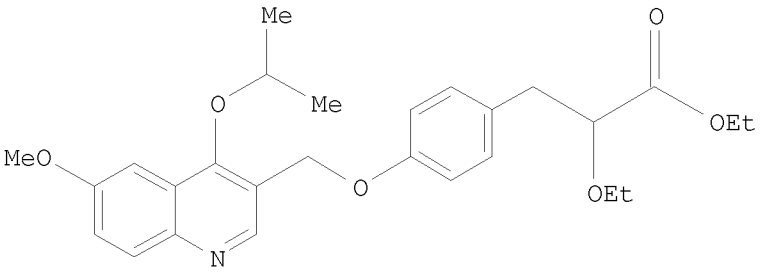
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001261654	A	20010926	JP 2000-79146	20000321
WO 2001070698	A1	20010927	WO 2001-JP2168	20010319
W: CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
EP 1266888	A1	20021218	EP 2001-914178	20010319

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR
US 20030212100 A1 20031113 US 2002-239310 20020920
PRIORITY APPLN. INFO.: JP 2000-79146 20000321
WO 2001-JP2168 20010319

GI



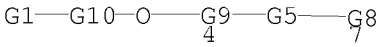
I



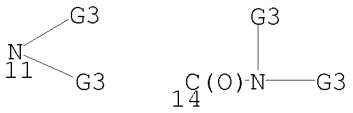
II

AB Title compds. [I; R = (CH3)2CHO, H, CH3O; Y2 = CH, CCH3, N; R2 = H, CH3O, CH3CH2; R3 = H, CH3O, CH3; X = 4-CH2OC6H4CH2CH(OCH2CH3)COOH, 4-CH2OC6H4CH2CH(OCH2CH3)COOCH2CH3, H, 4-CH2OC6H4CH2CH(OC6H5)COOCH3, 4-CH2OC6H4CH2CH(OC6H5)COOH, 4-CH2OC6H4CH2CH(SC6H5)COOCH2CH3, 4-CH2OC6H4CH:C(SC6H5)COOH, 3-CH2OC6H4CH2CH(OCH2CH3)COOCH2CH3, 3-CH2OC6H4CH2CH(OCH2CH3)COOH; X1 = H, 4-CH2OC6H4CH2CH(OCH2CH3)COOCH2CH3, C6H5, 4-CH2OC6H4CH2CH(OCH2CH3)COOH; Y = N, CH; Y1 = CH, N, CCl, CF, CF, etc.] are prepared as PPAR (peroxisome proliferator-activated receptors) antagonists. Title compds. I offer the prevention or treatment of various diseases where PPAR-α and PPAR-γ play roles as the causes. Thus, the title compound II was prepared and biol. tested for PPARα and PPARγ antagonist activities.

MSTR 1



G1 = quinolinyl (opt. substd. by 1 or more G2)
G2 = 11 / 14

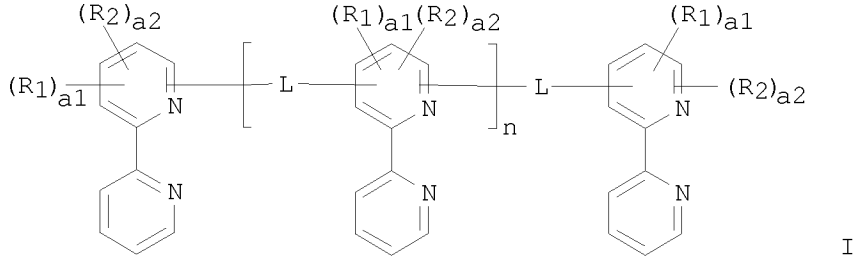


G3 = cycloalkyl <containing 3-4 C>
Patent location: claim 1
Note: or pharmacologically acceptable salts

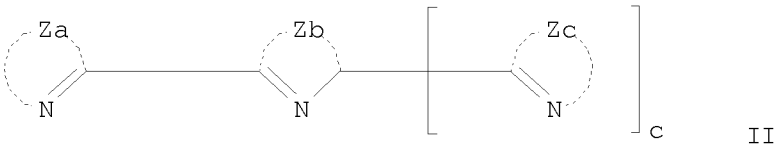
L5 ANSWER 84 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 135:213459 MARPAT
TITLE: Photoelectric converters, photoelectrochemical cells, and metal complex pigments
INVENTOR(S): Takizawa, Hiroo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 51 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001237000	A	20010831	JP 2000-44897	20000222
PRIORITY APPLN. INFO.:			JP 2000-44897	20000222

GI



I



II

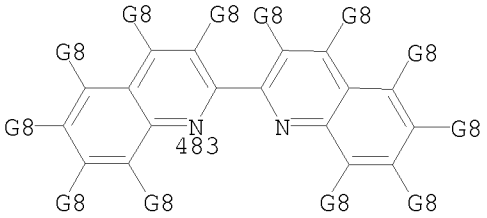
AB The photoelec. converters contain semiconductor particles sensitized by a metal complex pigment Lm1Xm2M1L'M2L"m3X'm4.CI, where L' = I, L = single bond, O, S, alkenyl group, alkenylene group, arylene group, or hetero arylene group; R1 = carboxyl sulfonyl, hydroxyl, hydroxamic acid, phosphoryl, or phosphonyl group: R2 = substituents; a1 and a2 = 0-4

integers, R1 can be same or different when a1 ≥2, and R2 can be same or different or forming a ring when a2 ≥2; n = 0-2 integer; L and L" = di- or tri-dentate ligand II with Za, Zb, and Zc = nonmetal atoms forming 5- or 6-membered rings, c = 0 or 1; X and X' = mono-or bi-dentate ligand selected from acyloxy, acylthio, thioacyloxy, thioacylthio, acylaminoxy, thiocarbamate, dithiocarbamate, thiocarbonate, dithiocarbonate, trithiocarbonate, acyl, thiocyanate, isothiocyanate, cyanate, isocyanate, cyano, alkylthio, arylthio, alkoxy, aryloxy groups, halogen, carbonyl, dialkyl ketone, 1,3-diketone, carbamide, thiocarbamide, and thiourea; m1 and m3 = 0-2 integers, m2 and m4 = 0-4 integers, X1 and X2 can be same or different or form rings among X1's and/or among X2's when m2 and m4 ≥2; and CI = charge balancing counter ions. Photoelectrochem. cells use the photoelec. converters.

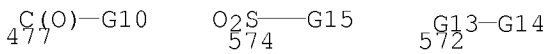
MSTR 1

G5 G3 G21

G3 = 483



G8 = 477 / 574 / 572



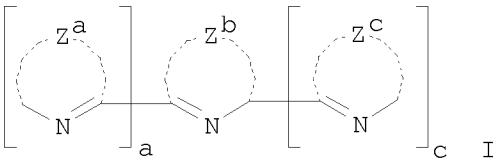
G10 = NH2
G13 = NH
G14 = acyl
G15 = NH2
Patent location: claim 1
Note: additional ligands also claimed
Note: as complexes
Note: substitution is restricted

L5 ANSWER 85 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 135:197978 MARPAT
TITLE: Photoelectrochemical cells
INVENTOR(S): Takizawa, Hiroo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001229983	A	20010824	JP 2000-37290	20000215

PRIORITY APPLN. INFO.: JP 2000-37290 20000215
GI



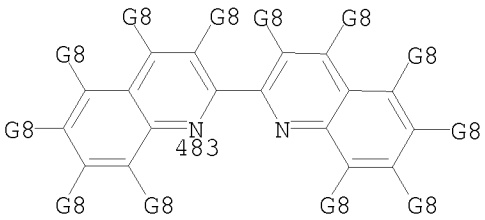
I

AB The cells use semiconductor particles sensitized by metal complex pigments M(NR1R2R3)mLm'.CI, where M = metal atom, R1-3 = H, alkyl, alkenyl or aryl groups, L = 1-3 dentate ligand I (Z1, Z2, Z3 = non-metal atoms forming 5- or 6-membered rings, p and q = 0 or 1), m = 1-5, (NR1R2R3) can be different from each other or joined together when m ≥2, m' = 1 or 2, L can be different from each other when m' =2, and CI = counter ion for elec. balance of the pigment.

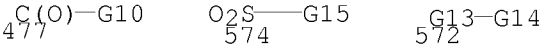
MSTR 1

G5 G3

G3 = 483



G8 = 477 / 574 / 572



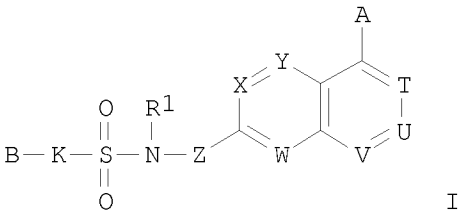
G10 = NH2
G13 = NH
G14 = acyl
G15 = NH2
Patent location: claim 1
Note: additional ligands also claimed

Note: as complexes with G5
Note: substitution is restricted

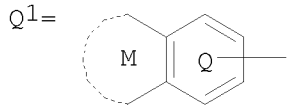
L5 ANSWER 86 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 135:76882 MARPAT
TITLE: Preparation of heterocyclic compounds having sulfonamide groups as inhibitors of angiogenesis
INVENTOR(S): Haneda, Toru; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro; Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Semba, Taro; Hata, Naoko; Yamamoto, Yuji; Ozawa, Yoichi; Tsukahara, Naoko; Owa, Takashi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047891	A1	20010705	WO 2000-JP9326	20001227
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2395772	A1	20010705	CA 2000-2395772	20001227
AU 2001022283	A	20010709	AU 2001-22283	20001227
AU 776933	B2	20040923		
EP 1243583	A1	20020925	EP 2000-985953	20001227
EP 1243583	B1	20050928		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
HU 2002003973	A2	20030328	HU 2002-3973	20001227
HU 2002003973	A3	20040728		
NZ 519380	A	20041029	NZ 2000-519380	20001227
RU 2239631	C2	20041110	RU 2002-120515	20001227
AT 305302	T	20051015	AT 2000-985953	20001227
ES 2246922	T3	20060301	ES 2000-985953	20001227
US 20030144507	A1	20030731	US 2002-149253	20020610
US 6787534	B2	20040907		
NO 2002003097	A	20020828	NO 2002-3097	20020626
NO 324268	B1	20070917		
MX 2002PA06474	A	20021129	MX 2002-PA6474	20020627

PRIORITY APPLN. INFO.: JP 1999-375489 19991228
WO 2000-JP9326 20001227
OTHER SOURCE(S): CASREACT 135:76882
GI

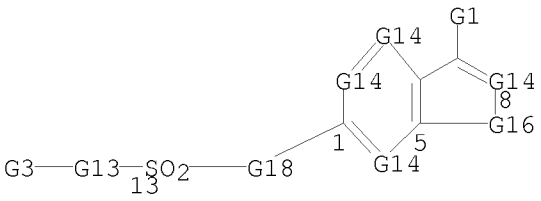


I

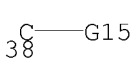


AB Heterocyclic compds. having sulfonamide or sulfonylurea groups, specifically heterocyclic compds. of general formula (I), pharmacol. acceptable salts of the same, or hydrates of both [wherein A is hydrogen, halogeno, optionally halogenated C1-4 alkyl, hydroxy, cyano, (CO)kNR2R3, or optionally substituted C2-4 alkenyl or alkynyl (wherein R2 and R3 are each independently hydrogen or optionally halogenated C1-4 alkyl; k is 0 or 1); B is optionally substituted aryl, monocyclic heteroaryl, or Q1 (wherein the ring Q is an optionally substituted aromatic ring containing 1 or 2 N atoms; the ring M is optionally substituted and unsatd. C5-12 monocyclic or polycyclic ring sharing a double bond with the ring Q and optionally containing 1-4 heteroatom selected from N, O, and S; the ring Q and M may share a N atom); K is a single bond or (CR4R5)m (wherein R4 and R5 are each independently hydrogen or C1-4 alkyl; m is 1 or 2); T, W, X and Y are each independently =C(D)- (wherein D is hydrogen, halogeno, hydroxy, C1-4 alkyl, halo-C1-4 alkyl, or the like) or nitrogen; U and V are each independently =C(D)-, nitrogen, oxygen, or CO; Z is a single bond or -CONH-; and R1 is hydrogen or C1-4 alkyl] are prepared These compds. includes N-quinolinylpyridinesulfonamides, N-quinolinylbenzenesulfonamides, N-quinolinylquinolinesulfonamides, N-quinolinylindolinesulfonamides, N-quinolinylisoquinolinesulfonamides, N-quinolinylbenzofuransulfonamides, N-quinolinyltetrahydronaphthalanesulfonamides, N-quinolinylbenzoxathiansulfonamide, N-quinolinylbenzothiopyransulfonamide, N-isoquinolinylpyridinesulfonamides, N-isoquinolinylbenzenesulfonamides, N-naphthyridinylpyridinesulfonamides, N-naphthyridinylbenzenesulfonamides, N-quinolinylpyridazinesulfonamides, etc. They are useful as therapeutics based on angiogenesis inhibition such as antitumor agents, cancer metastasis inhibitors, and therapeutics for diabetic retinopathy, rheumatic arthritis, and hemangioma. Thus, 5-indansulfonyl chloride was added to a solution of 3-amino-8-bromoquinoline in pyridine and stirred at room temperature for 30 min to give N-(8-bromoquinolin-3-yl)-5-indansulfonamide (II). II and N-(8-bromoquinolin-3-yl)-6-methoxypyridazine-3-sulfonamide in vitro showed IC50 of 0.04 and 0.53 μg/mL, resp., against angiogenesis in rat aorta.

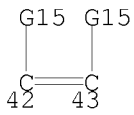
MSTR 1



G13 = bond
G14 = N / 38



G15 = alkylamino <containing 1-4 C>
(opt. substd. by 1 or more G2) / CONH2
G16 = 42-5 43-8



Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 87 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 134:252257 MARPAT
TITLE: Preparation of 2-(indolin-3-yl)quinoline derivatives and compositions in use as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 112 pp., Cont.-in-part of U.S. Ser. No. 878,781, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6207679	B1	20010327	US 1998-45051	19980319
CA 2293418	A1	19981223	CA 1998-2293418	19980618
WO 9857931	A2	19981223	WO 1998-US12762	19980618
WO 9857931	A3	19990429		

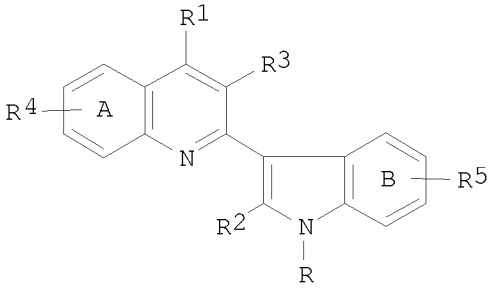
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK,

EE, ES, FI, GB, GE, GH, BM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

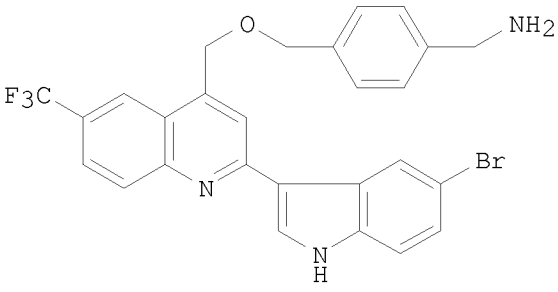
EP 991623	A2	20000412	EP 1998-930396	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6172084	B1	20010109	US 1998-99640	19980618
HU 2000003364	A2	20010628	HU 2000-3364	19980618
HU 2000003364	A3	20020328		
JP 2002505689	T	20020219	JP 1999-504835	19980618
AU 757059	B2	20030130	AU 1998-79797	19980618
US 6103905	A	20000815	US 1998-213385	19981211
NO 9906269	A	20000216	NO 1999-6269	19991217
US 6376670	B1	20020423	US 2000-658690	20000908

PRIORITY APPLN. INFO.:
US 1997-878781 19970619
US 1998-45051 19980319
US 1998-99640 19980618
WO 1998-US12762 19980618
US 1998-213385 19981211
US 2000-639622 20000815

GI



I

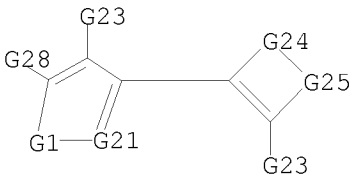


II

AB Title compds. I [wherein; R, R1, R2 and R3 are H, halo, alk(en)(yn)yl, OH,

alkoxy, amino, nitro, SH, imine, amide, CO, -(CH2)0-8-R80, etc.; R4 is the same as R-R3 but not H; R5 is the same as R4 except that at least 1(-8) CH2 precede R80; A is (un)substituted with any number of R4 up to the number limited by stability and rules of valence; B is substituted with at least one instance of R5 up to the number limited by stability and rules of valence; R80 is (substituted) aryl, cycloalk(en)yl, heterocyclyl or polycyclyl.] and related quinoline derivs. are prepared as antimicrobial agents. For instance, synthesis of II is accomplished by alkylation of 4-hydroxymethyl-6-trifluoromethyl-2-(N-t-butoxycarbonylindol-3-yl)quinoline with (4-t-butoxycarbonylaminomethyl)benzyl iodide followed by deprotection. There are 282 examples of I provided. The min. inhibitory concentration (MIC) of I against at least one Gram-pos. bacterium is 0.1-10 μg/mL. Certain compds. of formula I have a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

MSTR 1



G1 = o-C6H4 (opt. substd. by G2)
G2 = 22

C(O)—G9
22

G9 = NH2 (opt. substd.) / heterocycle <containing 4-8 atoms, 1 or more N, attached through 1 or more N> (opt. substd.)
G21 = N
G23 = 98

C(O)—G9
98

G28 = NHC(NH)NH2 (opt. substd.)
Patent location: claim 1
Note: also incorporates later claims and broader disclosure

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

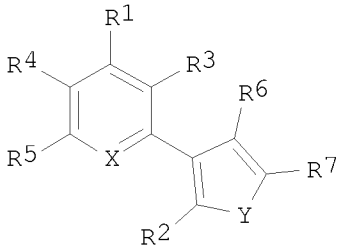
L5 ANSWER 88 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 134:86170 MARPAT
TITLE: Quinoline-indole antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam;

Melikian-badalian, Anita; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 151 pp., Cont.-in-part of U.S. Ser. No. 45,051.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

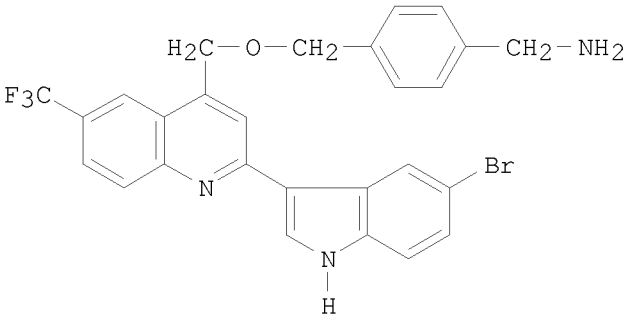
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172084	B1	20010109	US 1998-99640	19980618
US 6207679	B1	20010327	US 1998-45051	19980319
US 6103905	A	20000815	US 1998-213385	19981211
US 6376670	B1	20020423	US 2000-658690	20000908

PRIORITY APPLN. INFO.: US 1997-878781 19970619
US 1998-45051 19980319
US 1998-99640 19980618
US 1998-213385 19981211
US 2000-639622 20000815

GI



I

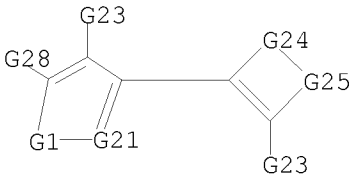


II

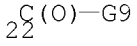
AB Indolylquinolines I [X = N; Y = NR; R-R3 = independently H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CONH2, anhydride, silyl, alkylsulfonyl, arylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, guanidine, amidine, acetal, ketal, amine oxide, (hetero)aryl, azide, aziridine, carbamate, epoxide, C(:NH)OH, imide, oxime, SO2NH2, CSNH2, thiocarbamate, urea, thiourea, or (CH2)mR80; R4R5, R6R7 = atoms required to complete an (un)substituted fused benzo ring

system; R80 = (un)substituted aryl, cycloalkyl, cycloalkenyl, heterocycle, or polycycle; m = 0-8] were prepared by conventional or combinatorial synthetic methods for use as bactericides. Thus, 4-H2NCH2C6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced, and treated with iodine to give 4-BocNHCH2C6H4CH2I, which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 μg/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococcus pneumoniae.

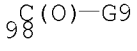
MSTR 1



G1 = o-C6H4 (opt. substd. by G2)
G2 = 22



G9 = NH2 (opt. substd.) / heterocycle <containing 4-8 atoms, 1 or more N, attached through 1 or more N> (opt. substd.)
G21 = N
G23 = 98



G28 = NHC(NH)NH2 (opt. substd.)
Patent location: claim 1
Note: also incorporates later claims and broader disclosure

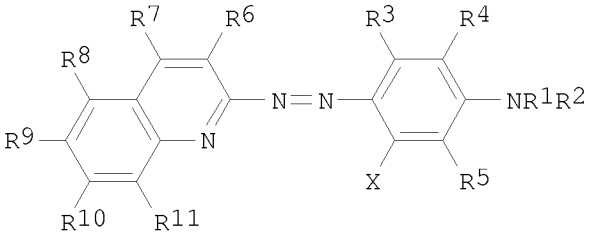
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 89 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 133:245161 MARPAT
TITLE: Rewritable optical recording materials containing azo chelates
INVENTOR(S): Ueno, Yasunobu; Sato, Tsutomu; Tomura, Tatsuya; Azuma, Yasuhiro
PATENT ASSIGNEE(S): Ricoh Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000263942	A	20000926	JP 1999-75978	19990319
JP 3682759	B2	20050810		

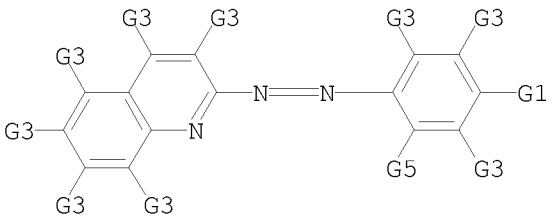
PRIORITY APPLN. INFO.: JP 1999-75978 19990319
GI



I

AB The recording layer of the materials contain azo chelates comprising of azo compound I (R1-2 = H, (un)substituted alkyl, aryl; R1 and R2 may form a ring; R3-11 = H, halogen, nitro, cyano, OH, carboxyl, amino, carbamoyl, (un)substituted alkyl, aryl, heterocycle, etc; 2 of the neighboring R3-11 may form rings; X = OH, alkyloxy, aryloxy, carboxy, amino, sulfo, etc.) and a metal. The materials are resistant to light and are storage stable.

MSTR 1



G3 = CONH2 / alkylcarbonylamino (opt. substd.)
Patent location: claim 1
Note: as metal chelates
Note: additional ring formation also claimed

L5 ANSWER 90 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 132:265101 MARPAT
TITLE: Preparation of 3-cyanoquinolines as protein tyrosine kinase inhibitors
INVENTOR(S): Wissner, Allan; Tsou, Hwei-Ru; Berger, Dan Maarten; Floyd, Middleton Brawner, Jr.; Hamann, Philip Ross; Zhang, Nan; Salvati, Mark Ernest; Frost, Philip
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 195 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018761	A1	20000406	WO 1999-US22054	19990922
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344169	A1	20000406	CA 1999-2344169	19990922
AU 9961593	A	20000417	AU 1999-61593	19990922
AU 763669	B2	20030731		
EP 1117659	A1	20010725	EP 1999-948410	19990922
EP 1117659	B1	20031203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001003520	A2	20020228	HU 2001-3520	19990922
HU 2001003520	A3	20030128		
JP 2002525369	T	20020813	JP 2000-572221	19990922
NZ 510551	A	20030328	NZ 1999-510551	19990922
AT 255575	T	20031215	AT 1999-948410	19990922
PT 1117659	T	20040430	PT 1999-948410	19990922
ES 2211175	T3	20040701	ES 1999-948410	19990922
SK 284846	B6	20051201	SK 2001-413	19990922
TW 233437	B	20050601	TW 1999-88116630	19990929
NO 2001001575	A	20010528	NO 2001-1575	20010328
NO 324563	B1	20071119		
MX 2001PA03230	A	20011011	MX 2001-PA3230	20010328
IN 2001KN00370	A	20060303	IN 2001-KN370	20010329
ZA 2001002729	A	20020703	ZA 2001-2729	20010403
HK 1035188	A1	20040402	HK 2001-105823	20010817
IN 2007KN02342	A	20080801	IN 2007-KN2342	20070625

PRIORITY APPLN. INFO.: US 1998-162802 19980929
WO 1999-US22054 19990922
IN 2001-370 20010329

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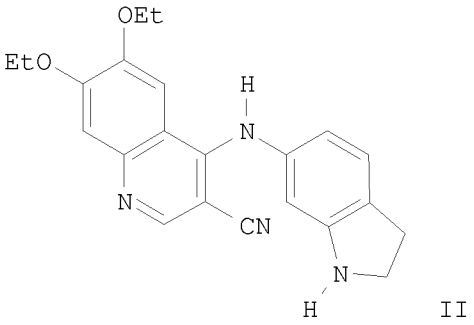
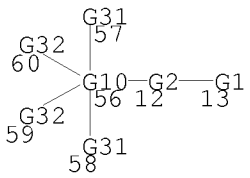


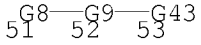
II

AB X(CH2)nZZ1CN [I; X = (un)substituted bicyclic (hetero)aryl or LTA; A = (un)substituted phenylene, -pyridinediyl, -pyrimidinediyl; T = O, S, (alkyl)imino(alkylene), oxyalkylene, etc.; Z = O, S, (alkyl or alkanoyl)imino; Z1 = 2-unsubstituted-5,6,7,8-(un)substituted quinoline-4,3-diyl; n = 0 or 1] were prepared Thus, Me 2-amino-4,5-diethoxybenzoate was N-condensed with HCNMe2/POCl3 and the product cyclocondensed with MeCN to give, after POCl3 treatment, 4-chloro-6,7-diethoxyquinoline-3-carbonitrile which was aminated by 6-aminoindoline to give title compd II. Data for biol. activity of I were given.

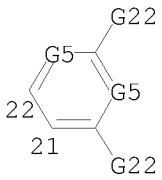
MSTR 1



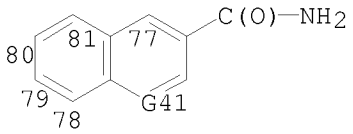
G1 = 51



G2 = NH
G8 = 22-12 21-52



G10 = 77-12 81-57 80-60 79-59 78-58



G32 = alkylaminocarbonyl
G41 = N
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 16
Note: additional ring formation also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 91 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 132:265100 MARPAT
TITLE: Preparation of substituted 3-cyanoquinolines as protein tyrosine kinases inhibitors
INVENTOR(S): Wissner, Allan; Tsou, Hwei-Ru; Berger, Dan Maarten; Floyd, Middleton Brawner, Jr.; Hamann, Philip Ross; Zhang, Nan; Frost, Philip
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018740	A1	20000406	WO 1999-US22056	19990922
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344168	A1	20000406	CA 1999-2344168	19990922
AU 9961594	A	20000417	AU 1999-61594	19990922
BR 9914164	A	20010626	BR 1999-14164	19990922
EP 1117649	A1	20010725	EP 1999-948411	19990922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001003633	A2	20020228	HU 2001-3633	19990922
HU 2001003633	A3	20030128		
JP 2002525359	T	20020813	JP 2000-572200	19990922
NZ 510580	A	20030328	NZ 1999-510580	19990922
EP 1950201	A1	20080730	EP 2008-2592	19990922
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,				

	NL, PT, SE, AL, LT, LV, RO, SI				
	ZA 2001002501	A	20020105	ZA 2001-2501	20010327
	NO 2001001574	A	20010528	NO 2001-1574	20010328
	MX 2001PA03227	A	20011011	MX 2001-PA3227	20010328
	AU 2004200300	A1	20040219	AU 2004-200300	20040128
	AU 2007201934	A1	20070524	AU 2007-201934	20070501
PRIORITY APPLN. INFO.:				US 1998-162289	19980929
				AU 1999-61594	19990922
				EP 1999-948411	19990922
				WO 1999-US22056	19990922
				AU 2004-200300	20040128

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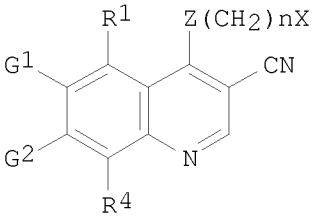
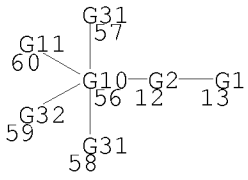


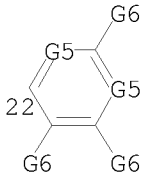
I

AB The title compds. I [X = cycloalkyl, pyridinyl, pyrimidinyl, etc.; Z = NH, O, S, NR; G1, G2, R1, R4 = H, halo, alkyl, alkynyl, etc.; n = 0,1], protein tyrosine kinase inhibitors, were prepared E.g., 4-(2-methoxyethoxy)but-2-ynoic acid [4-(3-bromophenylamino)-3-cyanoquinolin-6-yl]amide was prepared I are useful as antineoplastic agents.

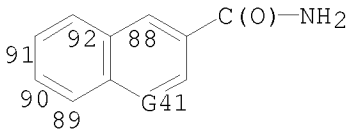
MSTR 1



G1 = 22



G2 = NH
G10 = 88-12 92-57 90-60 91-59 89-58



G11 = 99 / 112 / 115

G17—G14 G12—G17—G18 G17—G18
99 112 115

G17 = alkylene <containing 1 or more C> (opt. substd.)
G32 = alkylaminocarbonyl / 246 / 248 / 251

G17—G14 G12—G17—G18 G17—G18
246 248 251

G41 = N
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 10
Note: additional ring formation also claimed

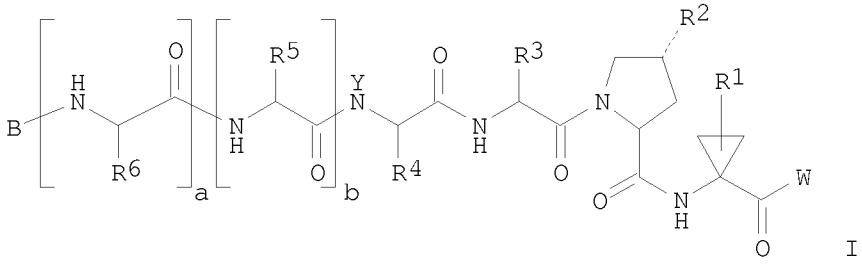
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 92 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 132:175808 MARPAT
TITLE: Hepatitis C inhibitor peptides
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Ghiro, Elise; Goudreau, Nathalie; Poupart, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009558	A1	20000224	WO 1999-CA737	19990809
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6767991	B1	20040727	US 1999-368670	19990805

CA 2336597	A1	20000224	CA 1999-2336597	19990809
CA 2336597	C	20060214		
AU 9952732	A	20000306	AU 1999-52732	19990809
AU 764655	B2	20030828		
BR 9912943	A	20010508	BR 1999-12943	19990809
EP 1105422	A1	20010613	EP 1999-938085	19990809
EP 1105422	B1	20060215		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
TR 200100438	T2	20010621	TR 2001-438	19990809
HU 2001004548	A2	20020429	HU 2001-4548	19990809
HU 2001004548	A3	20021228		
JP 2002522557	T	20020723	JP 2000-565004	19990809
EE 200100080	A	20020815	EE 2001-80	19990809
NZ 510395	A	20031219	NZ 1999-510395	19990809
TW 577895	B	20040301	TW 1999-88113587	19990809
AT 317854	T	20060315	AT 1999-938085	19990809
ES 2257066	T3	20060716	ES 1999-938085	19990809
NO 2001000604	A	20010205	NO 2001-604	20010205
ZA 2001000972	A	20020718	ZA 2001-972	20010205
MX 2001PA01422	A	20000821	MX 2001-PA1422	20010207
IN 2001MN00128	A	20050304	IN 2001-MN128	20010207
BG 105230	A	20011031	BG 2001-105230	20010208
BG 64956	B1	20061031		
HR 2001000101	A1	20020228	HR 2001-101	20010208
HK 1039947	A1	20050225	HK 2002-101472	20020226
PRIORITY APPLN. INFO.:			US 1998-95945P	19980810
			US 1997-55186P	19970811
			US 1998-131758	19980810
			US 1998-219939	19981223
			WO 1999-CA737	19990809

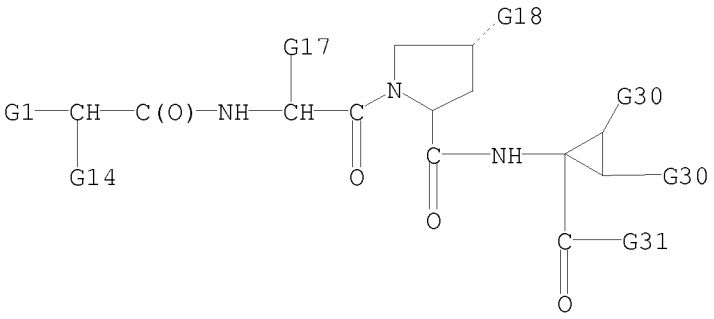
GI



I

AB The invention provides peptides I (a, b = 0, 1; Y = H, C1-6 alkyl; B = H, acyl derivative, sulfonyl derivative; W = OH, N-substituted amino), or a pharmaceutically acceptable salt or ester thereof, for use in the treatment of hepatitis C virus infection. Preparation of peptides is included.

MSTR 1



G18 = 57

57 G23—G19

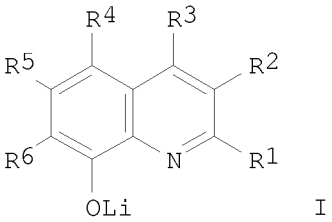
G19 = quinolinyl (opt. substd. by (1-2) G34)
G34 = CONH2 / dialkylamino <each alkyl containing 1-6 C>
Derivative: or pharmaceutically acceptable salts or esters
Patent location: claim 1
Stereochemistry: 32,36,39 - D,L
Stereochemistry: and racemates, diastereoisomers and optical isomers

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 93 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 132:100245 MARPAT
TITLE: Organic electroluminescent device
INVENTOR(S): Takano, Akiko; Himeshima, Yoshio; Tominaga, Takeshi
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000012223	A	20000114	JP 1998-178373	19980625

PRIORITY APPLN. INFO.: JP 1998-178373 19980625
GI



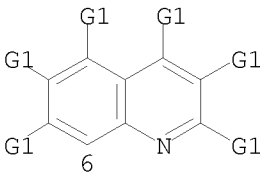
I

AB The invention relates to an organic electroluminescent device comprising the 8-hydroxyquinone lithium complex represented by I [R1-6 = H, alkyl, cycloalkyl, etc.].

MSTR 1

HO——G4 Li

G1 = CONH2 / NMe2
G4 = 6

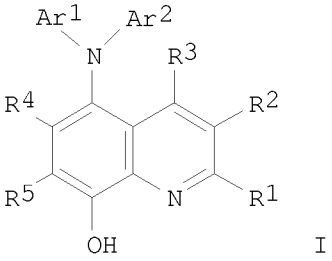


Patent location: claim 1
Note: additional substitution also claimed

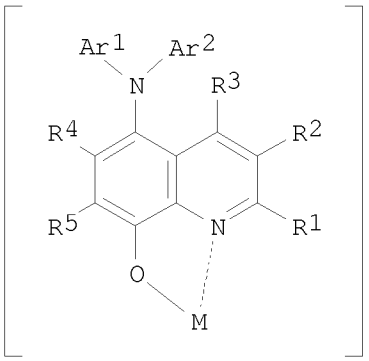
L5 ANSWER 94 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 131:163194 MARPAT
TITLE: Quinolinol derivative, quinolinol derivative-metal complex, and organic electroluminescent device containing it
INVENTOR(S): Ichinosawa, Akiko; Sato, Yoshiharu
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11204260	A	19990730	JP 1998-7583	19980119
JP 3772506	B2	20060510		

PRIORITY APPLN. INFO.: JP 1998-7583 19980119
GI



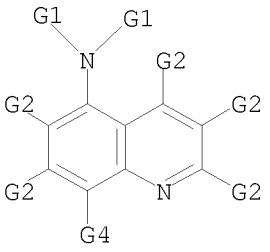
I



II

AB The claimed quinolinol derivative and its metal complex have structure I and II, resp. [Ar1-2 = (substituted) aromatic (heterocyclic) group; R1-5 = H, halo, cyano, NH3, NO2, CO2H, OH, (substituted) alkyl, aralkyl, alkenyl, alkynyl, secondary or tertiary amino, amido, acyl, alkoxycarbonyl, alkoxy, alkylsulfonyl, aromatic hydrocarbon group, or aromatic heterocyclic group; R1 and R2, R2 and R3, or R4 and R5 may form ring; M = Be, Zn, Cd, Al, Ga, In, Sc, Y, Mg, Ca, Sr, Co, Cu, Ni, Sm, Eu, Si, Ge, Sn, Tb; n = 2-4]. The electroluminescent device containing the metal complex, preferably in an anode buffer layer formed between an anode and a hole-transporting layer, is also claimed. The electroluminescent device stably emits light in high luminescent efficiency with low driving voltage.

MSTR 1



G2 = CONH2 (opt. substd.) / acylamino
Patent location: claim 1
Note: additional ring formation also claimed
Note: also incorporates claim 2

L5 ANSWER 95 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 130:168399 MARPAT
TITLE: Preparation of ring-bridged bis-quinolines for the treatment of degenerative diseases of the central nervous system
INVENTOR(S): Schohe-Loop, Rudolf; Seidel, Peter-Rudolf; Bullock, William; Feurer, Achim; Terstappen, Georg;

Schuhmacher, Joachim; Vander Staay, Franz-Josef; Schmidt, Bernard; Fanelli, Richard J.; Chisholm, Jane C.; McCarthy, Richard T.

PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

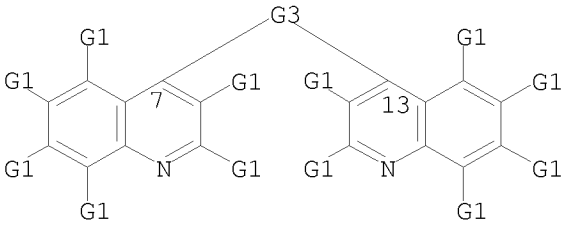
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5866562	A	19990202	US 1996-738123	19961025

PRIORITY APPLN. INFO.: US 1996-738123 19961025
OTHER SOURCE(S): CASREACT 130:168399
GI

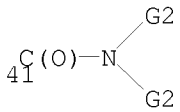
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A, A1, D, D1, E, E1, G, G1, L, L1 = H, cyclopropyl, cyclopentyl, etc.; R1R2 = II-IV (wherein R5, R7 = H, Ph, cyclopentyl, etc.; R6 = H, Me; b = 1-3; R8, R9 = H; or R8 = H, and R9 = R5), etc.] and their salts, useful for the treatment of degenerative diseases such as dementia, were prepared Thus, general procedure for preparing bis-quinolines I was given. E.g., compound V showed Ki of 35 nM/L against 125-apamine binding to bovine cerebral membranes and 73% inhibition of the Rb efflux at 10 μM.

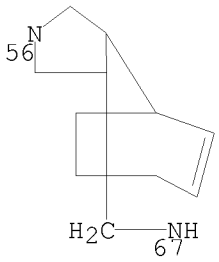
MSTR 1



G1 = 41



G3 = 56-7 67-13



Patent location: claim 1
Note: substitution is restricted

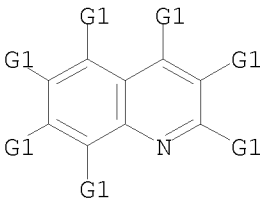
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 96 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 129:177224 MARPAT
TITLE: Pickling accelerators, pickling liquid composition containing them, and pickling method for metal using the composition
INVENTOR(S): Sasaki, Hiroshi; Okahara, Haruo; Fujiwara, Kazushi
PATENT ASSIGNEE(S): Asahi Chemical Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10183186	A	19980714	JP 1996-346245	19961225
JP 4028014	B2	20071226		
PRIORITY APPLN. INFO.:			JP 1996-346245	19961225

AB A pickling composition comprises at least one compound selected from formic acid, metal formates, compds. derived by neutralizing formic acid, N-containing heterocyclic compds. (in particular optionally substituted pyridine, quinoline, and isoquinoline), and compds. derived by neutralizing N-containing heterocyclic compds. This pickling method substantially shortens time required for removing surface oxide coatings or contaminants without lowering color tone or increase in corrosion of base metals, does not require equipments for removing poisonous gas, and does not lower quality of base metals such as steel in the recycling step. Thus, 1 g formic acid was added to a solution of 50 g Fe2+ ions and 100 g HCl in 1 L H2O to give a pickling acid liquid The liquid was warmed to 80°, in which a hot rolling steel plate attached with mill scale was immersed. It took 16.2 s to remove mill scale and surface rust vs. 20.5 s without adding the pickling accelerator.

MSTR 2



G1 = NHNH2 / CONH2
Patent location: claim 3

L5 ANSWER 97 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 129:69033 MARPAT
TITLE: Multicomponent system for altering, degrading, or bleaching lignin, lignin-containing materials, or similar substances, and method for its use
INVENTOR(S): Freudenreich, Johannes; Stohrer, Juergen; Amann, Manfred; Mueller, Robert
PATENT ASSIGNEE(S): Consortium fuer Elektrochemische Industrie G.m.b.H., Germany
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

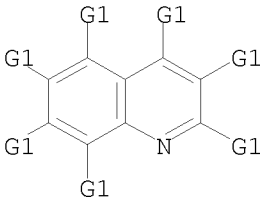
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19651099	A1	19980610	DE 1996-19651099	19961209
CA 2271937	A1	19980618	CA 1997-2271937	19971205
WO 9826127	A1	19980618	WO 1997-EP6802	19971205
W: AU, BR, CA, CN, JP, KR, NO, PL, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9855603	A	19980703	AU 1998-55603	19971205
AU 719140	B2	20000504		
EP 943032	A1	19990922	EP 1997-952038	19971205
EP 943032	B1	20000913		
R: AT, DE, ES, SE, PT, FI				
CN 1240008	A	19991229	CN 1997-180387	19971205
BR 9714387	A	20000516	BR 1997-14387	19971205
JP 2000505844	T	20000516	JP 1998-526185	19971205
RU 2154704	C1	20000820	RU 1999-114460	19971205
AT 196331	T	20000915	AT 1997-952038	19971205
ES 2150797	T3	20001201	ES 1997-952038	19971205
PT 943032	T	20001229	PT 1997-952038	19971205

PRIORITY APPLN. INFO.: DE 1996-19651099 19961209
WO 1997-EP6802 19971205

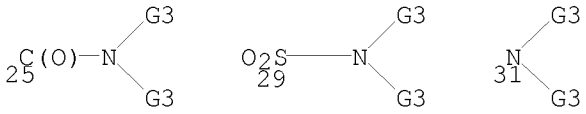
AB The title compns., especially useful in cellulose pulp manufacture, contain oxidants, mediators (hydroxylated heterocyclic amines bearing NO or SH groups or their derivs.), and optionally, oxidation catalysts. Adding 20 mL H2O containing 65.3 mg 8-hydroxy-5-nitrosoquinoline (acidified to pH 4.5) and 5 mL H2O

containing 15 units of laccase (from Trametes versicolor) to 5 g (dry basis) delignified softwood pulp, kneading for 2 min, and holding in O at 45°/1-10 bar for 1-4 h gave pulp with lignin degradation 11.6%.

MSTR 2



G1 = 25 / 29 / 31

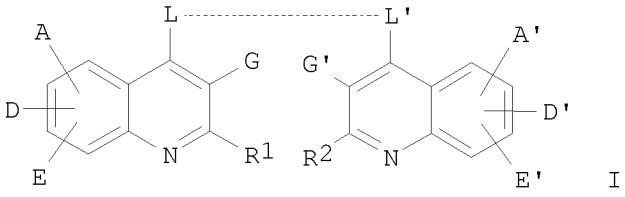


G3 = Ph
Derivative: and tautomers, salts, ethers or esters
Patent location: claim 1
Note: additional ring formation also claimed

L5 ANSWER 98 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 129:27902 MARPAT
TITLE: Preparation of bisquinoline compounds for the treatment of cerebral disorders
INVENTOR(S): Schohe-Loop, Rudolf; Seidel, Peter-rudolf; Bullock, William; Feurer, Achim; Terstappen, Georg; Schuhmacher, Joachim; Vander Staay, Franz-josef; Schmidt, Bernard; Fanelli, Richard J.; Chisholm, Jane C.; McCarthy, Richard T.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756517	A	19980526	US 1996-738124	19961025

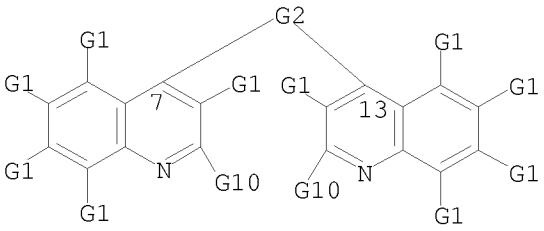
PRIORITY APPLN. INFO.: US 1996-738124 19961025
GI



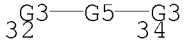
I

AB The title compds. [I; R1, R2 = Me, H; A, A' = H, Cl, Me, OMe, etc.; D, D' = H, Me; E, E' = denote hydrogen; G, G' = H; LL' = HN(CH2)2CHEtNH] are prepared I are useful for the treatment of cerebral disorders (no data). Thus, 4-chloro-2-methylquinoline was reacted with H2N(CH2)2CHEtNH2 at 160° for 16 h and then treated with aqueous NaOH to give I (R1 = R2 = Me, A = A' = D = D' = E = E' = G = G' = H, LL' = HN(CH2)2CHEtNH).

MSTR 1



G1 = CONH2
G2 = 32-7 34-13



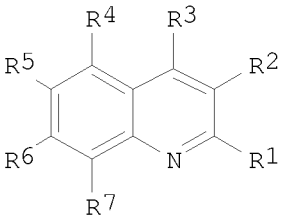
G3 = NH
G5 = cyclohexylene
Patent location: claim 2

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 99 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 127:324494 MARPAT
TITLE: Novel polyhalomethane compound and photosensitive material using it
INVENTOR(S): Okada, Hisashi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09244177	A	19970919	JP 1996-47205	19960305
PRIORITY APPLN. INFO.:			JP 1996-47205	19960305

GI



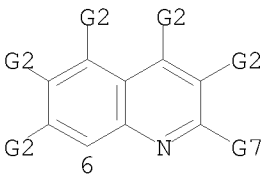
I

AB The polyhalomethane compound I (R1-7 = H, substituent; ≥1 of R2-7 = YCAX1X2; Y = CO, SO, SO2; X1-2 = halo; A = H, electron withdrawing group) is claimed. The photosensitive material contains ≥1 of I. The material shows high sensitivity, and gives low fog images with good gradation and storage stability.

MSTR 1

G2—G1

G1 = 6



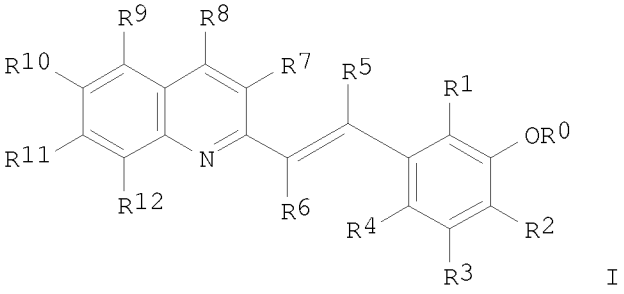
G2 = acylamino / SO2NH2 / CONH2
Patent location: claim 1
Note: additional ring formation also claimed

L5 ANSWER 100 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 127:42394 MARPAT
TITLE: Compound which changes the UV absorption with H+ concentration
INVENTOR(S): Jinbo, Yoshihiro; Nigorikawa, Kazunori; Waji, Naotaka
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09095669	A	19970408	JP 1995-252523	19950929

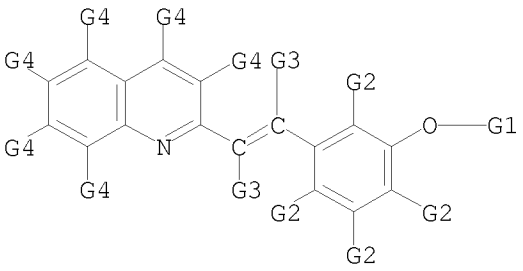
PRIORITY APPLN. INFO.: JP 1995-252523 19950929
GI



I

AB The title compound, suited for use as a UV absorber and a recording material, is styryl quinoline derivs. represented by I [R0 = alkyl, aryl, and heterocyclic; R1-4 = H, halo, alkyl, aryl, cyano, etc.; R5-6 = H, and alkyl; and R7-12 = H, halo, aryl, cyano, etc.]. The increase in the H+ concentration of the solution transforms the quinoline form to the quinolinium form in which the UV absorption spectra are dissimilar to quinoline from.

MSTR 1



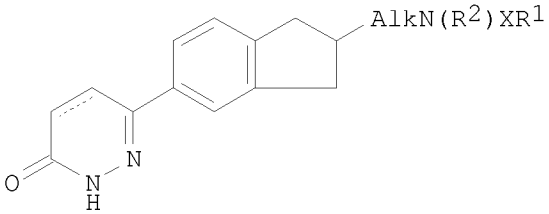
G4 = CONH2 (opt. substd.) / acylamino
Patent location: claim 2
Note: additional ring formation also claimed

L5 ANSWER 101 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 127:5099 MARPAT
TITLE: Preparation of pyridazine derivatives for the treatment of endotoxin shock and kidney diseases
INVENTOR(S): Ishida, Akihiko; Honma, Koichi; Tanifuji, Michihisa; Nishama, Nobusuke; Okumura, Fumikazu

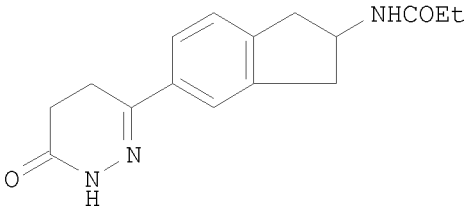
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09071534	A	19970318	JP 1996-164798	19960625
PRIORITY APPLN. INFO.:			JP 1995-159261	19950626

GI



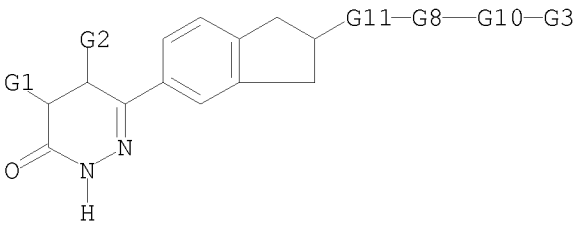
I



II

AB The title compds. I [R1 = alkyl, etc.; R2 = H, alkyl; X = CO, etc.; Alk = bond, alkylene; dotted line indicates optional double bond] are prepared When treated with the title compound II at 100 mg/kg orally, mice with endotoxin shock showed 90% survival.

MSTR 1

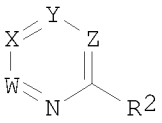


G3 = quinolinyl (opt. substd. by 1 or more G6)
G6 = loweralkylamino / CONH2
G11 = bond
Derivative: or pharmacologically acceptable salts
Patent location: claim 1

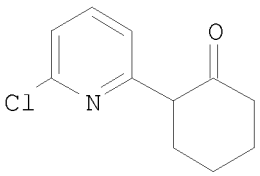
L5 ANSWER 102 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 127:5014 MARPAT
TITLE: Synthesis of substituted N-heteroaromatic compounds by combinatorial chemistry
INVENTOR(S): Smith, Robert L.; Kumaravel, Gnanasambandam; Kuhla, Donald E.
PATENT ASSIGNEE(S): Versicor, Inc., USA; Smith, Robert, L.; Kumaravel, Gnanasambandam; Kuhla, Donald E.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9715557	A1	19970501	WO 1996-US17177	19961025
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
US 5886186	A	19990323	US 1995-548009	19951025
AU 9675225	A	19970515	AU 1996-75225	19961025
PRIORITY APPLN. INFO.:			US 1995-548009	19951025
			WO 1996-US17177	19961025

OTHER SOURCE(S): CASREACT 127:5014
GI



I



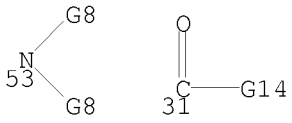
II

AB N-heteroarom. compds. I (W, X, Y, Z = bond, CR1; R1, R2 = H, halo, alkyl, alkenyl alkynyl, alkoxy, amino, acyl, CN, sulfhydryl, alkylthio, aryl, OH, carbamoyl, NO2, CF3, carbocycle), i.e. libraries of substituted N-heteroarom. compds., were prepared using polymer-supported reagents and featuring the reaction of O-linked heteroarom. N-oxides with nucleophiles to produce the substituted N-heteroarom. compds. Thus, II was prepd from 2-chloropyridine-N-oxide and N-(cyclohexen-1-yl)-morpholine using the acid chloride resin formed from the acyl chlorination of polyacrylic acid with SO2Cl2.

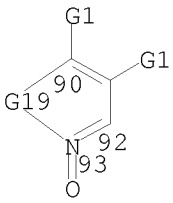
MSTR 2

G3—G2

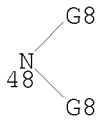
G1 = 53 / 31



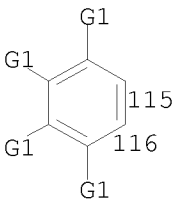
G3 = 92



G8 = heteroaryl
G14 = 48



G19 = 115-90 116-93



Patent location: claim 12
Note: additional ring formation and substitution also claimed

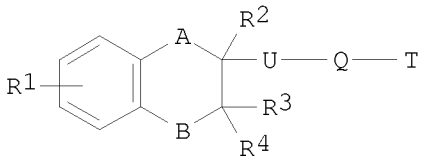
L5 ANSWER 103 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 126:199573 MARPAT
TITLE: Heterocyclylcarboxamide derivatives for use as neurotransmitter agonists
INVENTOR(S): Birch, Alan Martin; Heal, David John; Kerrigan, Frank; Martin, Keith Frank; Needham, Patricia Lesley; Sargent, Bruce Jeremy
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

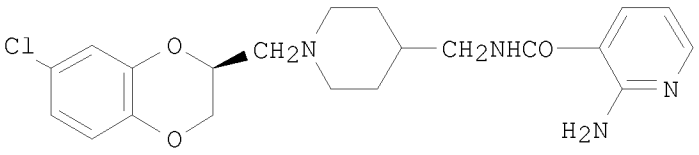
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703071	A1	19970130	WO 1996-EP2890	19960702
W: AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2223472	A1	19970130	CA 1996-2223472	19960702
AU 9665172	A	19970210	AU 1996-65172	19960702
AU 708890	B2	19990812		
EP 839145	A1	19980506	EP 1996-924847	19960702
EP 839145	B1	20031105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI				
CN 1190967	A	19980819	CN 1996-195477	19960702
CN 1071755	C	20010926		
BR 9609506	A	19990601	BR 1996-9506	19960702
JP 11508599	T	19990727	JP 1996-505471	19960702
HU 9901485	A2	20000728	HU 1999-1485	19960702
HU 9901485	A3	20010328		
RU 2169147	C2	20010620	RU 1998-102441	19960702
IL 122540	A	20011031	IL 1996-122540	19960702
AT 253573	T	20031115	AT 1996-924847	19960702
IN 1996MA01230	A	20050304	IN 1996-MA1230	19960711
ZA 9605921	A	19980112	ZA 1996-5921	19960712
TW 454006	B	20010911	TW 1996-85115692	19961219
US 5935973	A	19990810	US 1998-981671	19980105
NO 9800129	A	19980112	NO 1998-129	19980112

PRIORITY APPLN. INFO.: GB 1995-14380 19950713
WO 1996-EP2890 19960702

GI



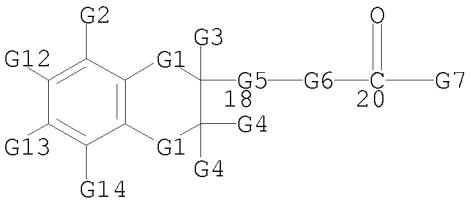
I



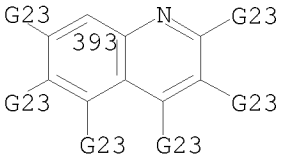
II

AB Title compds. I [A, B = CH2, O; R1 = optional substituent(s); R2-R4 = H, (un)substituted alkyl; U = (un)branched alkylene; Q = N-containing divalent group; T = heterocyclylcarbonyl attached to N in Q] were prepared for use in treating central nervous system disorders. Thus, the benzodioxane II was prepared from 5-chloro-2-hydroxybenzaldehyde, (R)-glycidyl tosylate, and 4-aminomethylpiperidine in 8 steps. II had a Ki for 5_HT1α receptor binding of 41.5 nM and also bound to the α2D, D2, and α1 receptors.

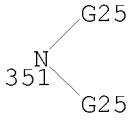
MSTR 1



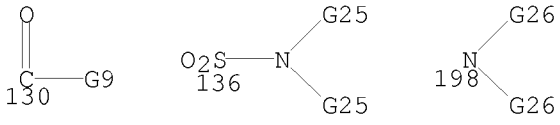
G7 = 393



G9 = 351



G23 = 130 / 136 / 198



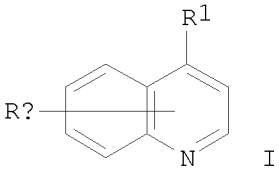
G26 = alkyl <containing 1-5 C>
Derivative: and pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

L5 ANSWER 104 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 125:320547 MARPAT
TITLE: Synergistic fungicidal compositions made of quinoline

derivatives and cytochrome b/c inhibitors
INVENTOR(S): Koehle, Harald; Ammermann, Eberhard; Bayer, Herbert; Wagner, Oliver; Roehl, Franz
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9632015	A1	19961017	WO 1996-EP1298	19960325
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, SG, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2215514	A1	19961017	CA 1996-2215514	19960325
AU 9651486	A	19961030	AU 1996-51486	19960325
EP 820232	A1	19980128	EP 1996-908131	19960325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
CN 1180995	A	19980506	CN 1996-193139	19960325
HU 9801630	A2	19981130	HU 1998-1630	19960325
BR 9604823	A	19990105	BR 1996-4823	19960325
JP 11503435	T	19990326	JP 1996-530672	19960325
ZA 9602709	A	19971006	ZA 1996-2709	19960404
PRIORITY APPLN. INFO.:			DE 1995-19513404	19950408
			WO 1996-EP1298	19960325

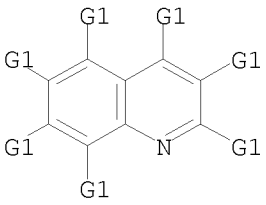
GI



I

AB The title fungicides comprise compds. that inhibit the respiration of cytochrome complex III and a quinoline derivative I (m = 1-6; R = H, cyano, nitro, hydroxy, mercapto, amino, carboxyl, aminocarbonyl, aminothiocarbonyl, sulfo, aminosulfonyl, halogen, alkyl, haydroxyalkyl, alkxoyalkyl, alkoxy, alkoxyalkoxy, alkylthio, alkylamino, dialkylamino, alkylsuphonyl, alkylsulfoxyl, alkylsulfonyloxy, alkylcarbonyl, alkylcarbonyloxy, alkylcarbonylamino, etc; R1 = H, cyano, nitro, hydroxy, mercapto, amino, carboxyl, aminocarbonyl, etc.).

MSTR 1

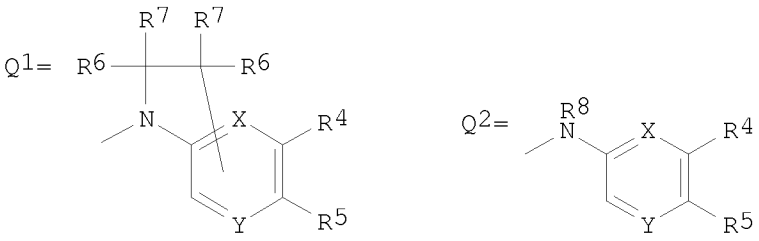


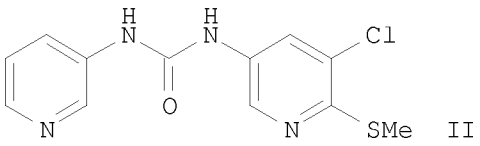
G1 = CONH2 / SO2NH2 / alkylamino <containing 1-6 C>
(opt. substd. by 1 or more halo)
Patent location: claim 1

L5 ANSWER 105 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 125:114487 MARPAT
TITLE: CNS-Active pyridinylurea derivatives
INVENTOR(S): Forbes, Ian Thomson; Jones, Graham Elgin
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611930	A1	19960425	WO 1995-EP3944	19951005
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 788499	A1	19970813	EP 1995-934135	19951005
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL, SE				
JP 10508584	T	19980825	JP 1995-512907	19951005
US 5866586	A	19990202	US 1997-817580	19970417
PRIORITY APPLN. INFO.:			GB 1994-20999	19941018
			WO 1995-EP3944	19951005

GI

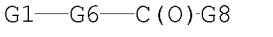




II

AB The invention relates to heterocyclic compds. R1-G-N(R2)-CO-R3 [I; G = Ph ring, quinoline or isoquinoline nucleus, or a 5- or 6-membered aromatic heterocycle containing 1-3 heteroatoms (N, O, and/or S); R1 = H, alkyl, alkylthio, cyano, NO2, halo, CF3, amino, etc.; R2 = H, alkyl; R3 = group Q1 or Q2; X = Y = N, or one of X and Y = N and the other = C or CH; R4, R5 = alkyl, alkoxy, OH, halo, NO2, (un)substituted Ph, etc.; or R4R5 forms (un)substituted 5-membered carbo- or heterocyclic ring; R6, R7, R8 = H, alkyl]. Compds. I are 5-HT2C receptor antagonists, and some or all of them are also 5-HT2B antagonists. They are useful in the treatment of a variety of CNS and GI disorders. For example, 5,6-dichloronicotinic acid underwent sulfurization in the 6-position by thiourea (87%) and S,O-dimethylation with MeI (50%) to give Me 3-chloro-2-(methylthio)pyridine-5-carboxylate. This was converted to the corresponding hydrazide (32%) and then the carbonyl azide (72%). The latter was decomposed in refluxing PhMe, and the intermediate isocyanate treated with 3-aminopyridine, to give 85% title compound II. The three example compds. had pKi of 7.4-8.1 in a test for displacement of [3H]-mesulergine from rat or human 5-HT2C clones, expressed in 293 cells in vitro.

MSTR 1



G1 = quinolinyl (opt. substd. by (1) G2)
G2 = 5 / 9

G3 = NH
G4 = alkyl <containing 1-6 C> (opt. substd. by aryl)
G5 = NH2 / 11

Derivative: or salts
Patent location: claim 1
Note: additional ring formation specified

L5 ANSWER 106 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 125:10629 MARPAT
TITLE: The alkoxylation of heterocyclic compounds in the presence of fluorine
INVENTOR(S): Chambers, Richard Dickinson; Skinner, Christopher John; Sandford, Graham
PATENT ASSIGNEE(S): Bnfl Fluorochemicals Ltd., UK
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

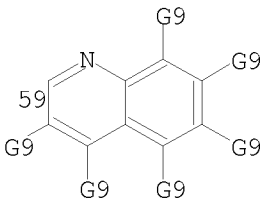
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603379	A1	19960208	WO 1995-GB1742	19950724
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9506176	A	19960308	ZA 1995-6176	19950725
PRIORITY APPLN. INFO.:			GB 1994-14973	19940726

AB A method for introducing an alkoxy, acyloxy, alkenyloxy, aryloxy, etc., group into a nitrogen-containing heterocyclic aromatic compound is achieved in high yield by reacting a compound containing the functionalizing group [e.g., an (un)substituted alc., acid, etc.] with the heterocyclic aromatic compound in the presence of fluorine. Thus, pyridine was reacted with EtOH in the presence of fluorine gas, producing 2-ethoxypyridine in 50% yield.

MSTR 2

G5—G1

G5 = 59



G8 = NH2
G9 = alkylcarbonylamino / CONH2 / 24

O_2S—G8
24

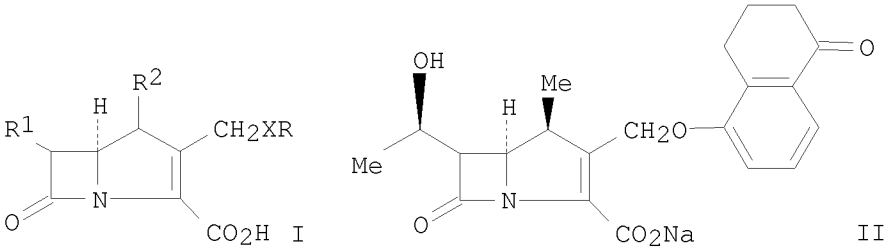
Patent location: disclosure

L5 ANSWER 107 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 124:316867 MARPAT
TITLE: Carbapenem derivatives containing a bicyclic substituent
INVENTOR(S): Arnould, Jean-Claude
PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

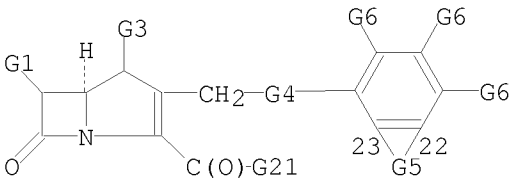
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 695753	A1	19960207	EP 1995-305428	19950803
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5607928	A	19970304	US 1995-508698	19950728
CA 2155493	A1	19960206	CA 1995-2155493	19950804
CA 2155493	C	20070501		
JP 08059664	A	19960305	JP 1995-201126	19950807
JP 4031538	B2	20080109		

PRIORITY APPLN. INFO.: EP 1994-401814 19940805
GI

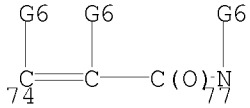


AB Bactericidal (no data) carbapenems I [R = aryl, heteroaryl; R1 = CH2OH, CHMeOH, CHMeF; R2 = H, C1-4 alkyl; X = O, S] and pharmaceutically acceptable salts or in vivo hydrolyzable esters thereof, were prepared Thus, (3S,4R,1'R,1''R)-1-(allyloxycarbonyltriphenylphosphoranylidenemethyl)-3-(1-hydroxyethyl)-4-[1-(hydroxymethylcarbonyl)ethyl]azetidin-2-one was treated with 5-hydroxy-1-tetralone, followed by ester hydrolysis to give the carbapenem II.

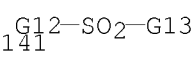
MSTR 1A



G5 = 74-22 77-23



G6 = CONH2 / SO2NH2 / 141



141

G12 = NH
Derivative: and pharmaceutically acceptable salts
Derivative: or protected derivatives
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 16

L5 ANSWER 108 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 124:146140 MARPAT
TITLE: Preparation of N-(3- and 5-isoxazolyl)biphenylsulfonamides as endothelin receptor li1gands
INVENTOR(S): Chan, Ming F.; Raju, Bore G.; Castillo, Rosario S.; Kois, Adam; Wu, Chengde; Balaji, Vitukudi
PATENT ASSIGNEE(S): ImmunoPharmaceutics, Inc., USA
SOURCE: U.S., 17 pp. Cont.-in-part of U.S. Ser. No. 100, 565, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5464853	A	19951107	US 1993-142159	19931021
US 5591761	A	19970107	US 1994-222287	19940405
CA 2161346	A1	19941208	CA 1994-2161346	19940520
CA 2161346	C	20041123		
WO 9427979	A1	19941208	WO 1994-US5755	19940520
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9469646	A	19941220	AU 1994-69646	19940520
AU 691813	B2	19980528		
GB 2285625	A	19950719	GB 1995-3693	19940520
GB 2285625	B	19971210		
EP 699191	A1	19960306	EP 1994-918081	19940520
EP 699191	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5571821	A	19961105	US 1994-247072	19940520
JP 08510744	T	19961112	JP 1995-500856	19940520
EP 870764	A1	19981014	EP 1998-109339	19940520
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 174592	T	19990115	AT 1994-918081	19940520
ES 2127397	T3	19990416	ES 1994-918081	19940520
RU 2151144	C1	20000620	RU 1995-121744	19940520
EP 1069114	A2	20010117	EP 2000-119107	19940520
EP 1069114	A3	20010131		
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT, IE				

US 5594021	A	19970114	US 1995-477223	19950606
US 5962490	A	19991005	US 1996-721183	19960927
US 6030991	A	20000229	US 1996-730633	19961206
AU 9860585	A	19980604	AU 1998-60585	19980331
AU 724575	B2	20000928		
US 6331637	B1	20011218	US 1999-274280	19990322
AU 9935803	A	19990916	AU 1999-35803	19990622
AU 726595	B2	20001116		
US 20010036958	A1	20011101	US 2000-749716	20001227
US 6541498	B2	20030401		

PRIORITY APPLN. INFO.:

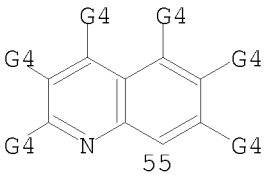
US 1993-65202 19930520
US 1993-100125 19930730
US 1993-100565 19930730
US 1987-100865 19870925
US 1990-416199 19900515
US 1993-142159 19931021
US 1993-142552 19931021
US 1993-142631 19931021
US 1994-222287 19940405
EP 1994-918081 19940520
EP 1998-109339 19940520
US 1994-247072 19940520
WO 1994-US5755 19940520
US 1995-416199 19950404
US 1995-417075 19950404
US 1995-477223 19950606
AU 1996-55367 19960404
WO 1996-US4759 19960404
US 1996-721183 19960927
US 1996-730633 19961206
US 1999-439802 19991112

AB R2SO2NHR1 [I; R1 = (un)substituted aryl; R2 = alkenyl, (un)substituted phenyl(alkyl), (un)substituted PhCH:CH, etc.] were prepared Thus, 5-amino-3,4-dimethylisoxazole was amidated by 4-PhC6H4SO2Cl to give N-(3,4-dimethyl-5-isoxazolyl)-4-biphenylsulfonamide;. I had IC50 of <100μM against ligand binding at endothelin ETA and ETB receptors in vitro.

MSTR 3

G1—SO_2—NH—G3

G3 = 55



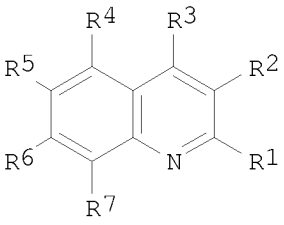
G4 = NHOH / CONH2 (opt. substd.)
Patent location: disclosure
Note: substitution is restricted

Note: additional ring formation allowed

L5 ANSWER 109 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 123:49819 MARPAT
TITLE: Marine antifouling coating.
INVENTOR(S): Anthoni, Uffe; Christophersen, Carsten; Nielsen, Per Halfdan; Kjaer, Eva Bie; Musaeus, Gruska Folkmann; Schultz, Ann Christina
PATENT ASSIGNEE(S): J.C. Hempel's Skibsfarve-Fabrik A/S, Den.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9511592	A1	19950504	WO 1994-DK405	19941028
W: AM, AT, AU, BB, BG, BR, BY, CA, CN, CZ, CZ, DE, DK, EE, ES, FI, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9480576	A	19950522	AU 1994-80576	19941028
EP 725563	A1	19960814	EP 1994-931519	19941028
R: BE, DE, DK, ES, FR, GB, GR, IE, IT, NL, PT				
PRIORITY APPLN. INFO.:			DK 1993-1226	19931029
			WO 1994-DK405	19941028

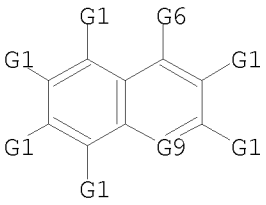
GI



I

AB The title coating comprises a quinoline compound I [R1,R2,R4,R5,R6,R7 = H,OH,(un)substituted alkyl, etc.;R3 = R1,(un)substituted 1-azabicyclo[2,2,2]octylalkyl] or an N-oxide or a salt thereof. I exhibited activity against Enteromorpha, Amphora, Nitocra, and Balanus.

MSTR 1

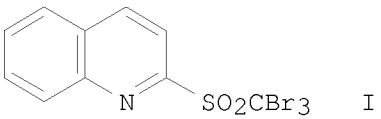


G1 = CONH2 / alkylaminosulfonyl <containing 1-12 C> (opt. substd.)
G6 = alkylamino <containing 1-12 C> (opt. substd.)
G9 = N
Derivative: or salts
Patent location: claim 1

L5 ANSWER 110 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 122:303102 MARPAT
TITLE: Photothermographic materials.
INVENTOR(S): Kirk, Mark P.; Mott, Andrew W.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 631176	A1	19941228	EP 1994-304069	19940607
EP 631176	B1	20001213		
R: BE, DE, FR, GB, IT, NL				
US 5460938	A	19951024	US 1994-247651	19940523
CA 2124755	A1	19941209	CA 1994-2124755	19940531
JP 07002781	A	19950106	JP 1994-125023	19940607
JP 2801856	B2	19980921		
US 5594143	A	19970114	US 1995-464162	19950605
PRIORITY APPLN. INFO.:			GB 1993-11790	19930608
			US 1994-247651	19940523

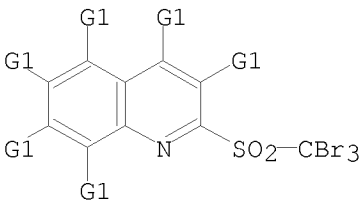
GI



I

AB A compound having a nucleus of the formula I are suitable for use as image stabilizers and anti-fog agents in photothermog. materials and exhibit acceptably low sensitization of human skin.

MSTR 1



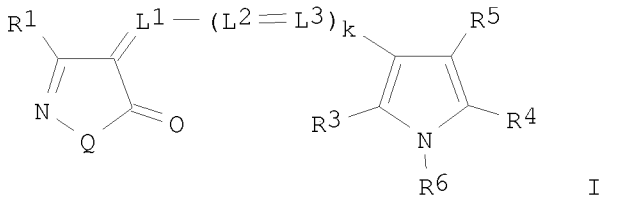
G1 = CONH2 (opt. substd.) / 22 / SO2NH2

Patent location: claim 2

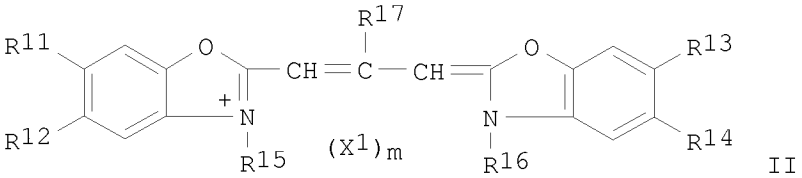
L5 ANSWER 111 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:311780 MARPAT
TITLE: Silver halide color photographic light-sensitive material.
INVENTOR(S): Ueda, Fumitaka; Nishigaki, Junji
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 600518	A2	19940608	EP 1993-119556	19931203
EP 600518	A3	19950329		
EP 600518	B1	19980325		
R: BE, DE, FR, GB, NL				
JP 06175289	A	19940624	JP 1992-349998	19921203
US 5449594	A	19950912	US 1993-159748	19931201

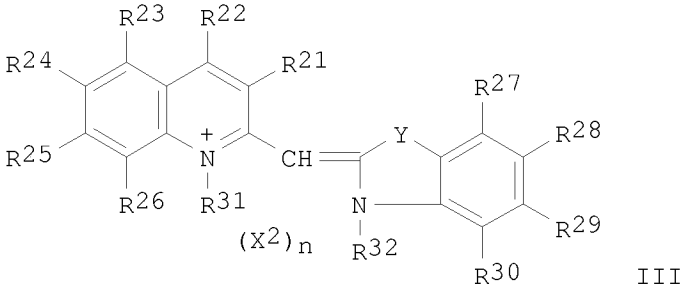
PRIORITY APPLN. INFO.: JP 1992-349998 19921203
GI



I



II

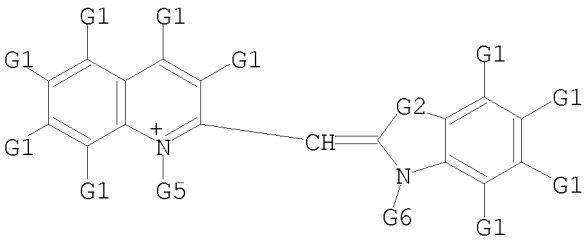


III

AB A Ag halide color photog. light-sensitive material includes a support having provided thereon at least 1 blue-sensitive Ag halide emulsion layer, at least 1 green-sensitive Ag halide emulsion layer, at least 1 red-sensitive halide emulsion layer, and at least 1 hydrophilic colloid layer. The hydrophilic colloid layer contains a compound represented by I, a Ag halide emulsion layer having an interlayer effect on the red-sensitive layer is also provided, and the layer with the interlayer effect contains a Ag halide emulsion spectrally sensitized with a sensitizing dye II or III. : In I R represents a hydrogen atom, alkyl. alkenyl, aryl, a heterocyclic ring, ureido, sulfonamide, sulfamoyl, sulfonyl, sulfinyl, alkylthio, arylthio, oxycarbonyl, acyl, carbamoyl, cyano, alkoxy, aryloxy, amino, or amide; Q represents -O- or -NR2- wherein R2 represents a hydrogen atom, alkyl, aryl, or a heterocyclic group; R3, R4, and R5 each represent a hydrogen atom, alkyl, or aryl, and R4 and R5 being able to be bonded to each other to form a 6 membered ring; R6 represents a hydrogen atom, alkyl, aryl, or amino; L1, L2, and L3 each represent methine, and k is an integer of 0 or 1. In II R11, R12, R13, and R14 may be the same or different and each represent a hydrogen atom, a halogen atom, alkyl, aryl, alkoxy, aryloxy, aryloxycarbonyl, alkoxycarbonyl, amino, acyl, cyano, carbamoyl, sulfamoyl, carboxyl, or an acyloxy group, R11 and R12 or R13 and R14 not representing a hydrogen atom simultaneously; R15 and R16 may be the same or different and each represent an alkyl group; R17 represents an alkyl having not less than three carbon atoms, aryl, or aralkyl group; X represents a counter anion, and m is an integer of 0 or 1, and m = 0 when intramol. salt is to be formed. In III R21, R22, R23, R24, R25, R26, R27, R28, R29, and R30 each have the same meaning as that of R11, R31 and R32 each have the same meaning as that of R15; Y represents a sulfur atom, a selenium atom, or an

oxygen atom; X has the same meaning as that of X1; and n has the same meaning as that of m. The material provides good coloration and has high speed and high graininess.

MSTR 3

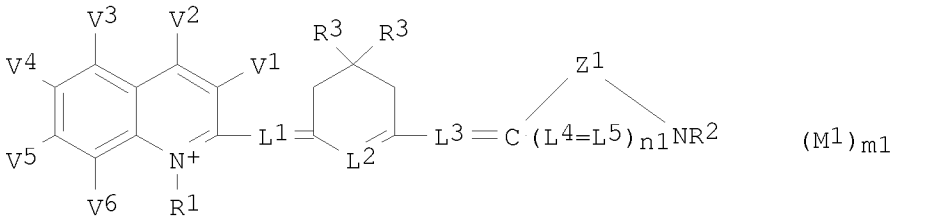


G1 = CONH2 (opt. substd.) / SO2NH2 (opt. substd.) / acylamino
Patent location: claim 1

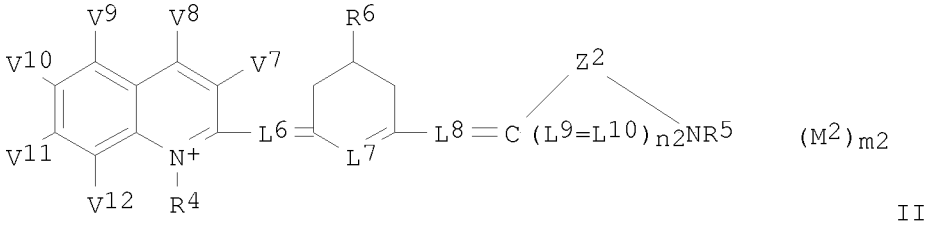
L5 ANSWER 112 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:289519 MARPAT
TITLE: Silver halide photographic material
INVENTOR(S): Kato, Takashi; Ikeda, Tadashi
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06102614	A	19940415	JP 1992-254565	19920924
US 5462851	A	19951031	US 1993-121740	19930916

PRIORITY APPLN. INFO.: JP 1992-254565 19920924
GI



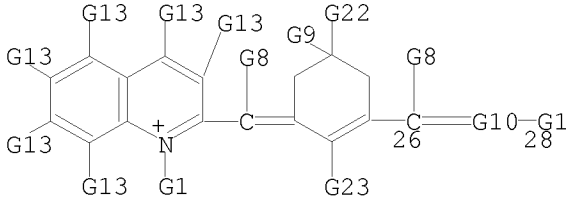
I



II

AB The title photog. material contains ≥1 compound selected from I and II [Z1,2 = 5- or 6-membered N-containing heterocyclic ring; R1-5 = alkyl; R3,6 = alkyl, aryl, heterocyclyl; V1-12 = H, substituent; L1-10 = methine; M1,2 = counter ion; m1,2 ≥ 0; n1,2 = 0, 1]. This material shows sharp absorption in the IR region.

MSTR 1



G13 = 55 / acylamino

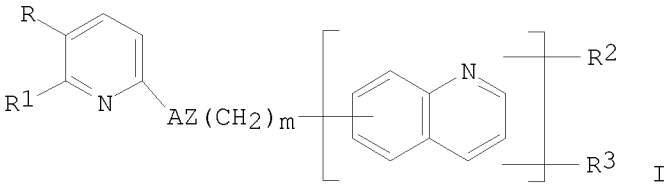
G14 = NH2 / morpholino
G15 = C(O) / SO2
Patent location: claim 1

L5 ANSWER 113 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:205225 MARPAT
TITLE: Quinoline-derivative leukotriene antagonists
INVENTOR(S): Daines, Robert A.; Pendrak, Israil
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414797	A1	19940707	WO 1993-US12434	19931221
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1992-996220	19921223

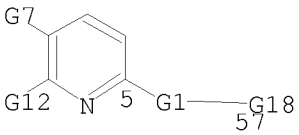
GI



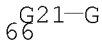
I

AB The title compds. [I; A = CH2, CHOH, CO, (un)substituted NH, O, etc.; R = (un)substituted C1-20 aliphatic; R1 = 5-tetrazolyl, CO2H, (un)substituted aminoalkyl, etc.; R2 = H, halogen, CF3, CN, lower alkyl, lower alkyloxy, etc.; R3 = H, halogen, lower alkyl, C1-6 acyl; Z = (un)substituted NH, S(O)q, CO; q = 0-2], useful as leukotriene antagonists (no data), especially for LTB4 (no data), are prepared and I-containing formulation presented. Thus, 7-[1-thia-2-[2-(E-2-carboxyethenyl)-3-[8-(4-methoxyphenyl)octyloxy]-6-pyridyl]ethyl]quinoline Li salt was prepared from 7-hydroxyquinoline in 5 steps.

MSTR 1



G18 = quinolinyl (opt. substd. by (1-2) G19)
G19 = 66 / CONH2 (opt. substd.)



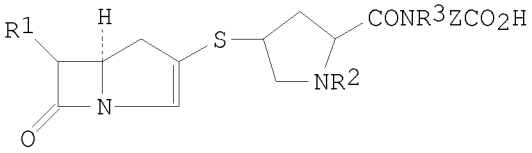
G21 = NH
G22 = cycloalkyl <containing 4-10 C>

Derivative: or pharmaceutically acceptable salts or N-oxides
Patent location: claim 1

L5 ANSWER 114 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:205125 MARPAT
TITLE: Preparation of [[(carboxyheterocyclyl)carbamoyl]pyrrolidinylthio]carbapenems as antibiotics
INVENTOR(S): Jung, Frederic Henri; Arnould, Jean Claude
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Zeneca Pharma S.A.
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 581500	A1	19940202	EP 1993-305607	19930716
EP 581500	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2099818	A1	19940122	CA 1993-2099818	19930705
AT 170859	T	19980915	AT 1993-305607	19930716
ES 2121585	T3	19981201	ES 1993-305607	19930716
JP 06179674	A	19940628	JP 1993-177903	19930719
US 5441949	A	19950815	US 1994-307048	19940916
PRIORITY APPLN. INFO.:			EP 1992-402105	19920721
			US 1993-86836	19930707

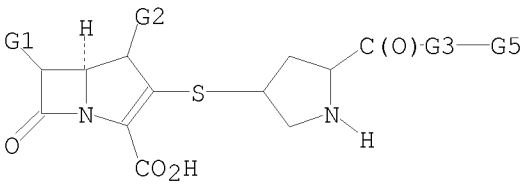
GI



I

AB Title compds. [I; R1 = MeCH(OH), MeCHF, CH2OH; R2,R3 = H, alkyl; Z = (iso)quinolinediyl, quinazolinediyl, quinoxalinediyl, etc.] were prepared Thus, disodium (1R,5S,6S,8R,2'S,4'S)-2-[2-(8-carboxyquinol-6-ylcarbamoyl)pyrrolidin-4-ylthio]-6-(1-hydroxyethyl)-1-methylcarbapenem-3-carboxylate, prepared in 5 steps from 6-amino-8-carboxyquinoline (preparation given), had MIC of 0.13 and 0.03μg/mL against Staphylococcus aureus Oxford and Escherichia coli DCO, resp.

MSTR 1

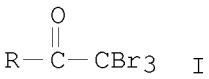


G3 = NH
G5 = quinolinyl (substd. by (1-4) G10)
G10 = CONH2
Derivative: or pharmaceutically acceptable salts or in-vivo hydrolysable esters; or protected derivatives
Patent location: claim 1
Note: also incorporates claim 8

L5 ANSWER 115 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:167055 MARPAT
TITLE: Photothermographic imaging materials and antifoggants therefor.
INVENTOR(S): Oliff, David B.; Kirk, Mark P.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 600587	A1	19940608	EP 1993-307740	19930929
EP 600587	B1	19960214		
R: DE, FR, GB, IT				
US 5939248	A	19990817	US 1993-126331	19930924
JP 06202268	A	19940722	JP 1993-252998	19931008
PRIORITY APPLN. INFO.:			GB 1992-21383	19921012

GI



I

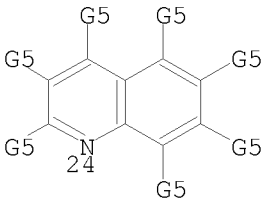
AB A photothermog. material having a photosensitive medium comprising: photosensitive Ag halide, a reducible Ag source, a reducing agent for Ag ion, a hydrobromic acid salt of a N-containing heterocyclic ring or fused ring nucleus associated with a pair of bromine atoms characterized in that the photosensitive medium addnl. comprises as antifoggant, substantially in the absence of an antifoggant amount of Hg and other heavy metal salts, a tribromomethyl ketone compound of a general formula I (R = alkyl, aryl, a carbocyclic ring or fused ring nucleus, heterocyclic ring or fused ring

nucleus).

MSTR 2

G1 H—Br Br—Br

G1 = 24

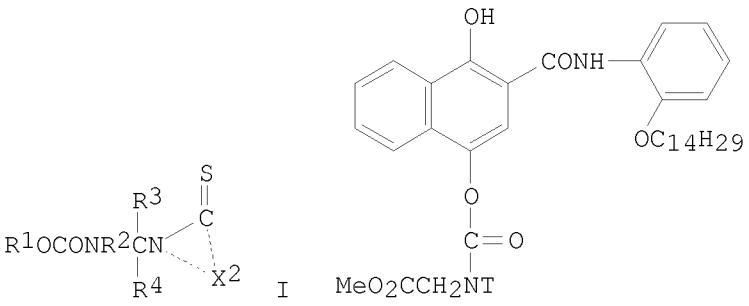


G5 = acylamino / SO2NH2 / CONH2
Patent location: claim 7
Note: substitution is restricted

L5 ANSWER 116 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:108803 MARPAT
TITLE: Preparation of tetrazoles as intermediates for photographic couplers
INVENTOR(S): Ookawa, Atsuhiro
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

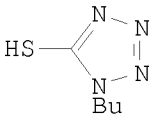
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05331145	A	19931214	JP 1992-132707	19920525
JP 2881356	B2	19990412		
US 5362877	A	19941108	US 1993-64990	19930524

PRIORITY APPLN. INFO.: JP 1992-132707 19920525
OTHER SOURCE(S): CASREACT 121:108803
GI

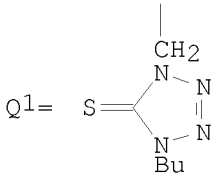


I

II

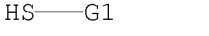


III

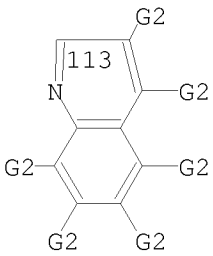


AB The title compds. I [R1 = alkyl, aryl, heterocyclic ring; R2 = alkyl, aryl; R3, R4 = H, alkyl, etc. ; X2 = non-metallic atoms for forming 5- or 6-membered N-containing heterocyclic ring] were prepared by condensation of the appropriate amines with aldehydes (or ketones) and mercaptoheterocycles in the presence of a Lewis acid and/or a metal salt. Reaction of amine II (T = H) with paraformaldehyde and mercaptotetrazole III in the presence of BF3.OEt2 gave, after workup, 61% II (T = Q1), vs. 0% yield in the absence of Lewis acid or of metal salt.

MSTR 3



G1 = 113



G2 = CONH2 (opt. substd.) / SO2NH2 (opt. substd.) / acylamino

Patent location: claim 1

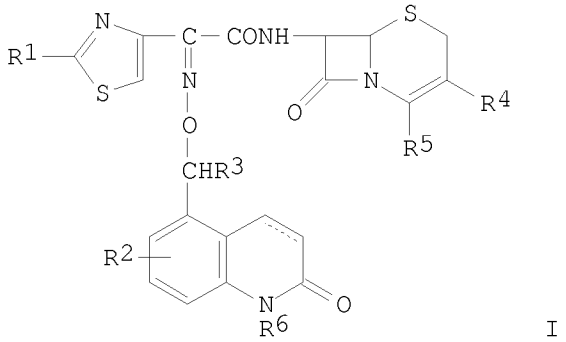
L5 ANSWER 117 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:9027 MARPAT
TITLE: Preparation of (pyridiniomethyl)cephemcarboxylates and

analogs as antibacterial agents

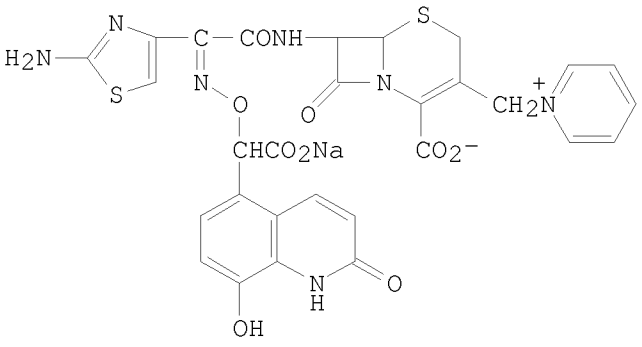
INVENTOR(S): Takamura, Norio; Saito, Kunio; Matsushita, Tadahiro; Yamaguchi, Totaro
PATENT ASSIGNEE(S): Tanabe Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 47 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05202062	A	19930810	JP 1992-53045	19920127

PRIORITY APPLN. INFO.: JP 1992-53045 19920127
GI



I

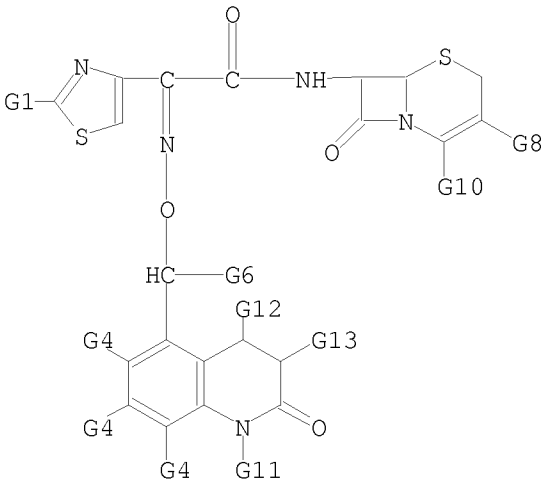


II

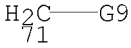
AB The title compds. I [R1 = (protected) amino; R2 = (protected) OH, alkoxy; R3 = (protected) carboxyl; R4 = H, alkyl, CH2R41, etc.; R41 = nucleophilic moiety; R5 = (protected) carboxyl, CO2-; R6 = H, alkyl; the dotted line represents either a double bond or a single bond] were prepared Reaction of 7β-[(Z)-2-(2-aminothiazol-4-yl)-2-[(8-hydroxy-2-oxo-1H-quinoline-5-yl)(carboxyl)methyloxyimino]acetamido]cephalosporanic acid di-Na salt with pyridine in the presence of NaI gave cephem (Z)-II isolated as α and β isomers. The title compds. in vitro exhibited MIC values of 0.1-0.78 μg/mL (against Staphylococcus aureus 209P JC-1) and MIC values

of 0.78-1.56 μg/mL against Pseudomonas aeruginosa Number 12.

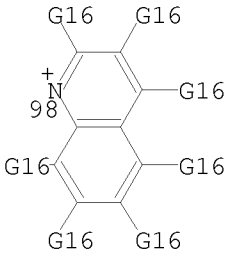
MSTR 1



G8 = 71



G9 = 98



G16 = CONH2 / NHCHO
Derivative: or pharmacologically acceptable salts
Patent location: claim 1

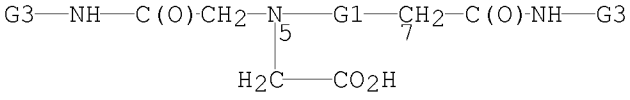
L5 ANSWER 118 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:4152 MARPAT
TITLE: Metal complexes of hydroxyaryl-containing amino carboxylic acid chelating agents
INVENTOR(S): Smith, Suzanne Virginia; Lambrecht, Richard Merle; Schmidt, Peter Frederick; Lee, Fook Thean
PATENT ASSIGNEE(S): Australian Nuclear Science and Technology Organisation, Australia
SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 590766	A2	19940406	EP 1993-305992	19930729
EP 590766	A3	19940824		
EP 590766	B1	20000202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 955066	A2	19991110	EP 1999-112338	19930729
EP 955066	A3	20020828		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 189396	T	20000215	AT 1993-305992	19930729
PT 590766	T	20000731	PT 1993-305992	19930729
ES 2146217	T3	20000801	ES 1993-305992	19930729
AU 9344374	A	19940203	AU 1993-44374	19930730
AU 671465	B2	19960829		
JP 07285888	A	19951031	JP 1993-208689	19930731
GR 3033352	T3	20000929	GR 2000-401036	20000502
PRIORITY APPLN. INFO.:			AU 1992-3883	19920731
			EP 1993-305992	19930729

AB Complexes of a radioactive metal (especially 99mTc, 188Re, 186Re) with EDTA analogs XNHC(O)CH2N(CH2CO2H)[(CH2)kN(CH2CO2H)].scriptl.CH2C(O)NHY [I; X, Y = aryl or heteroaryl, especially (substituted) Ph, naphthyl, pyridyl, quinolinyl; k = 2-5; .scriptl. = 1-5] are prepared for use as imaging agents, e.g. to assess hepatobiliary function, or in radiolabeling of monoclonal antibodies, proteins, peptides, oligonucleotides, etc. for in vivo imaging or therapy. Thus, 2-amino-4-nitrophenol reacted with EDTA anhydride to produce I (X = Y = 2-hydroxy-5-nitrophenyl; k = 2; .scriptl. = 1) (II). The 99mTc complex of II, injected into rats, localized predominantly in the kidneys and somewhat less in the liver.

MSTR 1



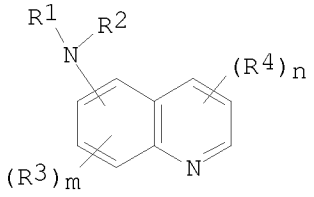
G3 = quinolinyl (opt. substd. by 1 or more G7)
G7 = CONH2
Derivative: or pharmaceutically acceptable salts or complexes with G10
Patent location: claim 1

L5 ANSWER 119 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 120:334755 MARPAT
TITLE: Color developer composition and photographic processing using same
INVENTOR(S): Fujimoto, Hiroshi; Morimoto, Kyoshi; Furusawa, Genichi; Myashita, Yosuke; Taniguchi, Masato
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05188551	A	19930730	JP 1992-170973	19920629

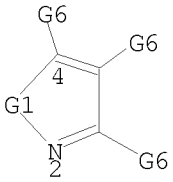
PRIORITY APPLN. INFO.: JP 1991-197297 19910712
GI



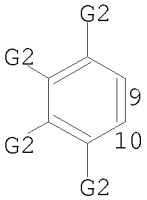
I

AB The title color developer composition contains as additive ≥1 I [R1-4 = H, alkyl, aryl, aralkyl, halo, OH, NH2, alkoxy, COOH, SO3H, PO(OH)2, NO2, CN, heterocyclyl, carbamoyl, sulfamoyl, acyl, acylamino, alkylsulfonyl amino, arylsulfonyl amino, alkoxycarbonyl, ureido; R1,R2 may join to form a ring; m,n = 0-3]. Precipitation of the components of the above composition does not occur during processing, the volume of the processing waste solution is reduced, and the developer solution is stable.

MSTR 1



G1 = 9-4 10-2



G2 = SO2NH2 (opt. substd.)
G6 = CONH2 (opt. substd.) / acylamino

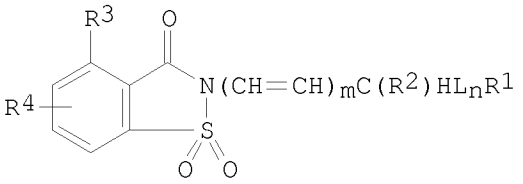
Patent location: claim 1

L5 ANSWER 120 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 120:191707 MARPAT
TITLE: 2-Substituted saccharin derivative proteolytic enzyme inhibitors
INVENTOR(S): Hlasta, Dennis John; Desai, Ranjit Chimanlal; Subramanyam, Chakrapani; Lodge, Eric Piatt; Dunlap, Richard Paul; Boaz, Neil Warren; Mura, Albert Joseph; Latimer, Lee Hamilton
PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA
SOURCE: Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 542372	A1	19930519	EP 1992-203469	19921112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5236917	A	19930817	US 1991-793033	19911115
AU 9225340	A	19930520	AU 1992-25340	19920925
AU 654581	B2	19941110		
CA 2079822	A1	19930516	CA 1992-2079822	19921005
NO 9204401	A	19930518	NO 1992-4401	19921113
NO 303119	B1	19980602		
HU 66873	A2	19950130	HU 1992-3566	19921113
IL 103748	A	19970218	IL 1992-103748	19921113
RU 2101281	C1	19980110	RU 1992-4381	19921113
JP 05194444	A	19930803	JP 1992-305295	19921116
US 5371074	A	19941206	US 1993-67637	19930524
US 5650422	A	19970722	US 1994-270964	19940705
US 5596012	A	19970121	US 1995-449152	19950524
US 5874432	A	19990223	US 1997-803297	19970220

PRIORITY APPLN. INFO.:
US 1991-793033 19911115
US 1989-347125 19890504
US 1989-347126 19890504
US 1990-514920 19900426
US 1993-67637 19930524
US 1994-270964 19940705

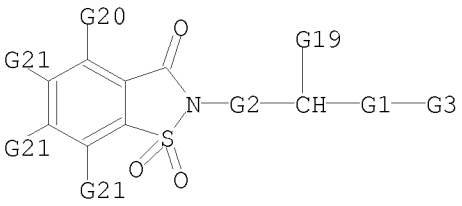
GI



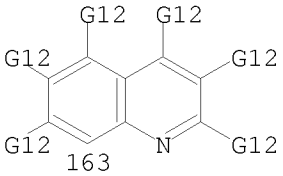
I

AB The title compds. I [L = O, S, SO, SO2; R1 = (un)substituted Ph, (un)substituted heterocyclyl, etc.; R2 = H, lower alkoxycarbonyl, Ph, PhS; R3 = H, halogen, (un)substituted alkyl, Ph, lower alkoxy, lower alkoxycarbonyl, CN, etc.; R4 = H or 1-3 substituents selected from halogen, CN, NO2, NH2, etc.; m, n = 0, 1; when m = 0 then R1 can only be heterocyclyl and CHR2 can only be bonded to a ring N of R1; when m = 0, n = 1 and L is O, S, or SO, then R2-R4 = H; when m = 0, n = 1, L is S, R2, R4 = H and R3 = halogen; when m = 0, n = 1, and L is SO or SO2 then R2 is lower alkoxycarbonyl and R3 = R4 = H while R1 ≠ substituted Ph], useful for the treatment of degenerative diseases (no data), are prepared Thus, 2-hydroxymethyl-4-chlorosaccharin was reacted with thionyl chloride, producing 2-chloromethyl-4-chlorosaccharin (II). II demonstrated inhibition constant for human leukocyte elastase (rate of reactivation of enzyme to rate of inactivation of enzyme) of 0.5 nM and 26 nM for α-chymotrypsin.

MSTR 1A



G2 = bond
G3 = 163



G12 = alkylamino <containing 1-10 C> / CONH2 / dialkylaminosulfonyl <each alkyl containing 1-10 C>
Patent location: claim 1
Note: substitution is restricted

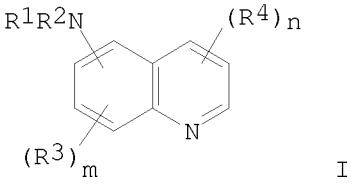
L5 ANSWER 121 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 120:120563 MARPAT
TITLE: Method for processing silver halide color photographic material
INVENTOR(S): Fujimoto, Hiroshi; Morimoto, Kyoshi; Furusawa, Genichi; Myashita, Yosuke
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05027394	A	19930205	JP 1991-202258	19910718

PRIORITY APPLN. INFO.: JP 1991-202258 19910718

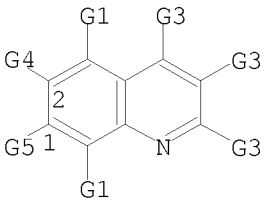
GI



I

AB In the title method involving the color development, desilvering, and washing or stabilization of a silver halide photog. material, the color developing solution contains one or more compds. represented by I. For I, R1-R4 = H, alkyl, aryl, hydroxy, etc., R1 and R2 may together from a ring; m, n = 0 to 3. The amount of replenishing solution for washing or stabilizing the photog. material is 3 to 50 times that of the amount of liquid brought from the preceding bath. The title method is economical and gives stable images.

MSTR 1



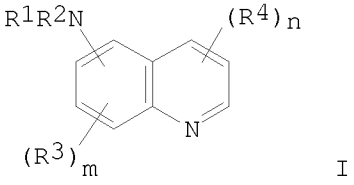
G3 = CONH2 (opt. substd.) / acylamino
G4 = SO2NH2 (opt. substd.)
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation possible

L5 ANSWER 122 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 120:120562 MARPAT
TITLE: Method for processing silver halide color photographic material
INVENTOR(S): Furusawa, Genichi; Myashita, Yosuke; Fujimoto, Hiroshi; Morimoto, Kyoshi
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05027395	A	19930205	JP 1991-203633	19910719

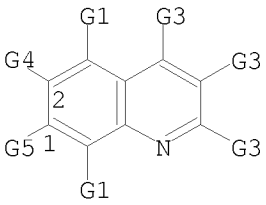
PRIORITY APPLN. INFO.: JP 1991-203633 19910719
GI



I

AB The title method involves the treatment of the title material with a color developing solution containing a hydroxylamine derivative and a quinoline derivative represented by I. For I, R1-R4 = H, alkyl, aryl, etc.; or R1 and R2 may together form a ring; m, n = 0 to 3. The title method is economical.

MSTR 1

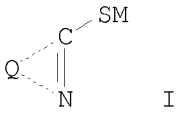


G3 = CONH2 (opt. substd.) / acylamino
G4 = SO2NH2 (opt. substd.)
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation possible

L5 ANSWER 123 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 119:213908 MARPAT
TITLE: Silver halide photographic material
INVENTOR(S): Fukuwa, Junichi; Kobayashi, Akira; Goto, Kenji
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Can. Pat. Appl., 71 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2065106	A1	19921005	CA 1992-2065106	19920403
JP 05197057	A	19930806	JP 1992-110787	19920403
PRIORITY APPLN. INFO.:			JP 1991-99626	19910404

GI



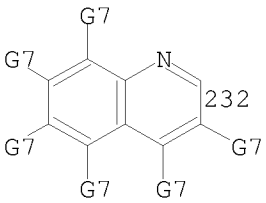
I

AB A Ag halide photog. material for high-contrast dot image formation is disclosed. The material comprises a support and provided thereon a Ag halide emulsion layer and layers adjacent to the emulsion layer. The emulsion is subjected to desalinization comprising using denatured gelatin in the process of preparation thereof. At least one of the layers contains a hydrazine derivative and a compound selected from the group consisting of those represented by formulas A(CH2)nSC(:N+HR1)NHR1 X- (A = OH, SO3-, or N(R2)2; R1 = H, (substituted) alkyl having 1-5 C atoms, or (substituted) Ph; R2 = (substituted) alkyl having 1-5 C atoms; X- = an anion), (R3)2N(CH2)nSC(S)N(R4)2 (R3 = H, (substituted) alkyl having 1-5 C atoms, or (substituted) aryl; R4 = (substituted) alkyl having 1-5 C atoms or (substituted) Ph; n = an integer of 2-5), or I (Q = a group of atoms necessary to form a 5- or 6-membered heterocyclic ring which may be condensed with a benzene or heterocyclic ring; M = H, an alkali metal atom, an ammonium group, or an amine residue).

MSTR 3B

G1—G2

G1 = 232



G7 = 35 / CONH2 / 37

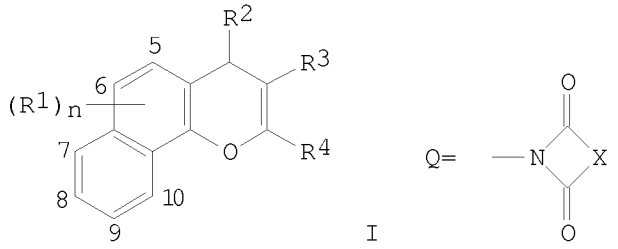
G14 = morpholino
G15 = Ph

Patent location: claim 1

L5 ANSWER 124 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 119:139102 MARPAT
TITLE: Antiproliferative derivatives of 4H-naphthol[1,2-b]pyran and process for their preparation
INVENTOR(S): Dell, Colin Peter; Smith, Colin William
PATENT ASSIGNEE(S): Lilly Industries Ltd., UK
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 537949	A1	19930421	EP 1992-309169	19921008
EP 537949	B1	19980701		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2079428	A1	19930410	CA 1992-2079428	19920929
AU 9226216	A	19930422	AU 1992-26216	19921005
AU 658003	B2	19950330		
CZ 281688	B6	19961211	CZ 1992-3035	19921005
IL 103356	A	19980222	IL 1992-103356	19921005
RU 2071472	C1	19970110	RU 1992-5052861	19921006
ZA 9207717	A	19940407	ZA 1992-7717	19921007
KR 228841	B1	19991101	KR 1992-18309	19921007
NO 9203910	A	19930413	NO 1992-3910	19921008
NO 301587	B1	19971117		
HU 62281	A2	19930428	HU 1992-3183	19921008
HU 218916	B	20001228		
CN 1073437	A	19930623	CN 1992-111625	19921008
CN 1034938	C	19970521		
JP 05194477	A	19930803	JP 1992-269002	19921008
AT 167859	T	19980715	AT 1992-309169	19921008
ES 2117035	T3	19980801	ES 1992-309169	19921008
PRIORITY APPLN. INFO.:			GB 1991-21358	19911009
			GB 1992-13058	19920619

GI

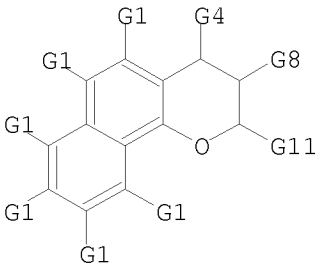


I

AB The title compds. I [R1 = halogen, CF3, C1-4 alkoxy, HO, NO2, (un)substituted C1-4 alkyl, C1-4 alkylthio, (un)substituted CO2H, etc.; R2

= Ph, naphthyl, heteroaryl, etc.; R3 = CN, CO2H, carboxylate ester, (un)substituted carboxamoyl, etc.; R4 = (un)substituted amino, NHCOR12, N(COR12)2, N:CHOCH2R12; R12 = H (un)substituted C1-4 alkyl, cyclic imido, Q; X = C2-4 alkylene, NHSO2R14; R14 = C1-4 alkyl, (un)substituted Ph; n = 0-2; R1 is located on ring positions 5-10], which demonstrate an antiproliferative effect on cell division and are useful in the treatment of diseases where excess cell proliferation or enzyme release is an aspect of the pathol. (no data), are prepared by the cyclization of R1-substituted 1-naphthols with NC(R3)C:CHR2. Thus, 1-naphthol was reacted with 3-(trifluoromethyl)benzylidenemalononitrile, producing I [R1 = H, R2 = 3-F3CC6H4, R3 = CN, R4 = NH2, n = 1].

MSTR 1



G2 = NH2
G4 = quinolinyl (opt. substd. by 1 or more G6)
G6 = 48 / alkylamino <containing 1-4 C>

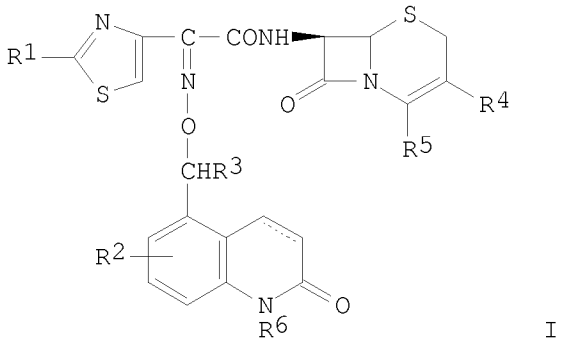
C(O)-G2
48

Derivative: or salts
Patent location: claim 1
Note: substitution is restricted

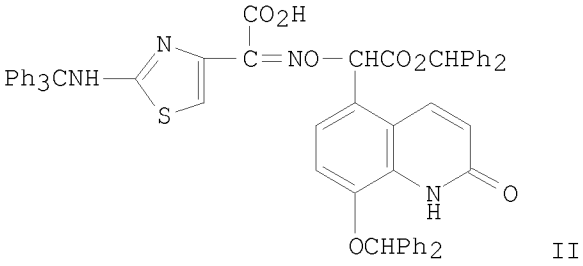
L5 ANSWER 125 OF 131 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 118:212755 MARPAT
TITLE: Preparation of cephalosporin compounds
INVENTOR(S): Takamura, Norio; Saito, Kunio; Matsushita, Tadahiro; Yamaguchi, Totaro
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04261182	A	19920917	JP 1991-287408	19910808
JP 06086461	B	19941102		
CA 2057129	A1	19930606	CA 1991-2057129	19911205

EP 544958 A1 19930609 EP 1991-311373 19911206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
CN 1073444 A 19930623 CN 1991-111604 19911218
PRIORITY APPLN. INFO.: JP 1990-212040 19900809
GI



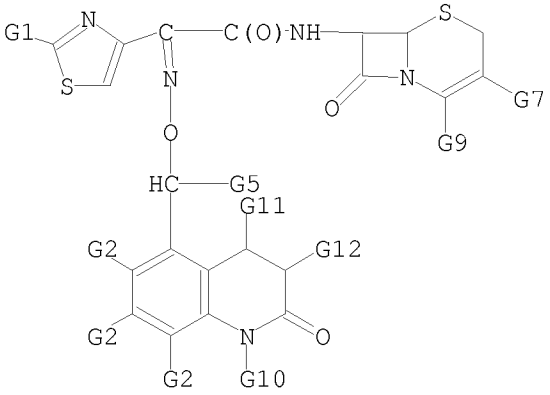
I



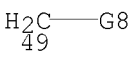
II

AB Cephalosporin compds. [I; R1 = NH2, etc.; R2 = OH, etc.; R2 = CO2H, etc.; R4 = H, alkyl, alkenyl, CH2R (wherein R = nucleophilic radical such as AcO, pyridino, quinolino, thiazolylthio, etc.); R5 = CO2H, etc.; R6 = H, etc.; dotted line = saturation or unsatn.], useful as broad-spectrum antibacterial agents, are prepared A solution of DMF and POCl3 in CH2Cl2 was stirred at room temperature under Ar, cooled to -55° to -50°, treated with 13 g acid II (preparation given) in CH2Cl2 at -60° to -50°, and the solution was then treated with a suspension of MeC(OSiMe3):NSiMe3 and 5.43 g (syn)-I [R1 = Ph3CNH, R2 = 8-Ph2CHO, R3 = Ph2CHO2C, R4 = AcOCH2, R5 = CO2H, R6 = H, unsatd.]. The preferred dose was 5-40 mg/kg-day.

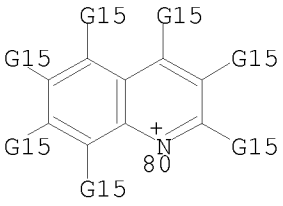
MSTR 1



G7 = 49



G8 = 80



G15 = CONH2 / NHCHO
Derivative: and pharmacologically acceptable salts
Patent location: claim 1

=> d his

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FILE 'REGISTRY' ENTERED AT 14:58:53 ON 27 AUG 2008
L1 STRUCTURE UPLOADED
L2 12 S L1 SAM
L3 208 S L1 FULL

FILE 'CA' ENTERED AT 14:59:23 ON 27 AUG 2008
L4 2 S L3

FILE 'MARPAT' ENTERED AT 14:59:47 ON 27 AUG 2008
L5 131 S L1 FULL

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---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:11:02 ON 27 AUG 2008